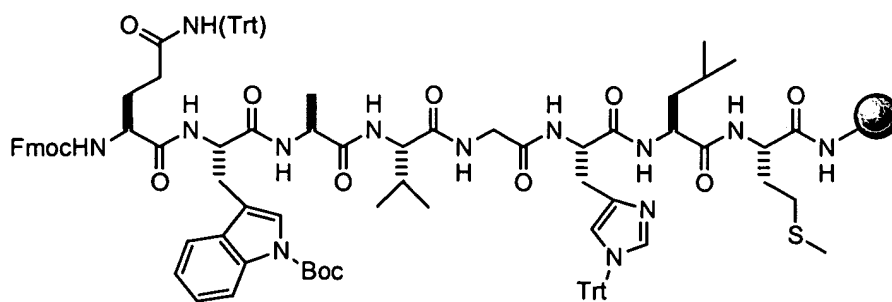
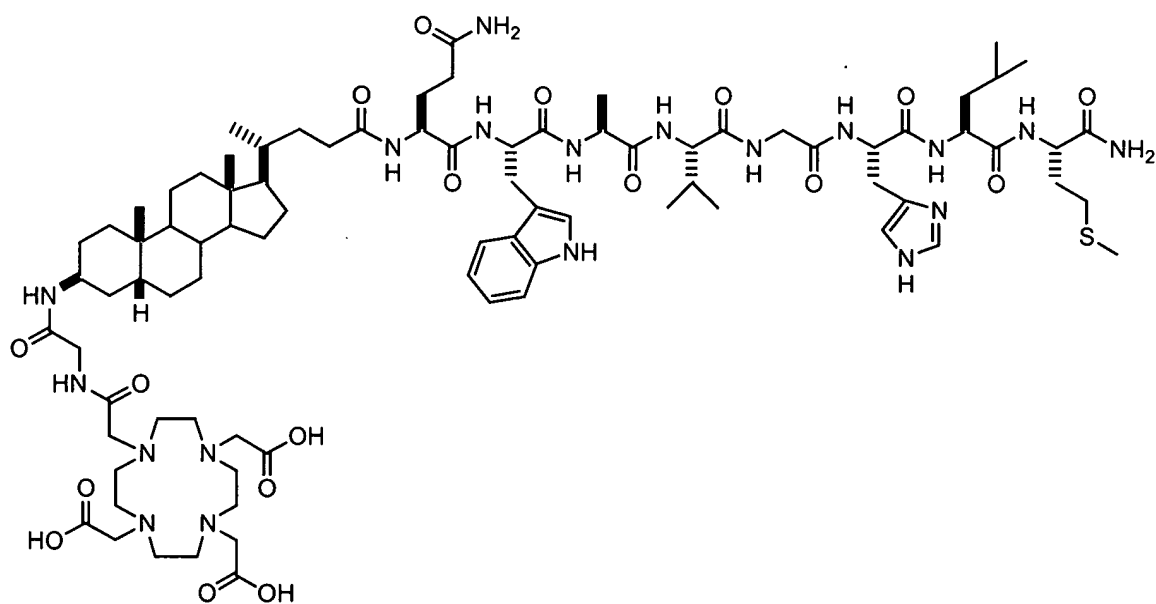


FIG. 1A

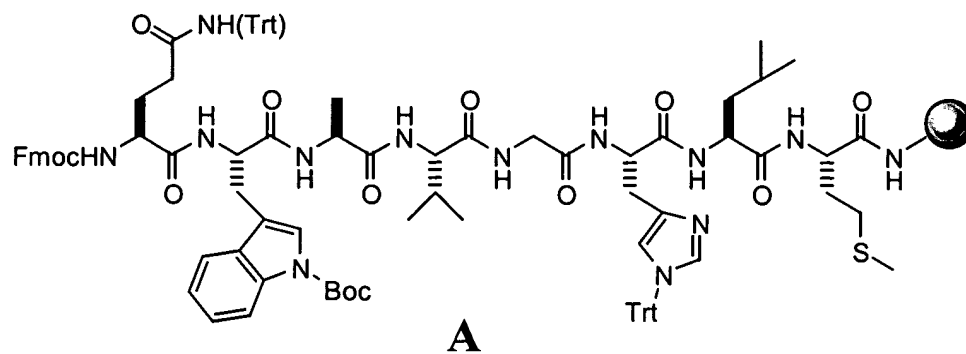


1. Morpholine (50% in DMA)
2. C, DIC, HOBT, DMA
3. Morpholine (50% in DMA)
4. Fmoc-Gly, DIC, HOBT, DMA
5. Morpholine (50% in DMA)
6. DOTA tri-t-butyl ester, DIC, HOBT, DIEA, DMA
7. Reagent B

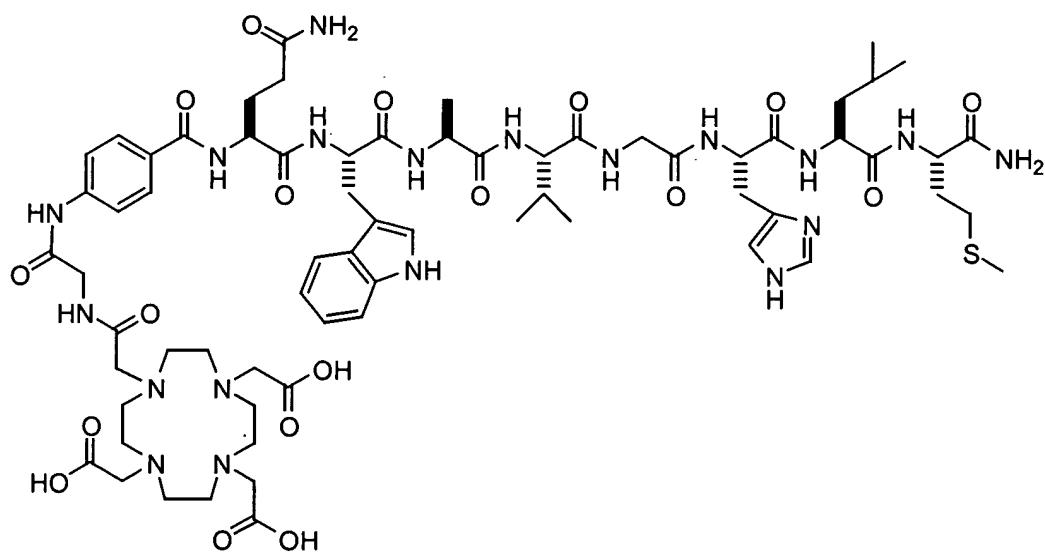


L62

FIG. 1B



1. Morpholine (50% in DMA)
2. Fmoc-4-aminobenzoic acid, HATU, DMA
3. Morpholine (50% in DMA)
4. Fmoc-Gly-OH, DIC, HOBT, DMA
5. Morpholine (50% in DMA)
6. DOTA tri-t-butyl ester, DIC, HOBT, DIEA, DMA
7. Reagent B



L70

FIG. 2A

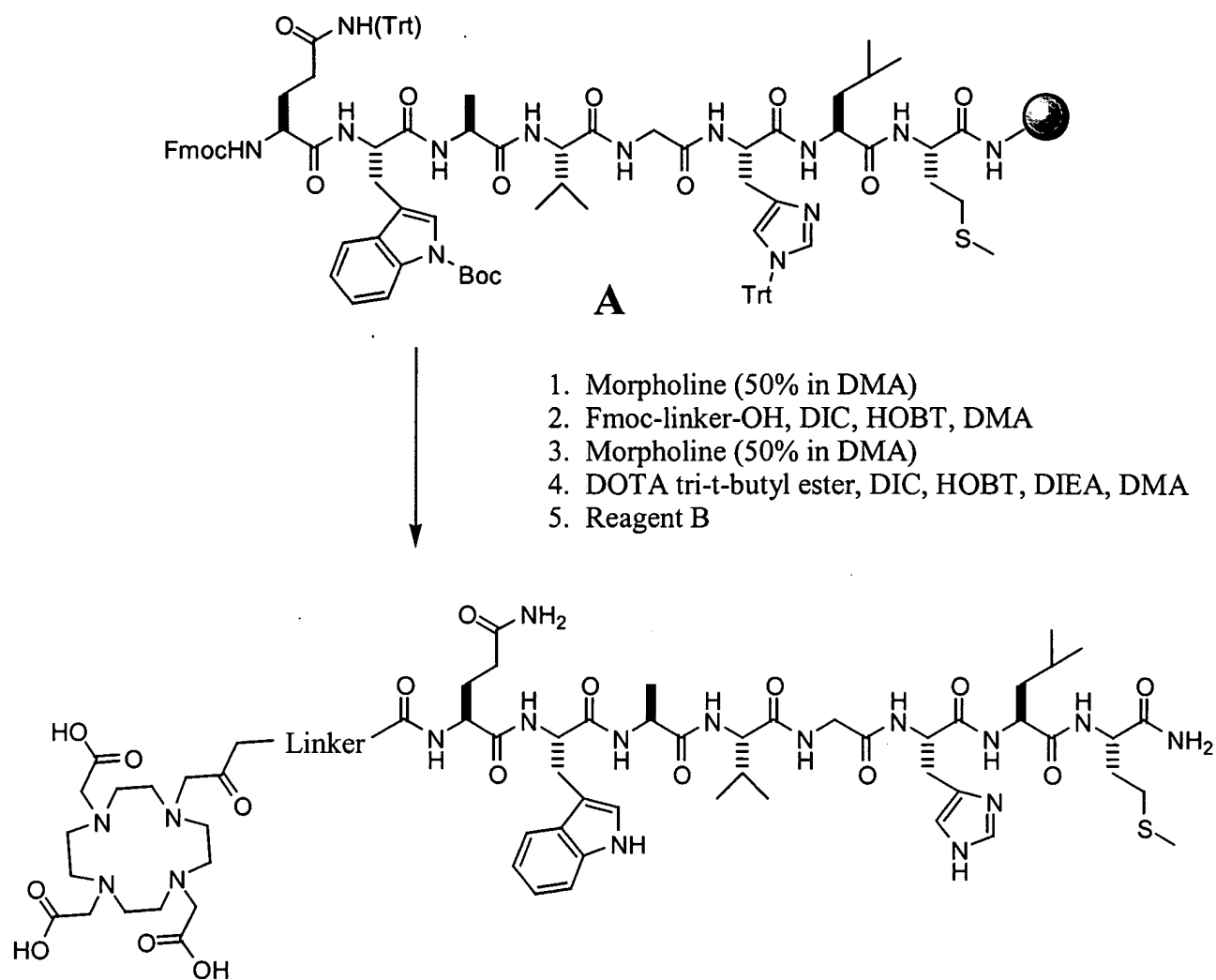
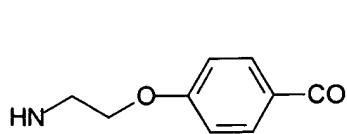


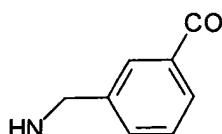
FIG. 2B

LINKERS:



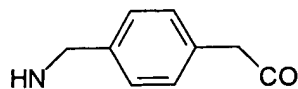
L73

FIG. 2C



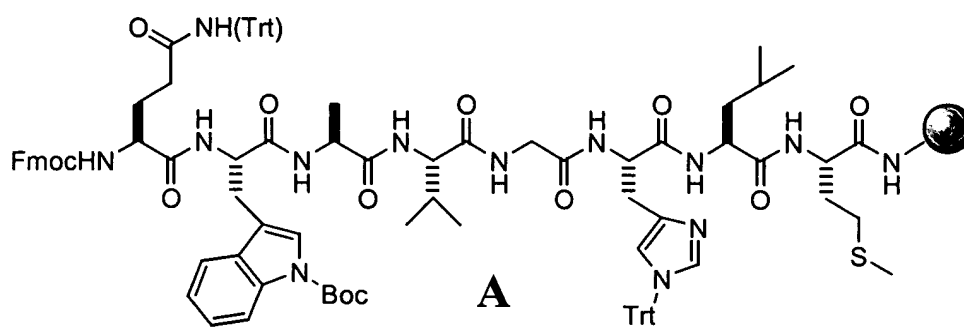
L115

FIG. 2D

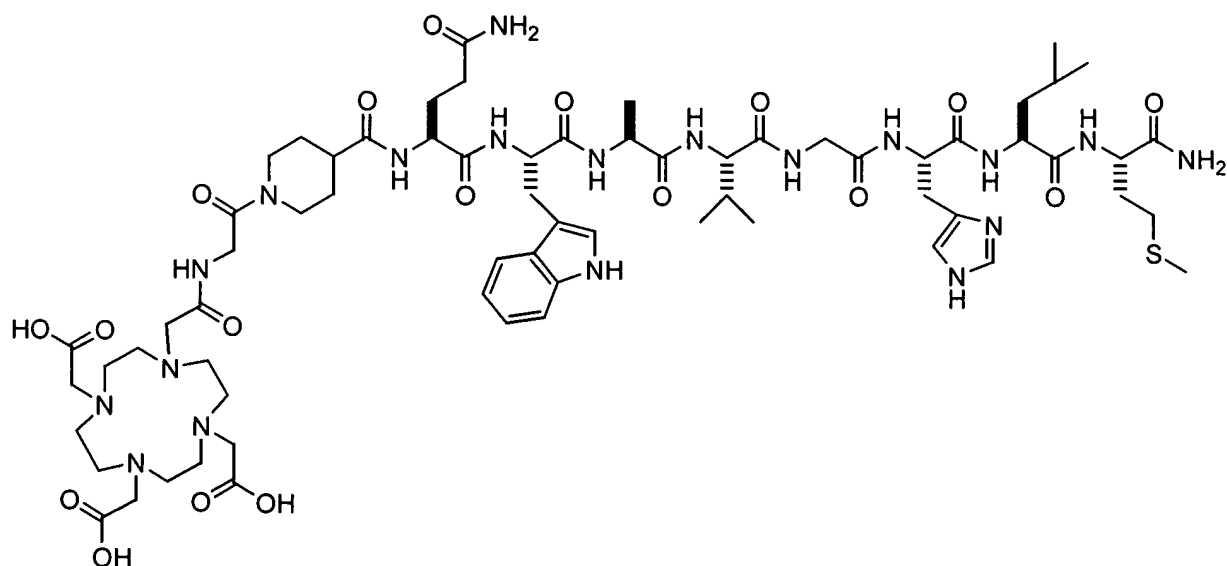


L116

FIG. 2E

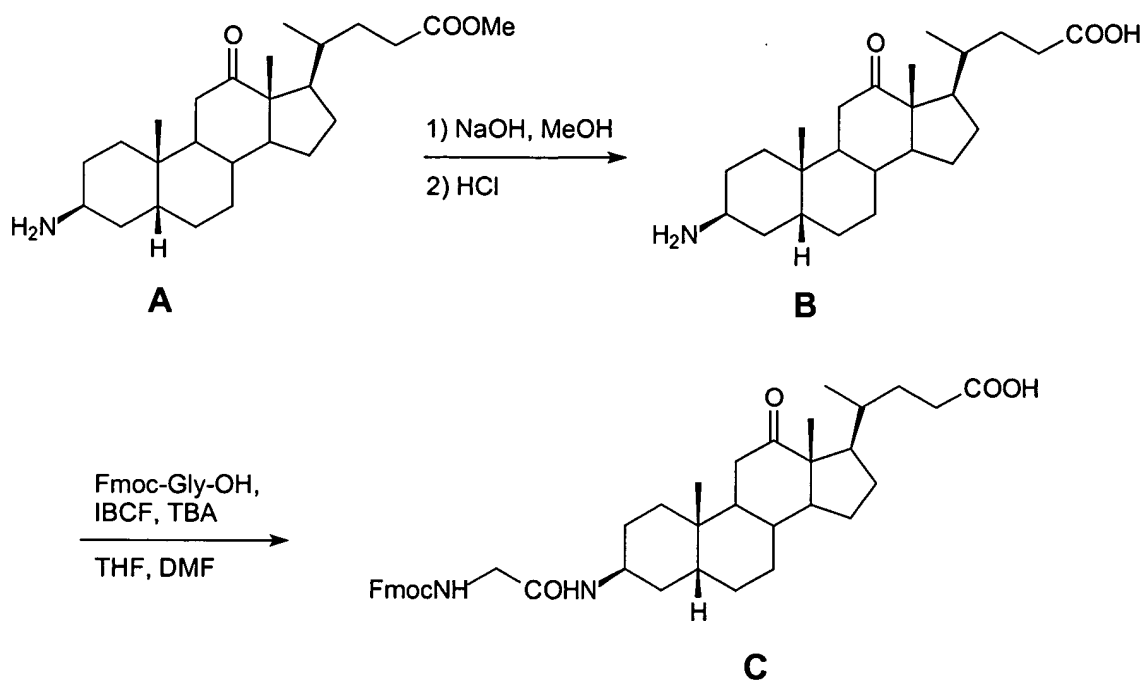


1. Morpholine (50% in DMA)
2. Fmoc-isonipecotic acid, DIC, HOBT, DMA
3. Morpholine (50% in DMA)
4. Fmoc-Gly-OH, DIC, HOBT, DMA
5. Morpholine (50% in DMA)
6. DOTA tri-t-butyl ester, DIC, HOBT, DIEA, DMA
7. Reagent B



L74

FIG. 2F



Where IBCF is isobutylchloroformate

FIG. 3A

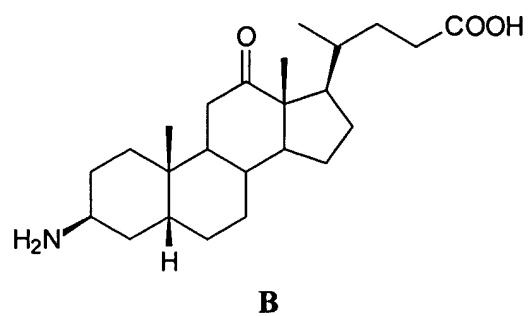


FIG. 3C

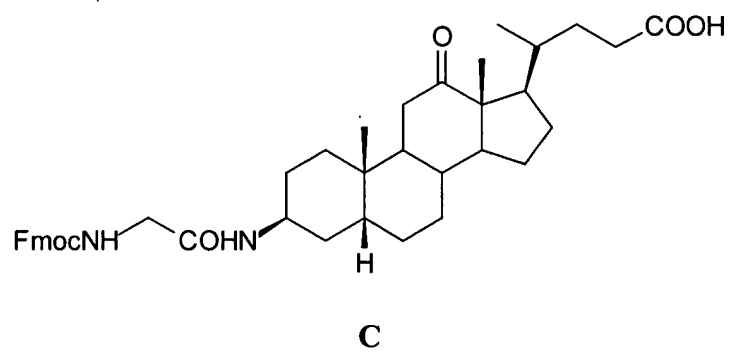
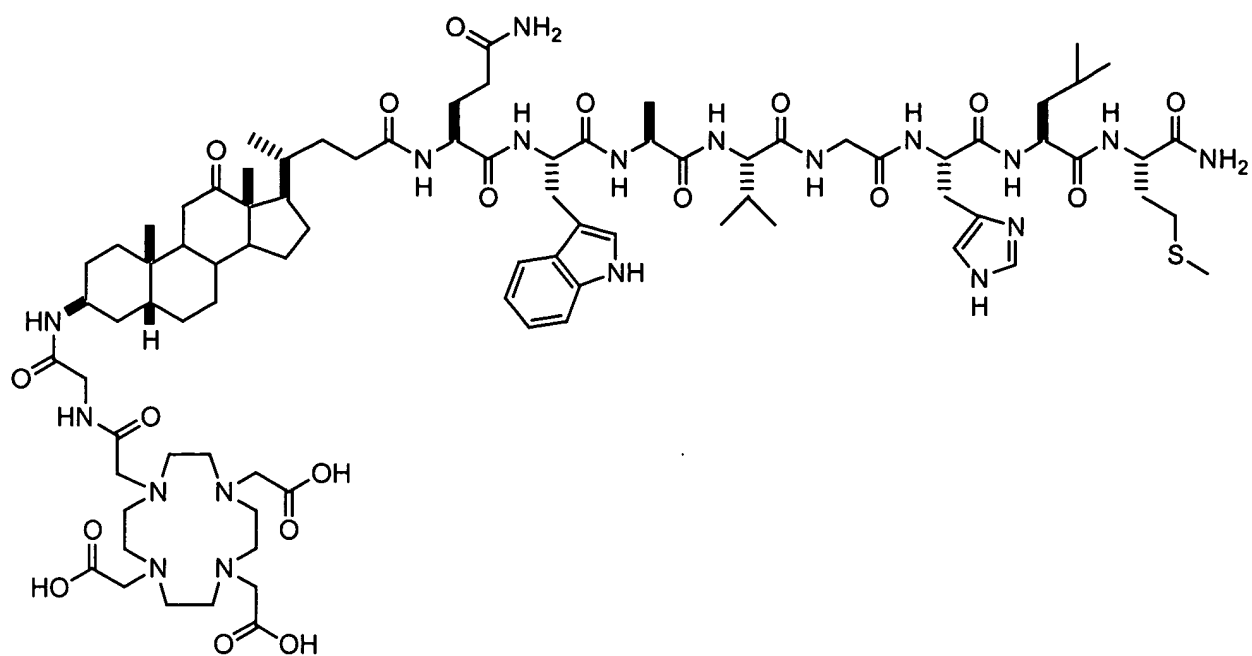


FIG. 3D



L67

FIG. 3E

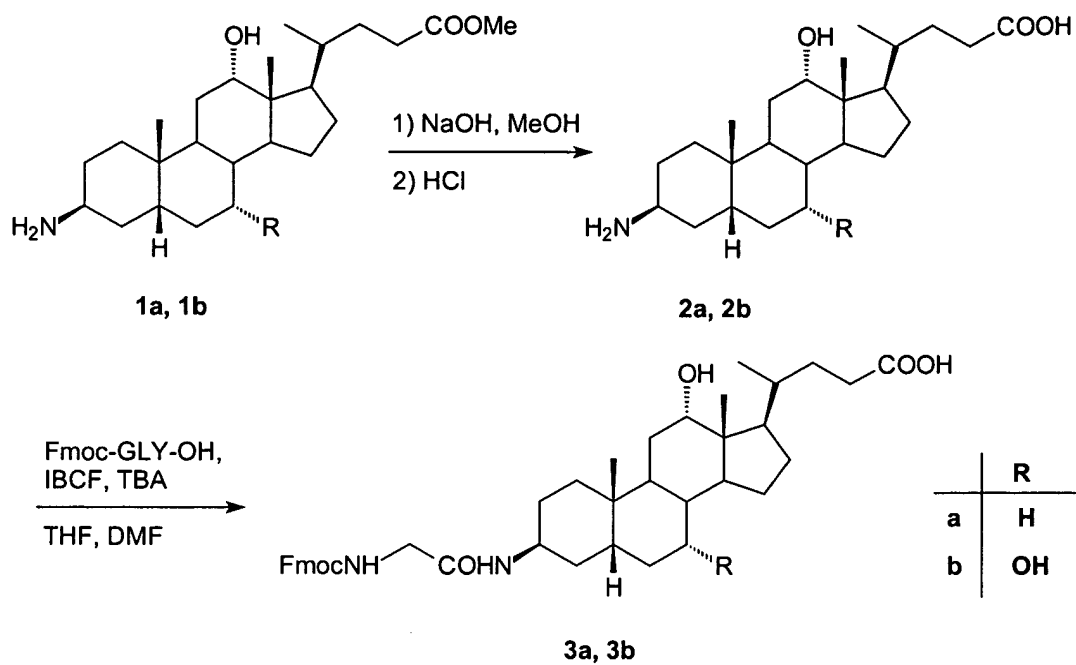
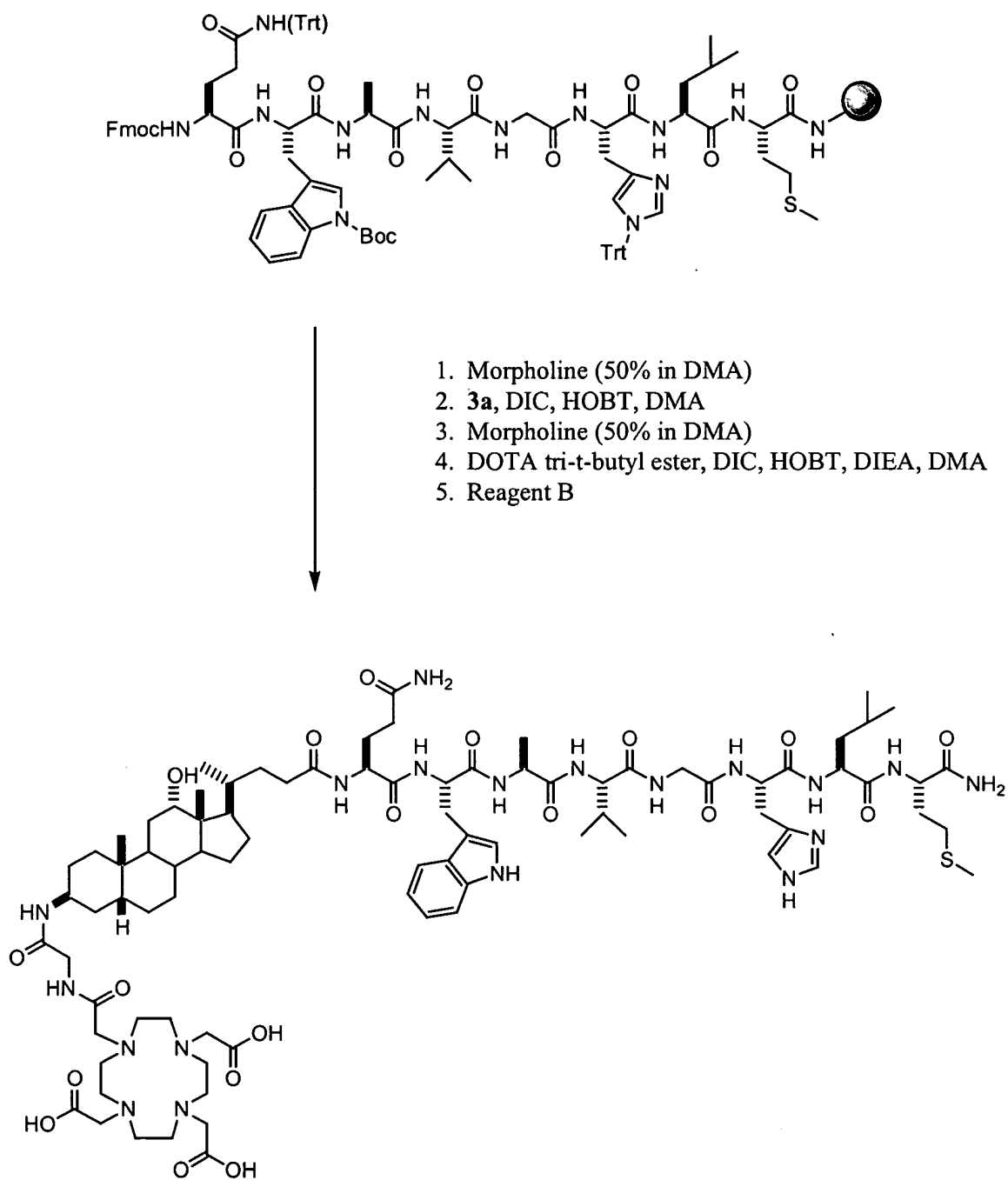


FIG. 4A



L63

FIG. 4B

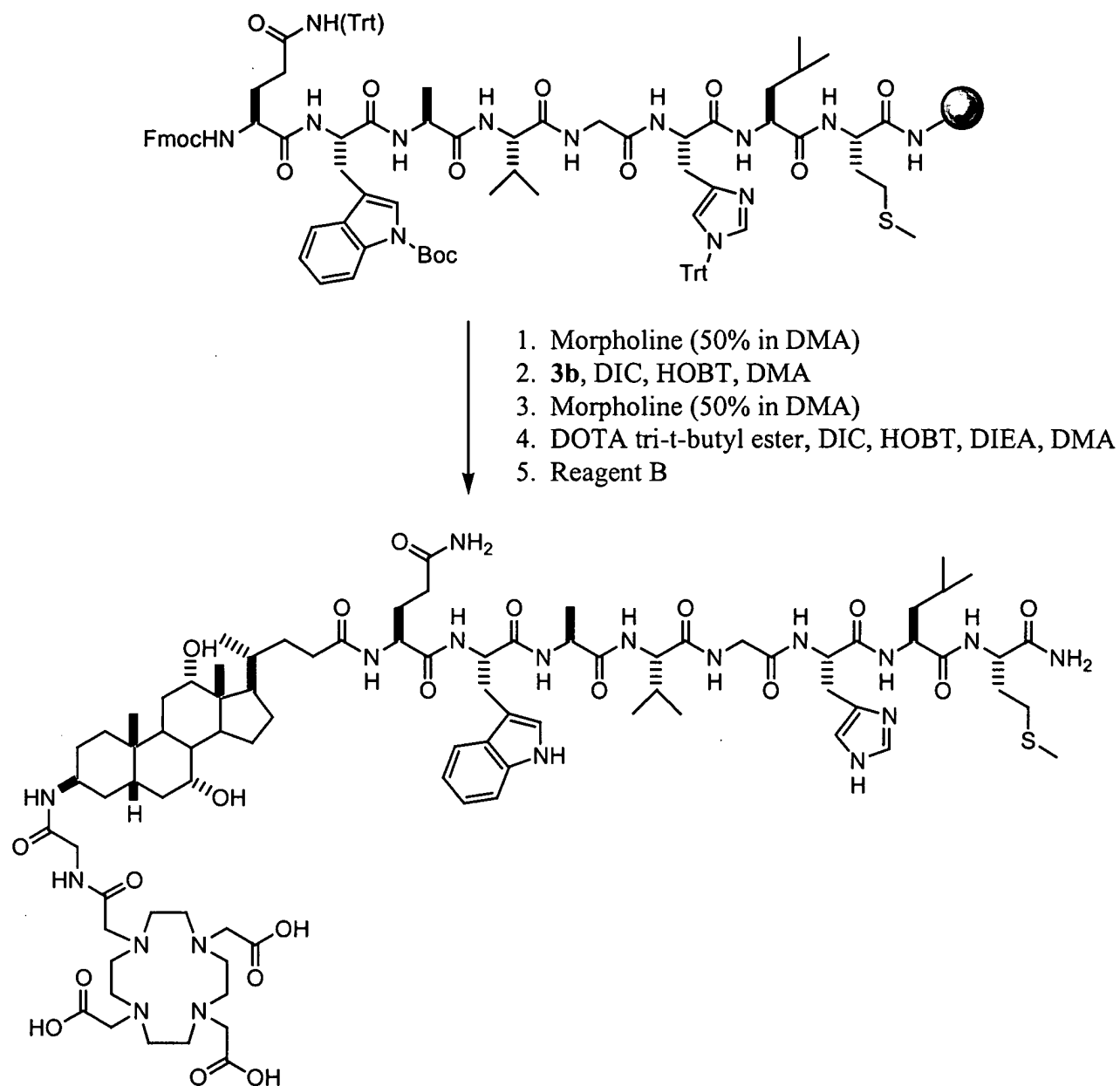
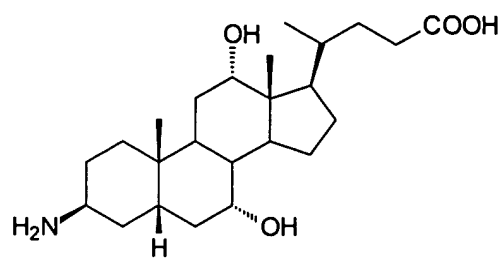
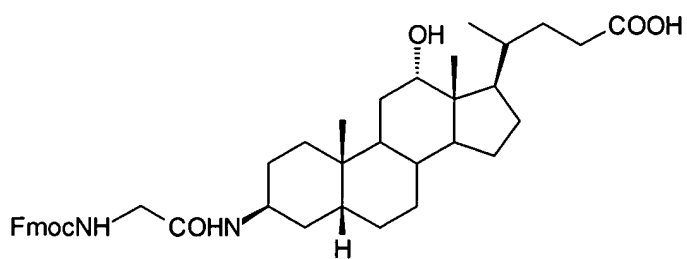


FIG. 4C



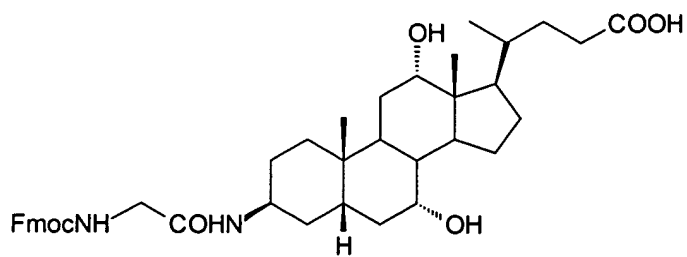
2b

FIG. 4D



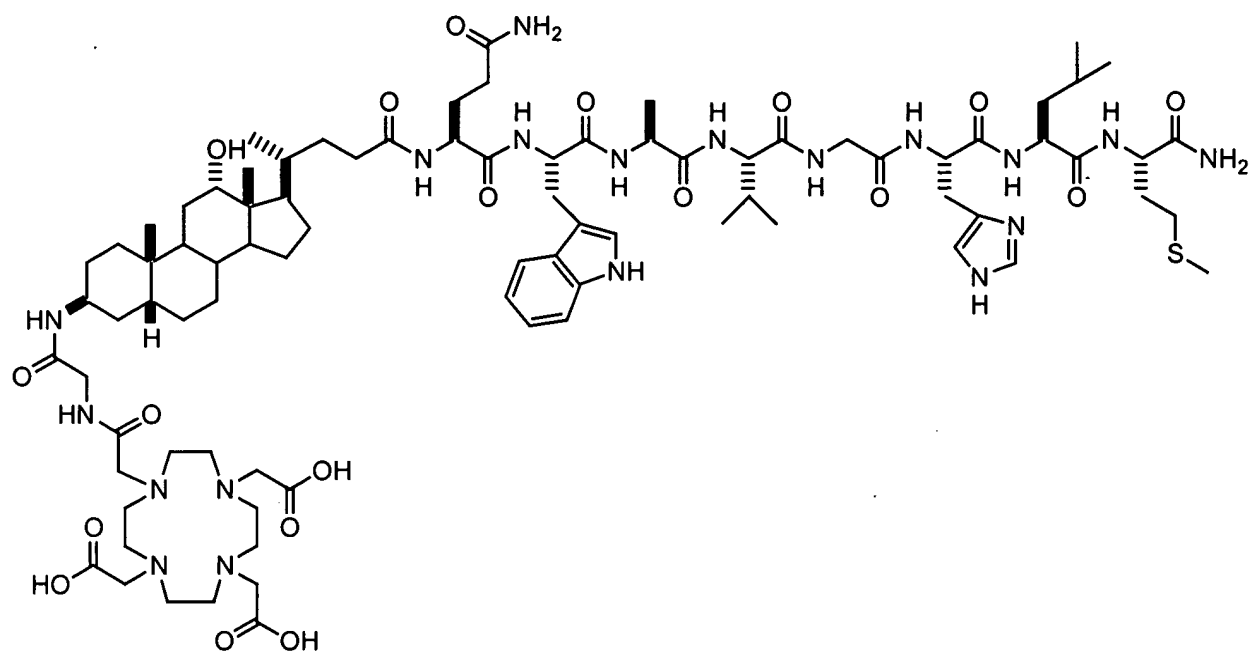
3a

FIG. 4E



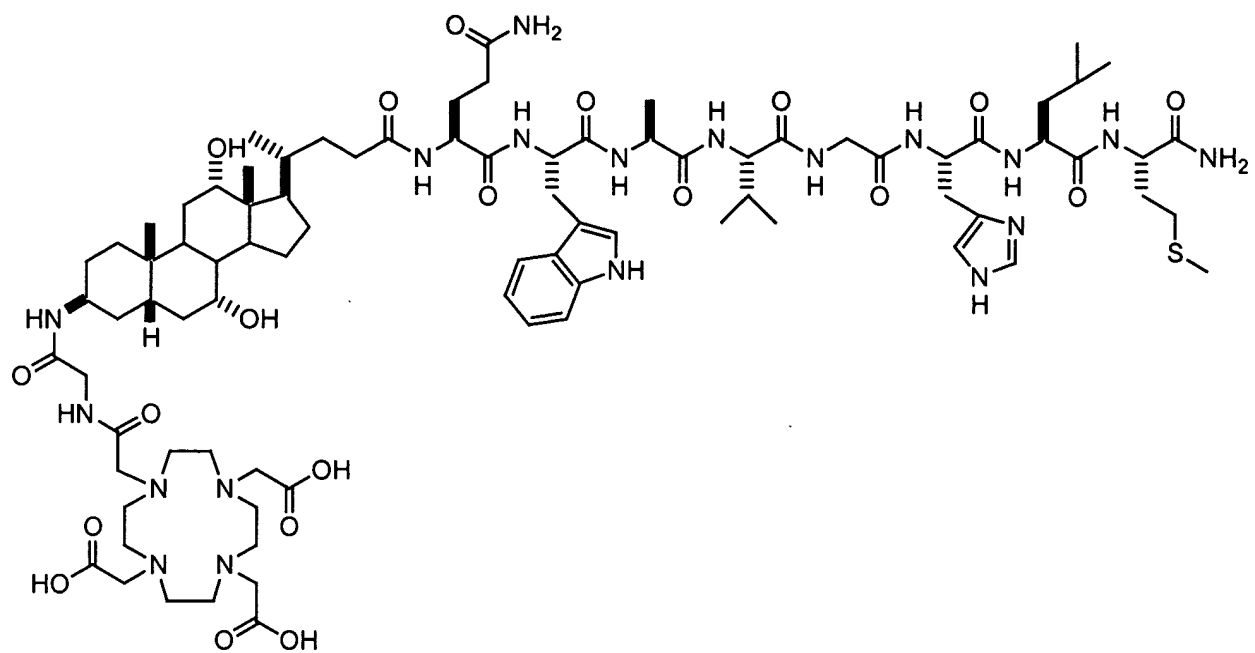
3b

FIG. 4F



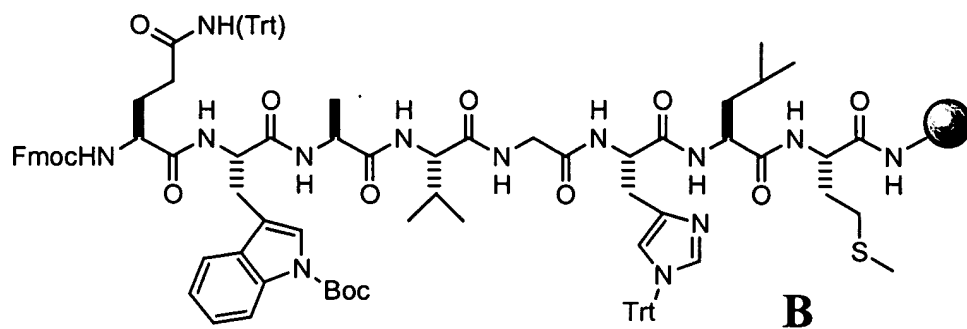
L63

FIG. 4G



L64

FIG. 4H



1. Morpholine (50% in DMA)
2. Fmoc-linker-OH, DIC, HOBT, DMA
3. Morpholine (50% in DMA)
4. DOTA tri-t-butyl ester, DIC, HOBT, DIEA, DMA
5. Reagent B

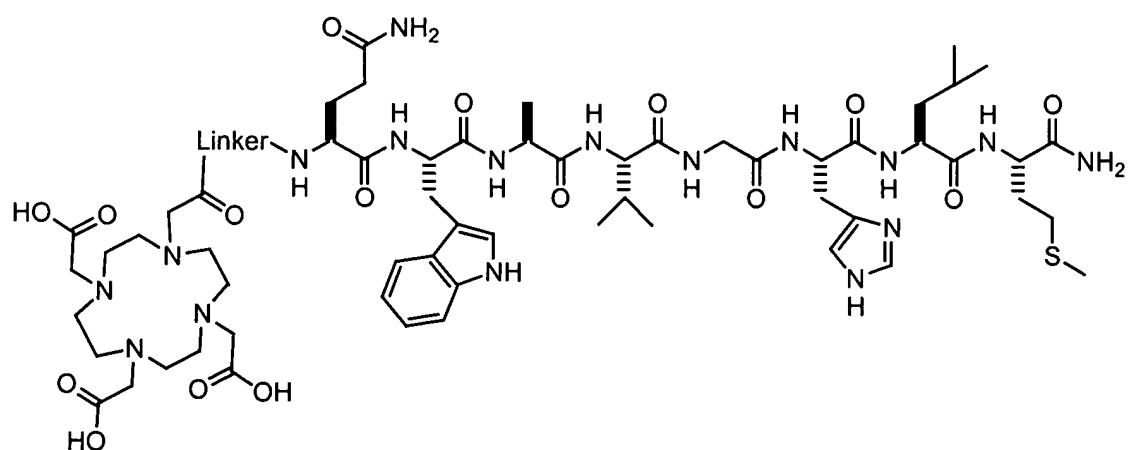
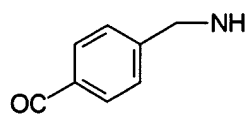
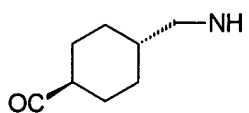


FIG. 5A



L71 linker

FIG. 5B



L72 linker

FIG. 5C

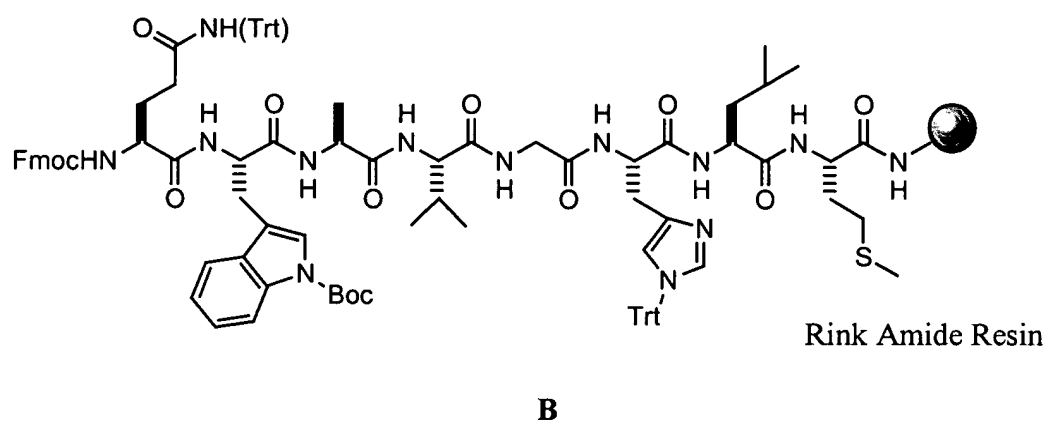


FIG. 5D

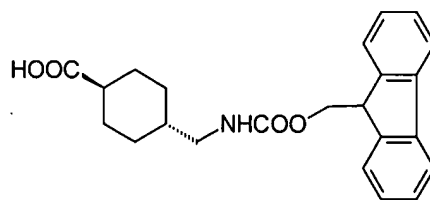


FIG. 5E

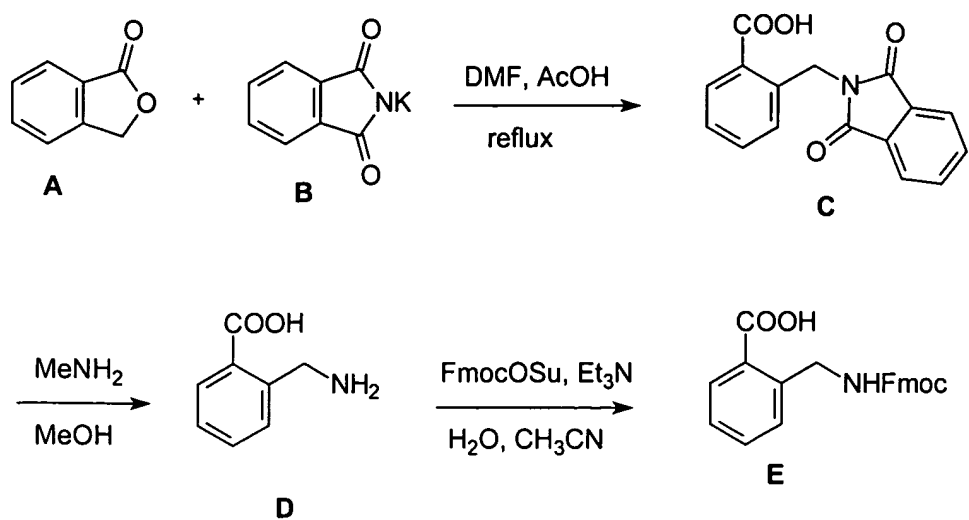


FIG. 6A

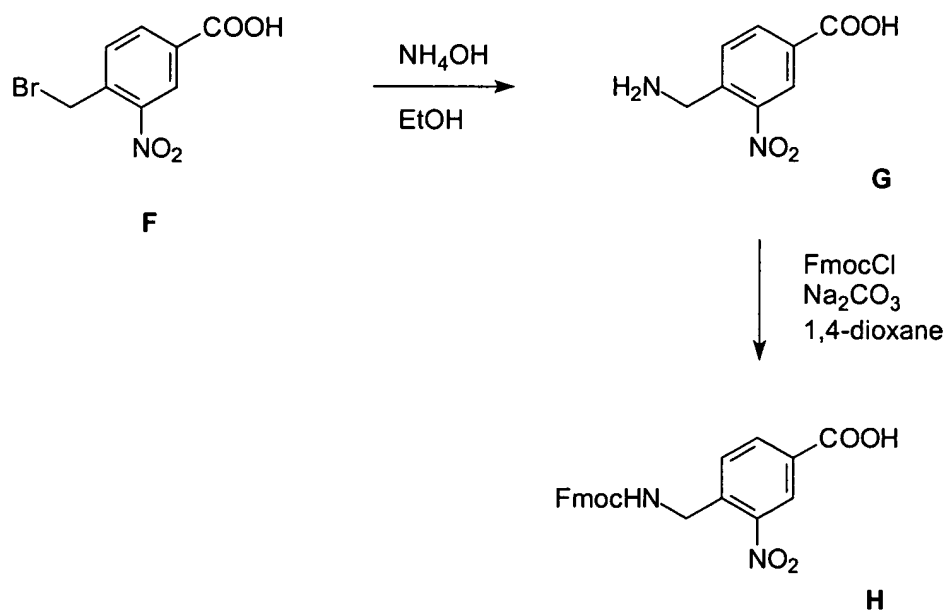
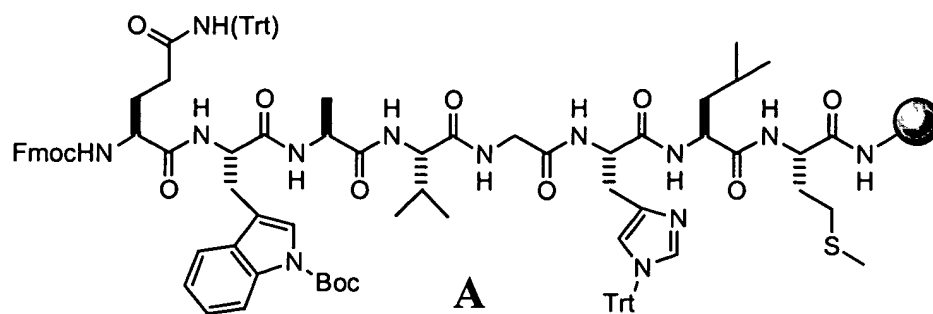


FIG. 6B



1. Morpholine (50% in DMA)
2. E, DIC, HOBT, DMA
3. Morpholine (50% in DMA)
4. DOTA tri-t-butyl ester, DIC, HOBT, DIEA, DMA
5. Reagent B

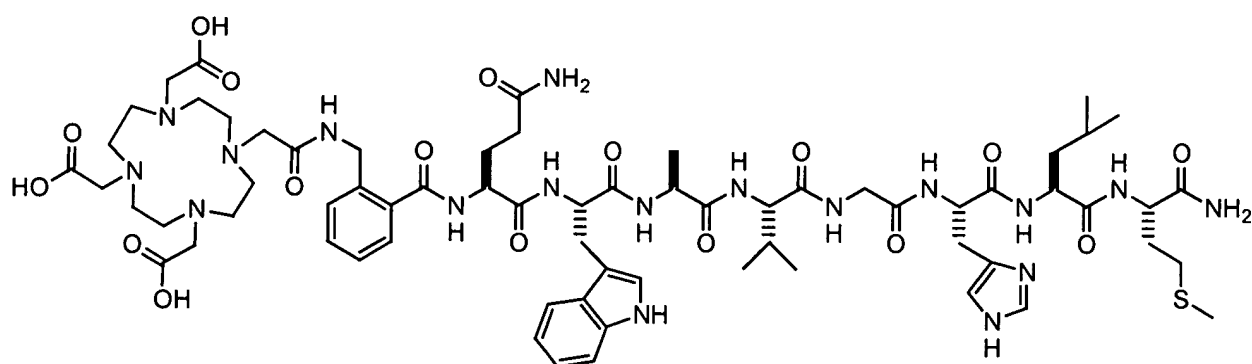
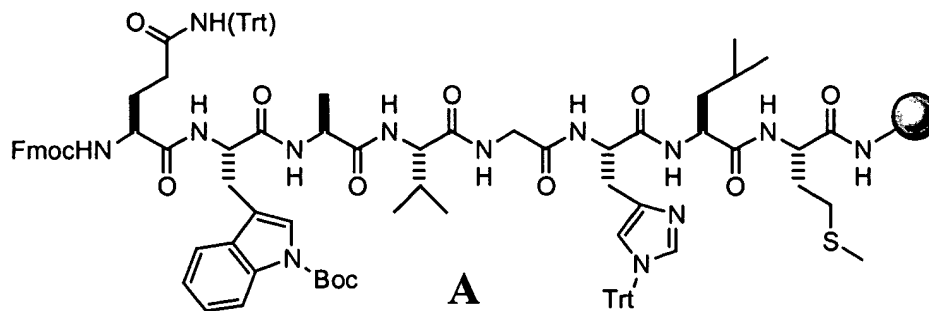


FIG. 6C



1. Morpholine (50% in DMA)
2. H, DIC, HOBT, DMA
3. Morpholine (50% in DMA)
4. DOTA tri-t-butyl ester, DIC, HOBT, DIEA, DMA
5. Reagent B

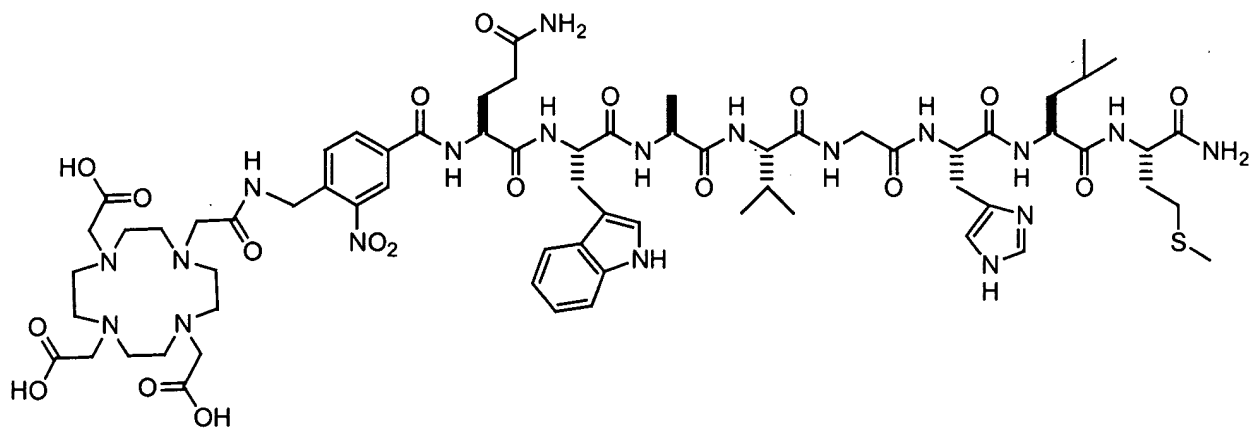


FIG. 6D

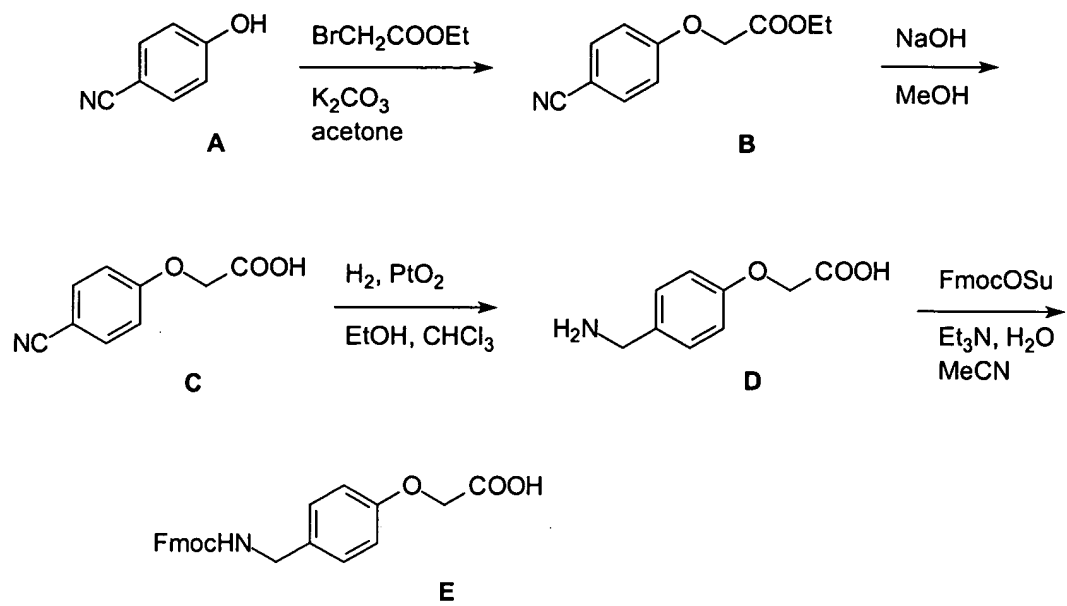
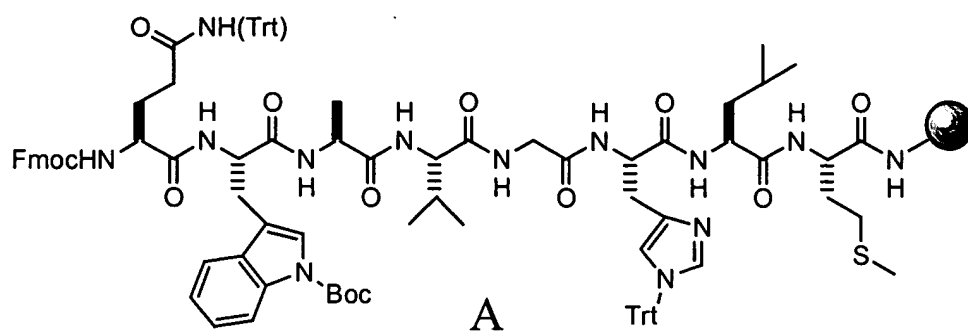
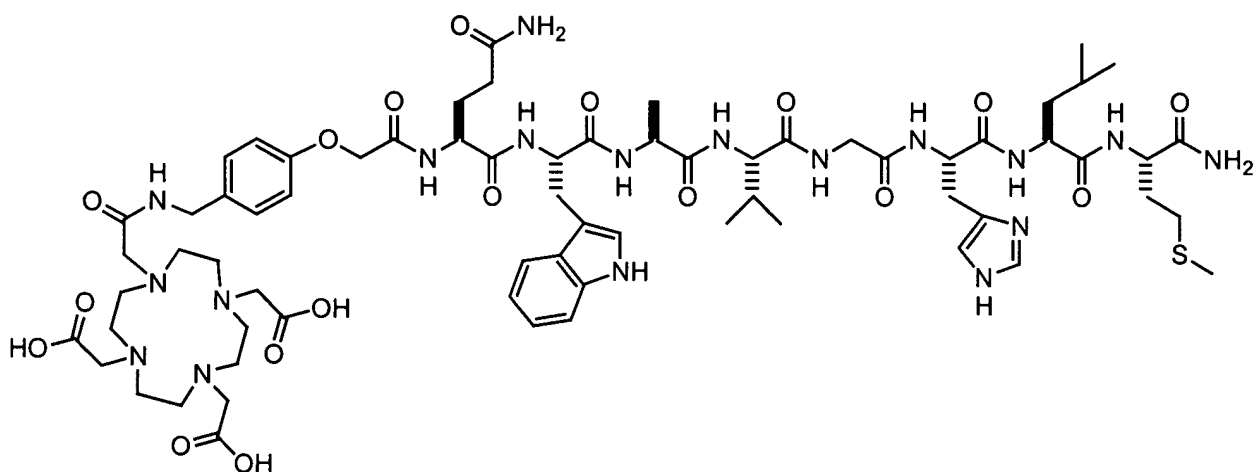


FIG. 7A

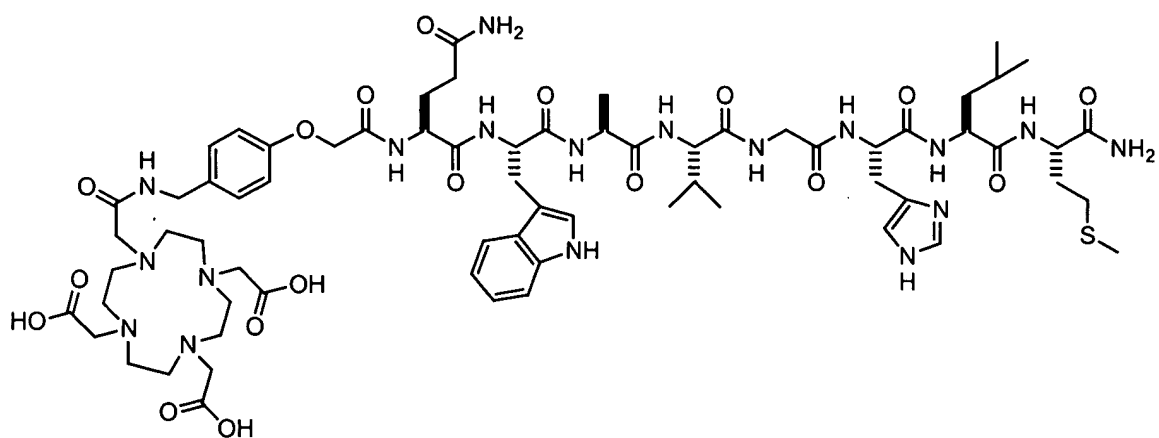


1. Morpholine (50% in DMA)
2. **E**, DIC, HOBT, DMA
3. Morpholine (50% in DMA)
4. DOTA tri-t-butyl ester, DIC, HOBT, DIEA, DMA
5. Reagent B



L124

FIG. 7B



L124

FIG. 7C

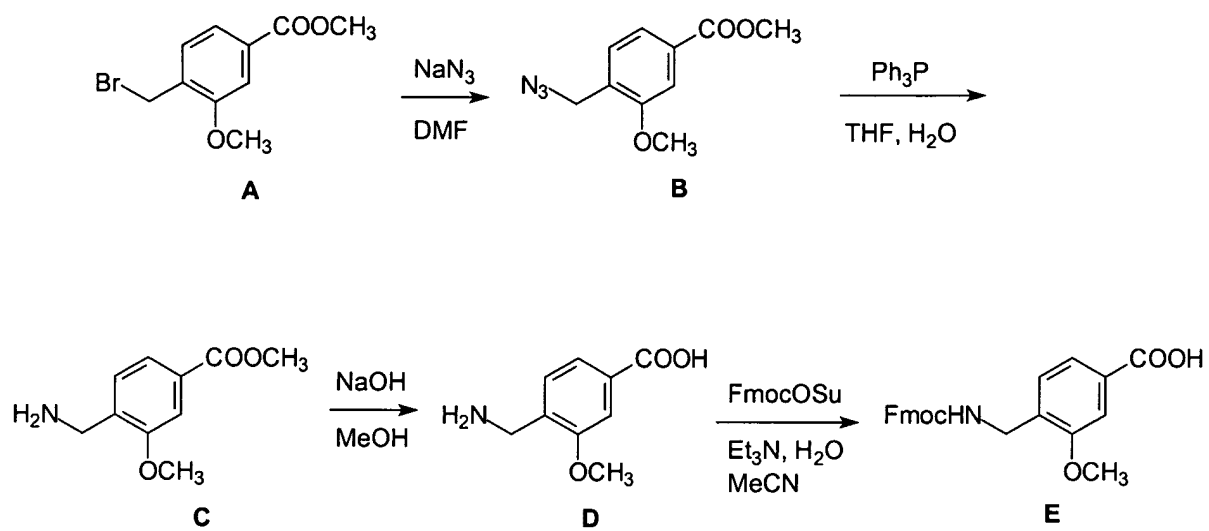
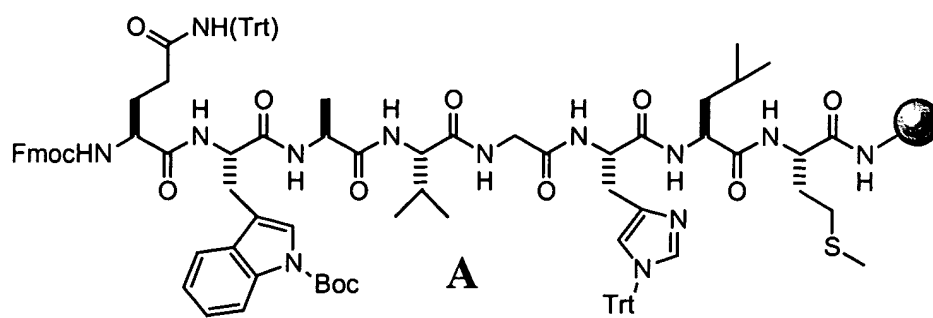


FIG. 8A



1. Morpholine (50% in DMA)
2. **E**, DIC, HOBt, DMA
3. Morpholine (50% in DMA)
4. DOTA tri-*t*-butyl ester, DIC, HOBT, DIEA, DMA
5. Reagent B

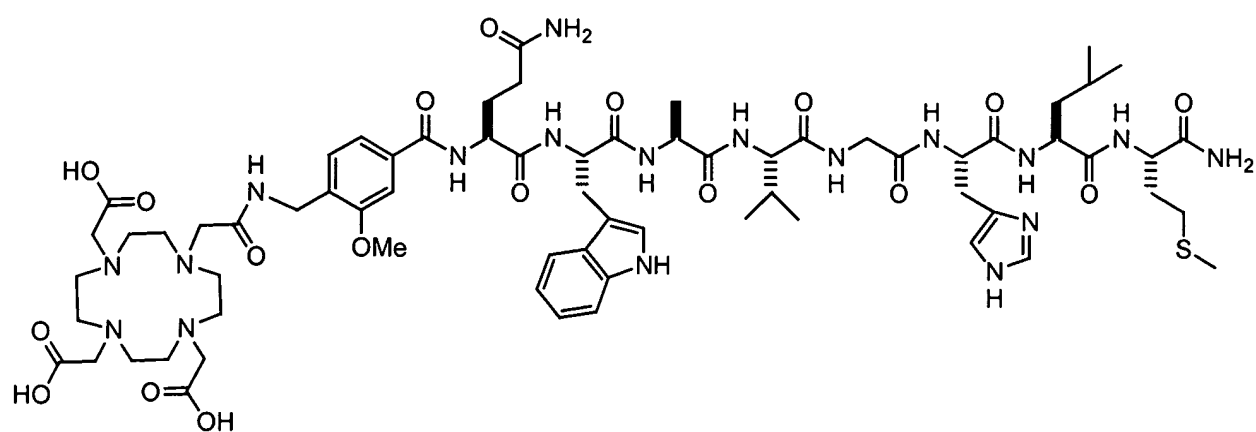
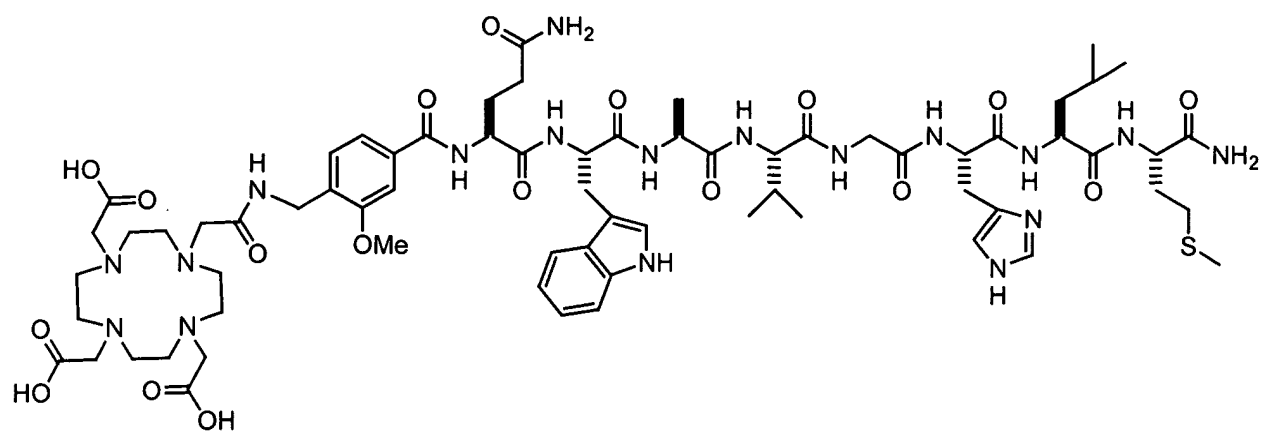


FIG. 8B



L125

FIG. 8C

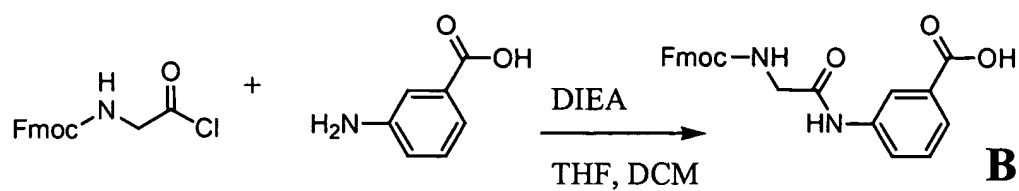


FIG. 9A

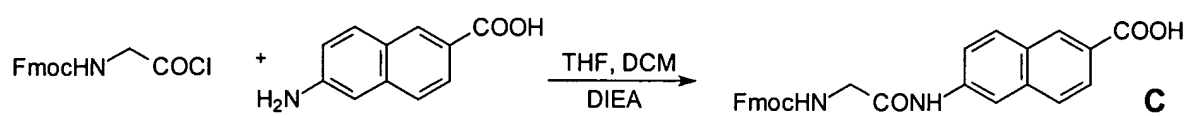


FIG. 9B

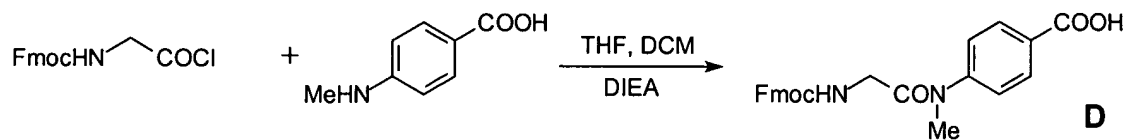
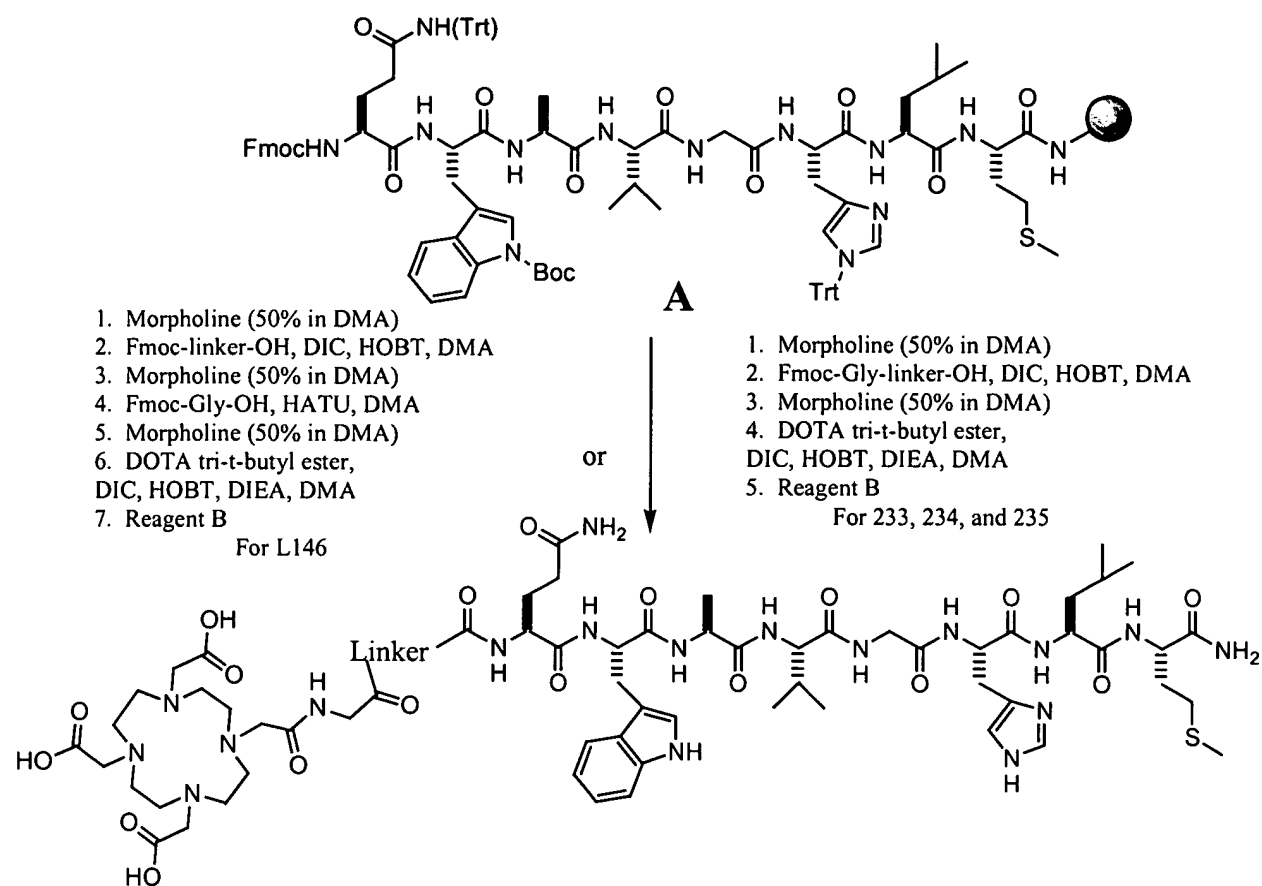


FIG. 9C



LINKER	PRODUCT
	L146
	L233
	L234
	L235

FIG. 9D

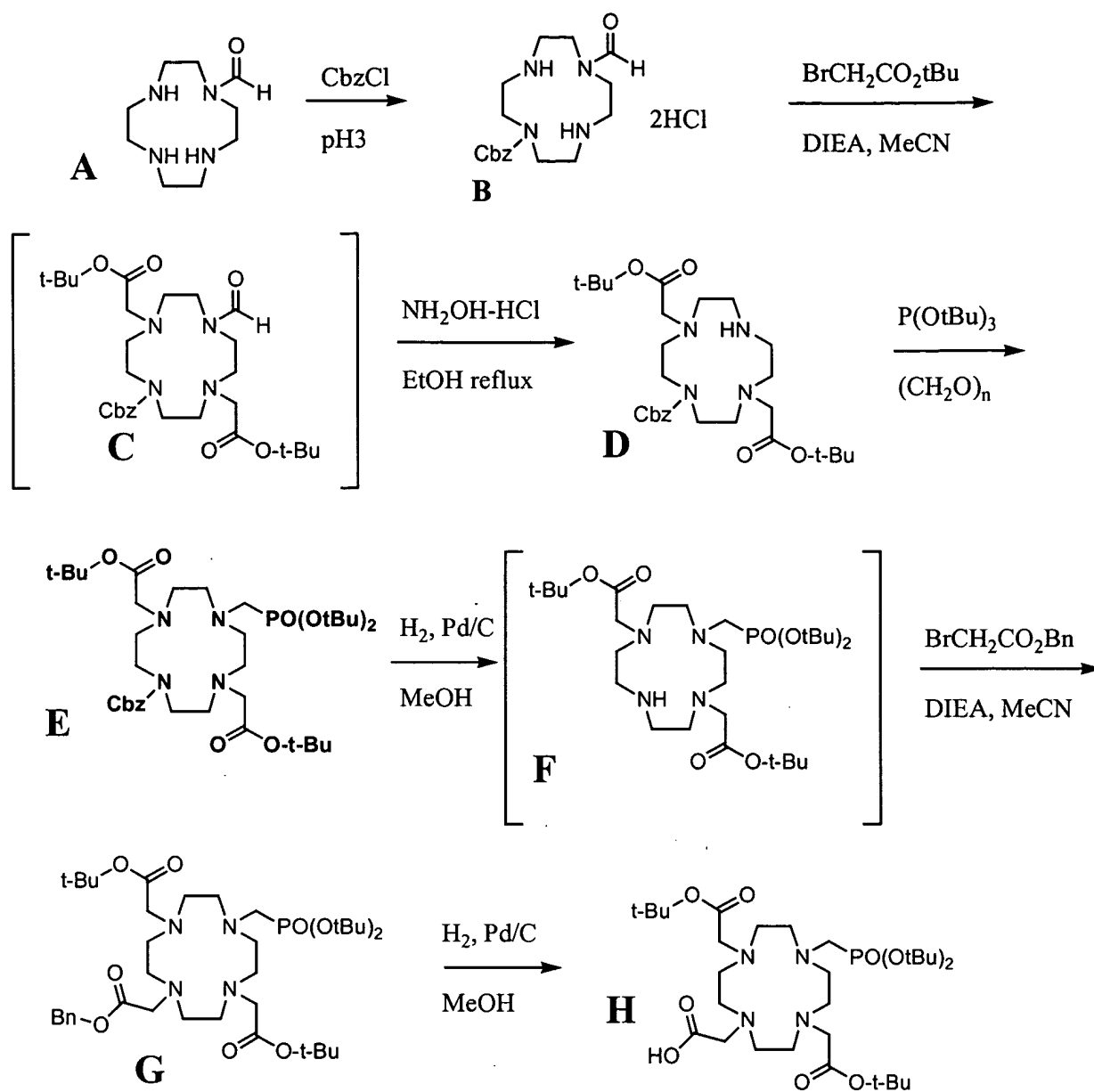
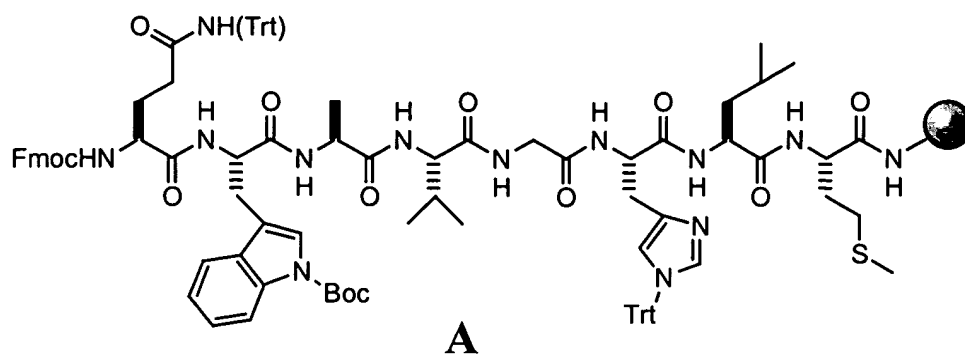


FIG. 10A



1. Morpholine (50% in DMA)
2. Fmoc-4-aminobenzoic acid, HATU, DMA
3. Morpholine (50% in DMA)
4. Fmoc-Gly-OH, HATU, DMA
5. Morpholine (50% in DMA)
6. **H**, DIC, HOBT, DIEA, DMA
7. Reagent B

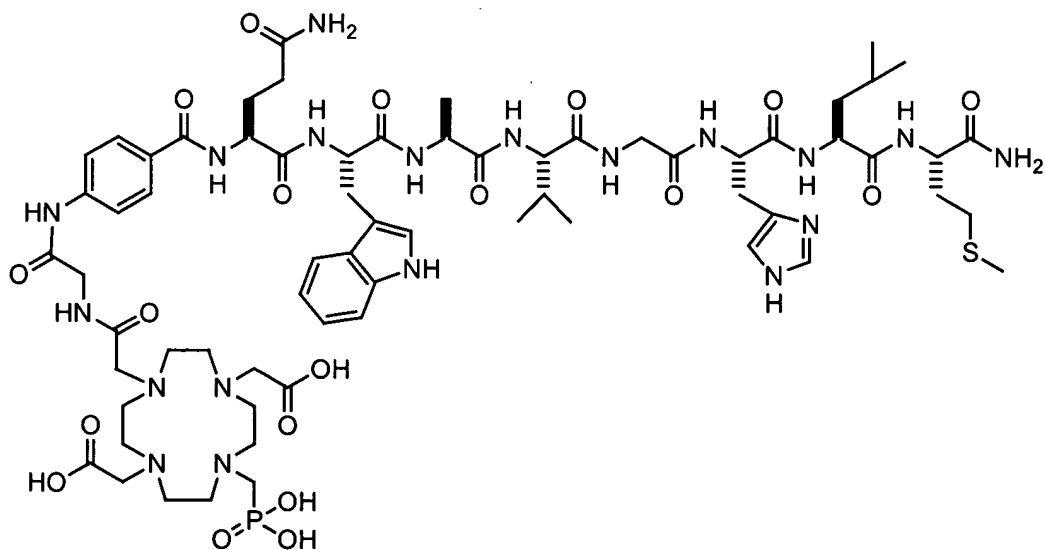
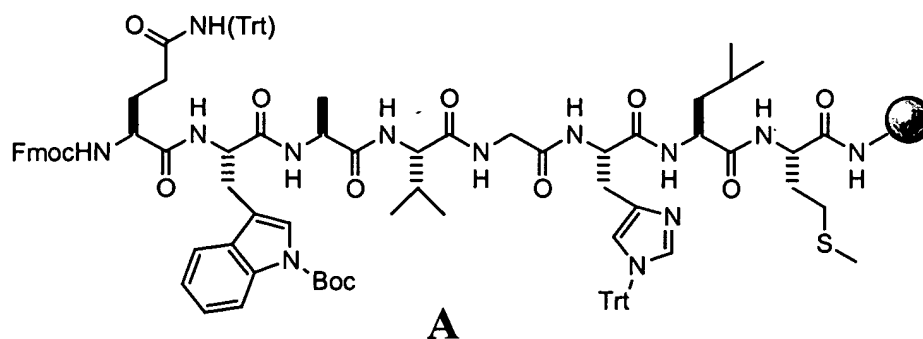


FIG. 10B



1. Morpholine (50% in DMA)
2. Fmoc-4-aminobenzoic acid, DIC, HOBT, DIEA, DMA
3. Morpholine (50% in DMA)
4. Fmoc-Gly-OH, DIC, HOBT, DIEA, DMA
5. Morpholine (50% in DMA)
6. Fmoc-Cys(Acm)-OH, DIC, HOBT, DIEA, DMA

8. Morpholine (50% in DMA)
9. Fmoc-Ser(tBu)-OH, DIC, HOBT, DIEA, DMA
10. Morpholine (50% in DMA)
11. N,N-Me₂Gly-OH, DIC, HOBT, DIEA, DMA
13. Reagent B

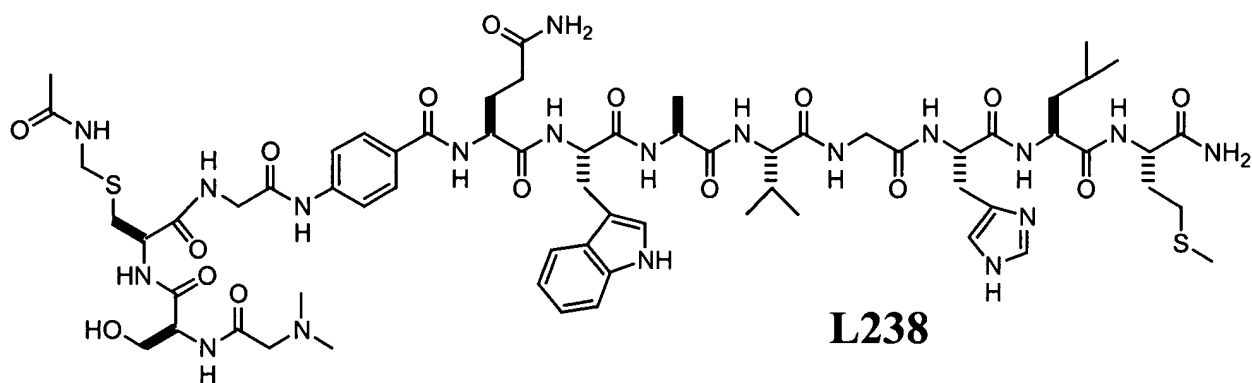
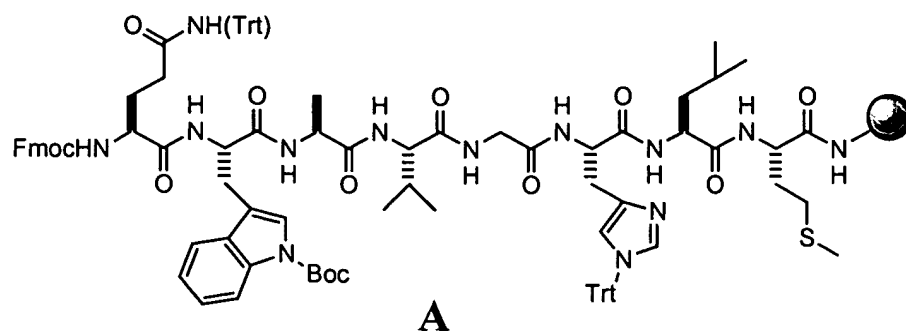


FIG. 11A



1. Morpholine (50% in DMA)
2. **B**, DIC, HOBT, DIEA, DMA
3. Morpholine (50% in DMA)
4. Fmoc-Gly-OH,
DIC, HOBT, DIEA, DMA
5. Morpholine (50% in DMA)
6. Fmoc-Cys(Acm)-OH,
DIC, HOBT, DIEA, DMA

8. Morpholine (50% in DMA)
9. Fmoc-Ser(tBu)-OH
DIC, HOBT, DIEA, DMA
10. Morpholine (50% in DMA)
11. N,N-Me₂Gly-OH,
DIC, HOBT, DIEA, DMA
13. Reagent B

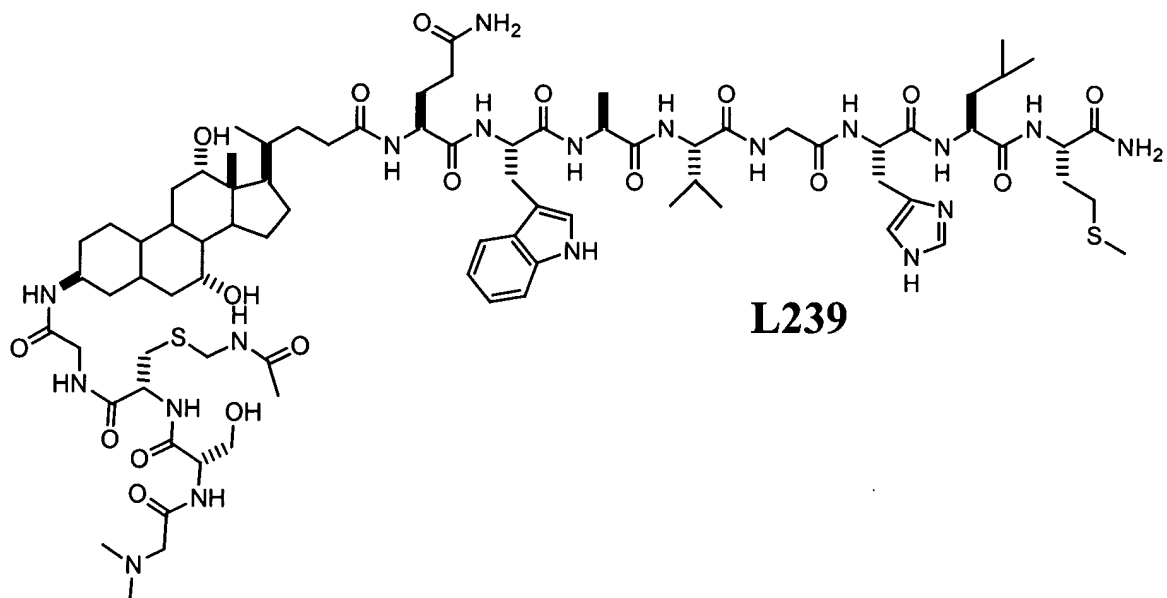
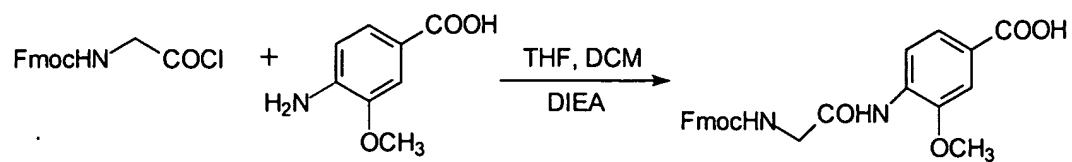
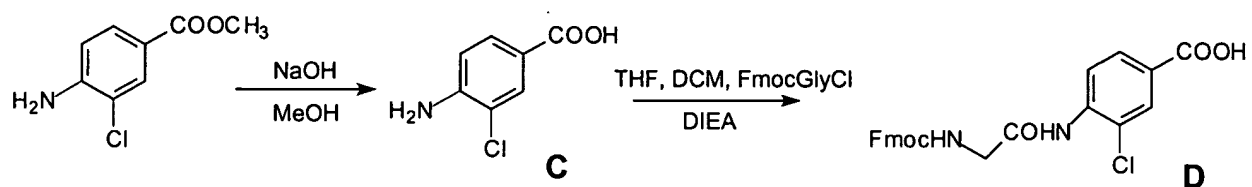


FIG. 11B



A

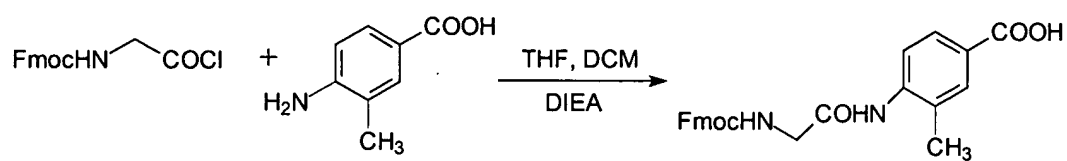
FIG. 12A



C

D

FIG. 12B



E

FIG. 12C

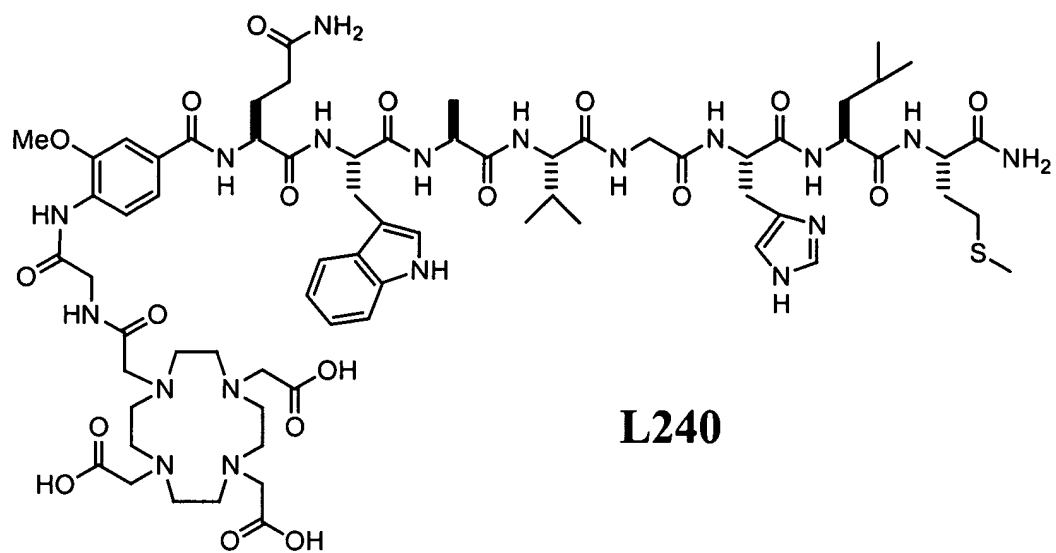


FIG. 12D

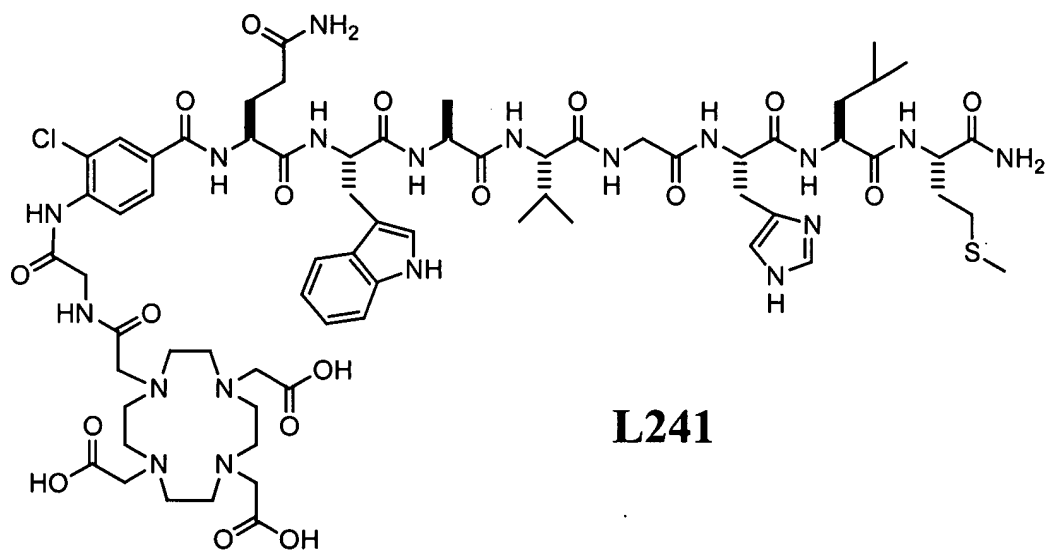


FIG. 12E

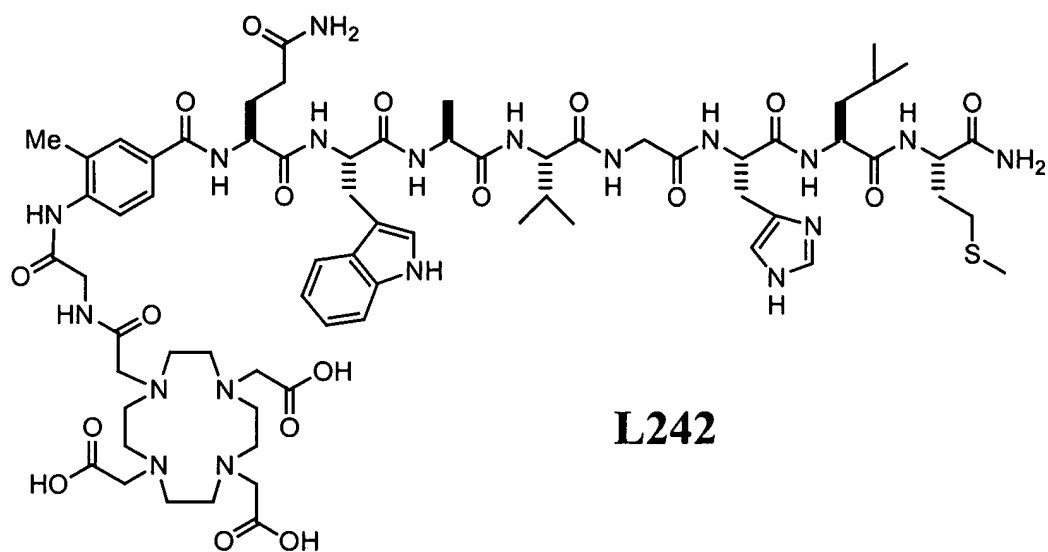


FIG. 12F

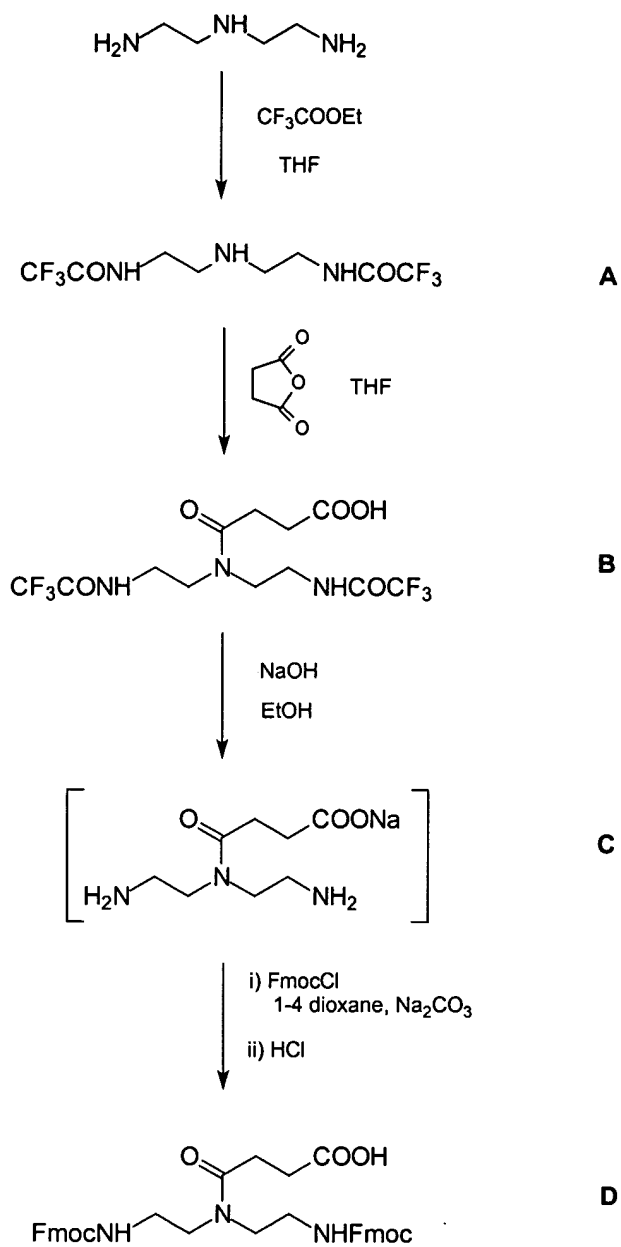


FIG. 13A

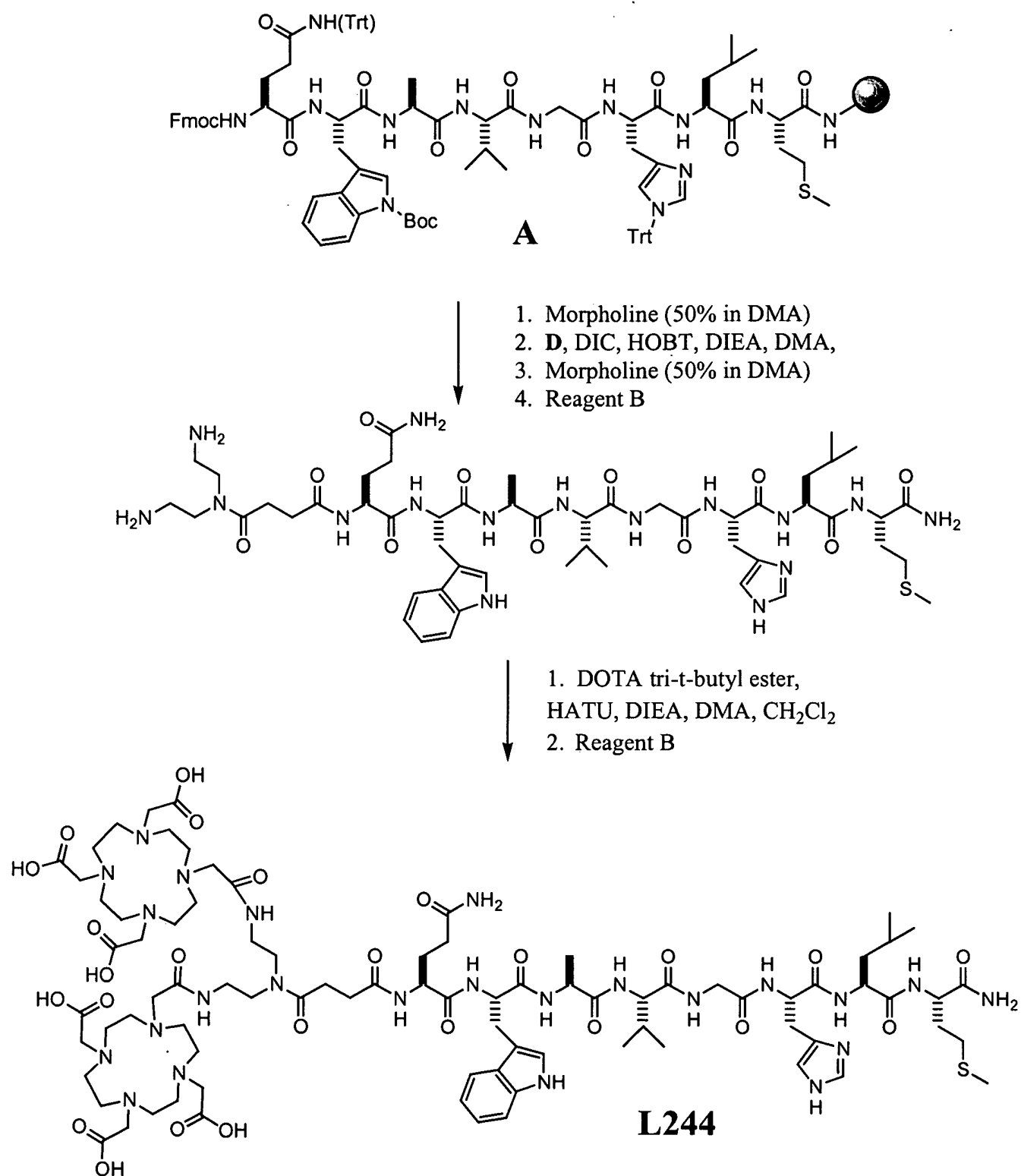


FIG. 13B



KL3:2333016.1

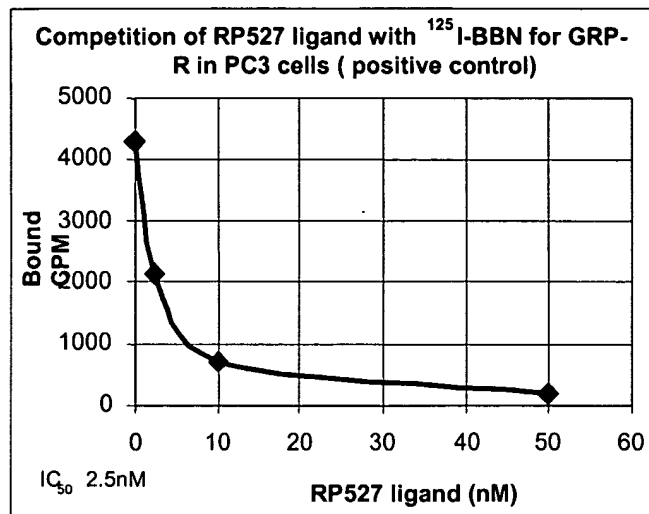


FIG. 14A

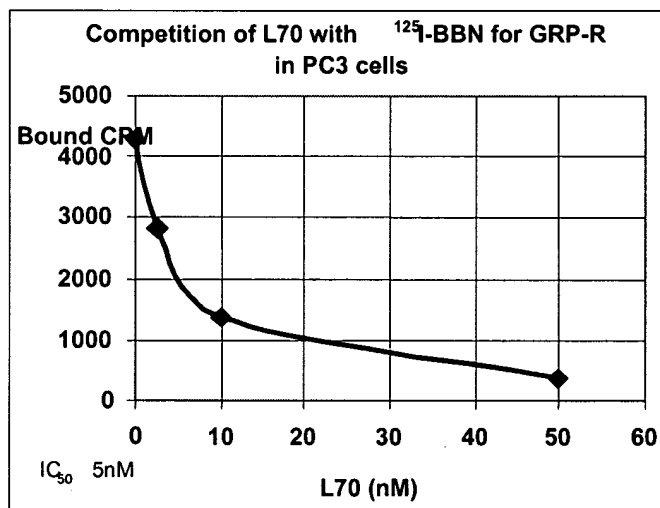


FIG. 14B

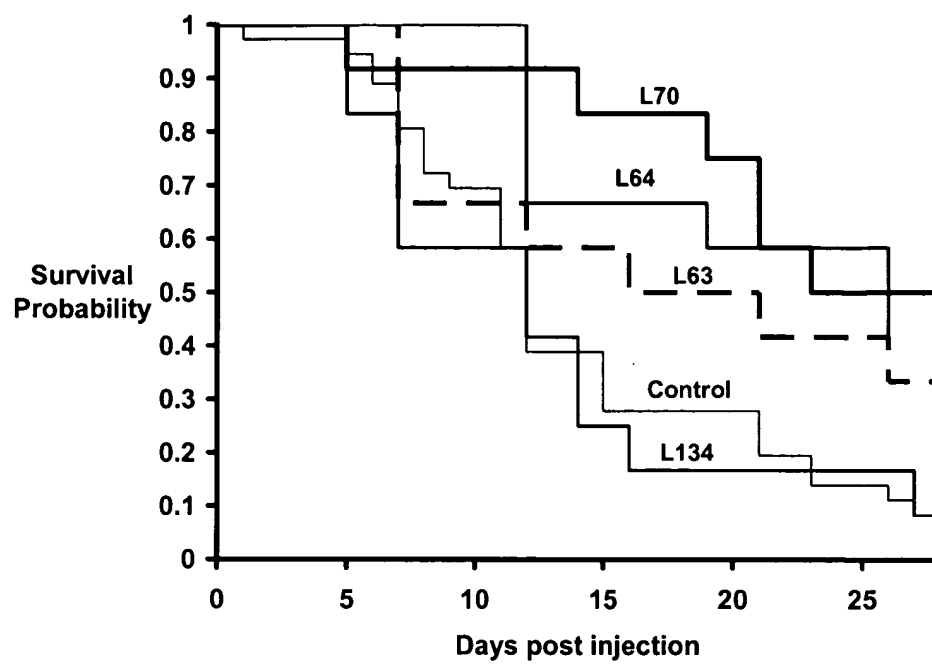


FIG. 15A

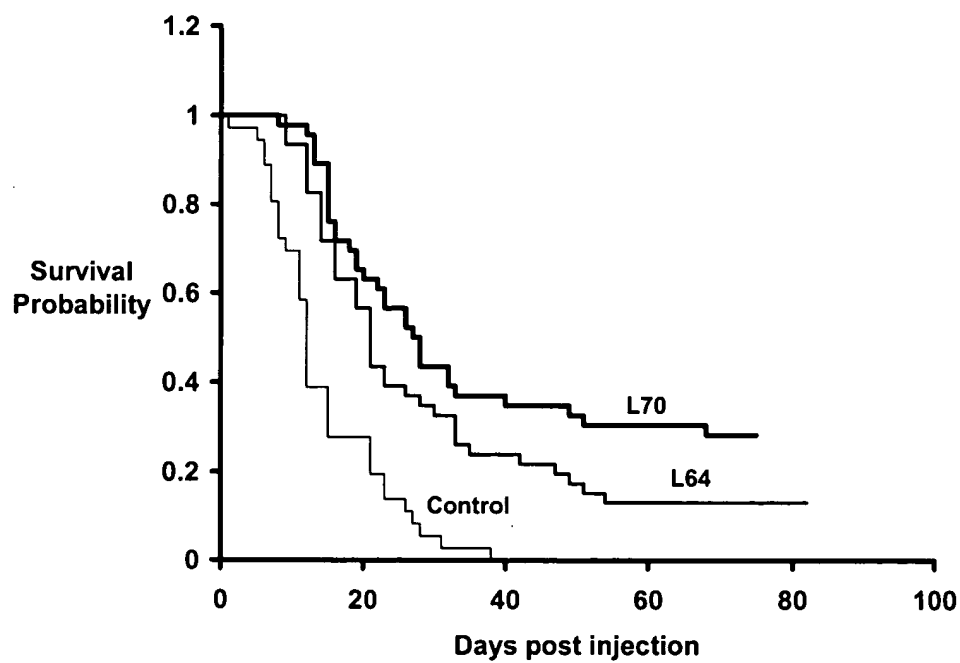
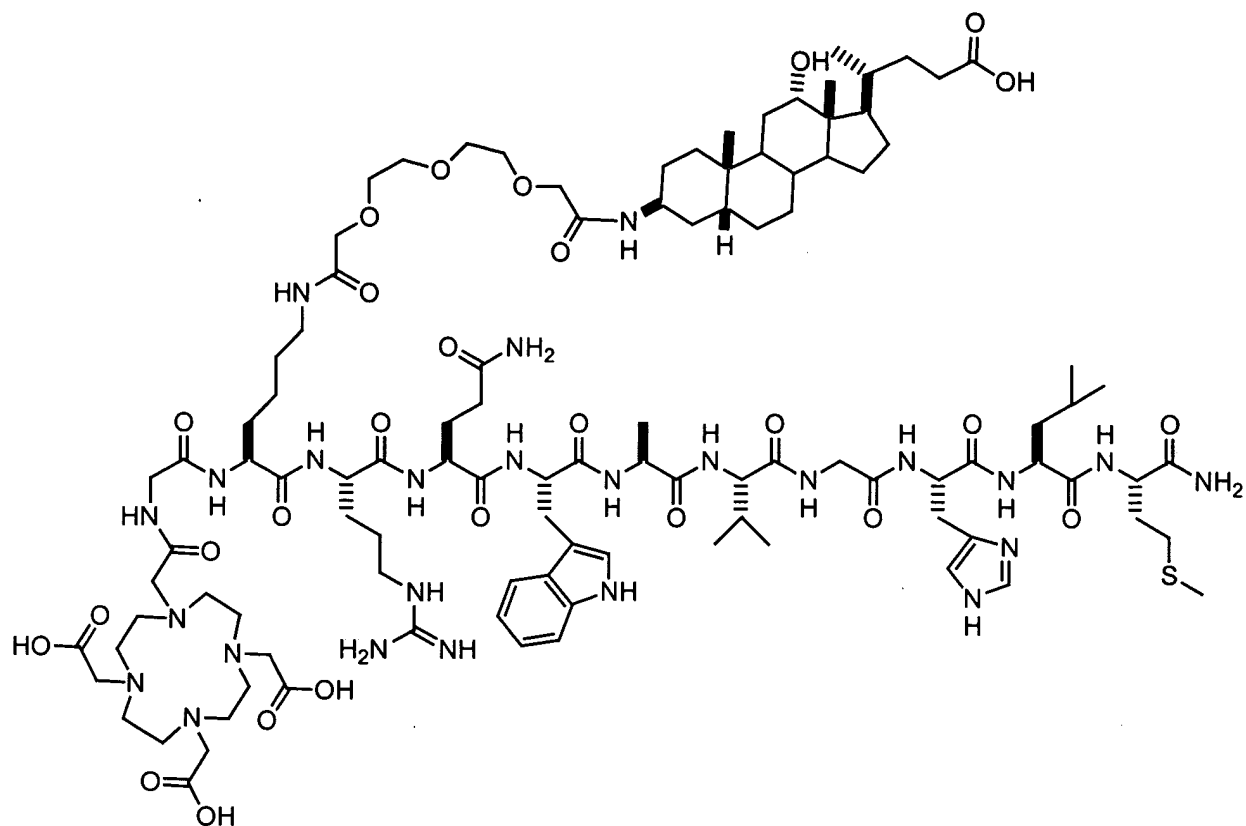
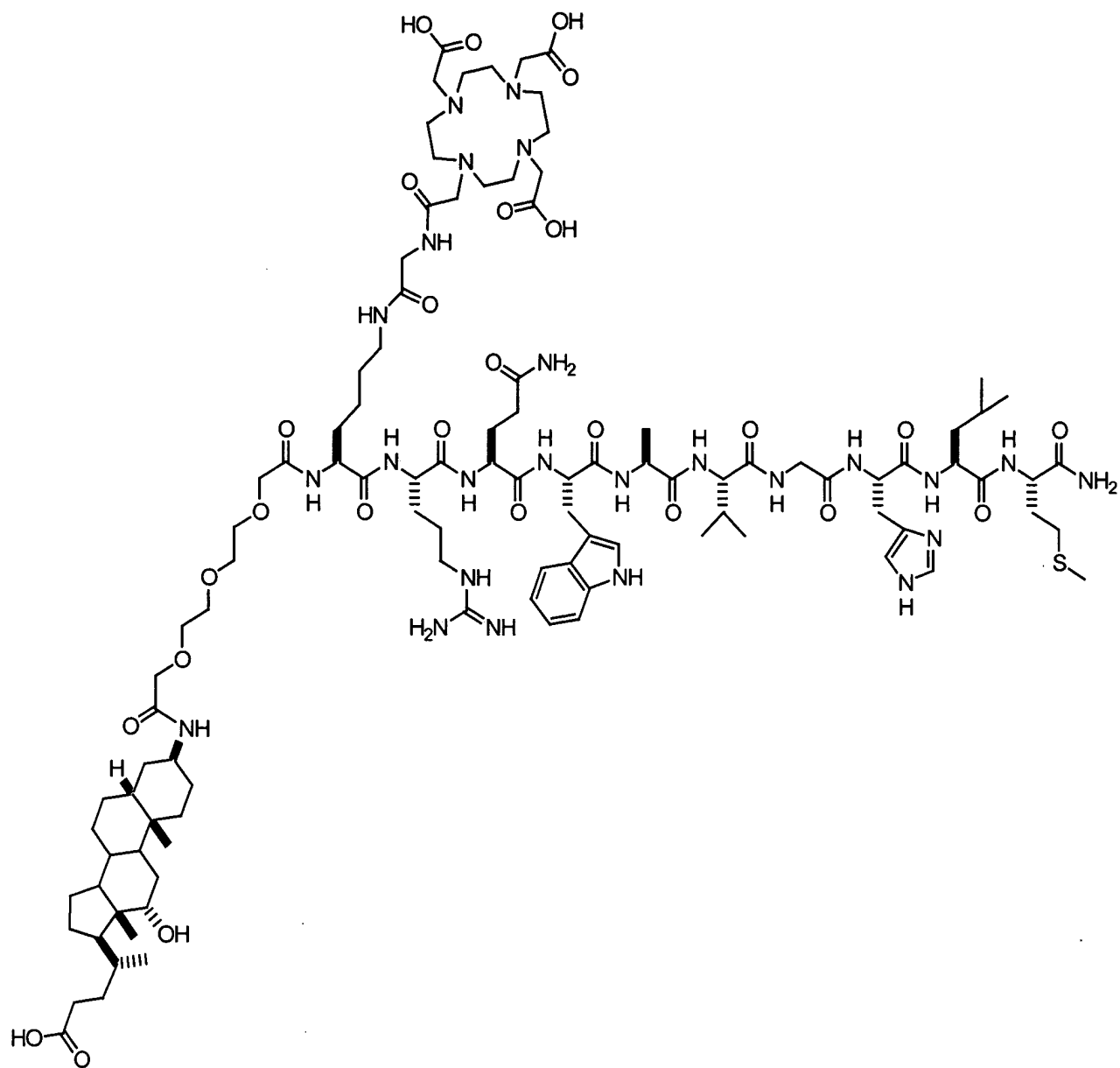


FIG. 15B



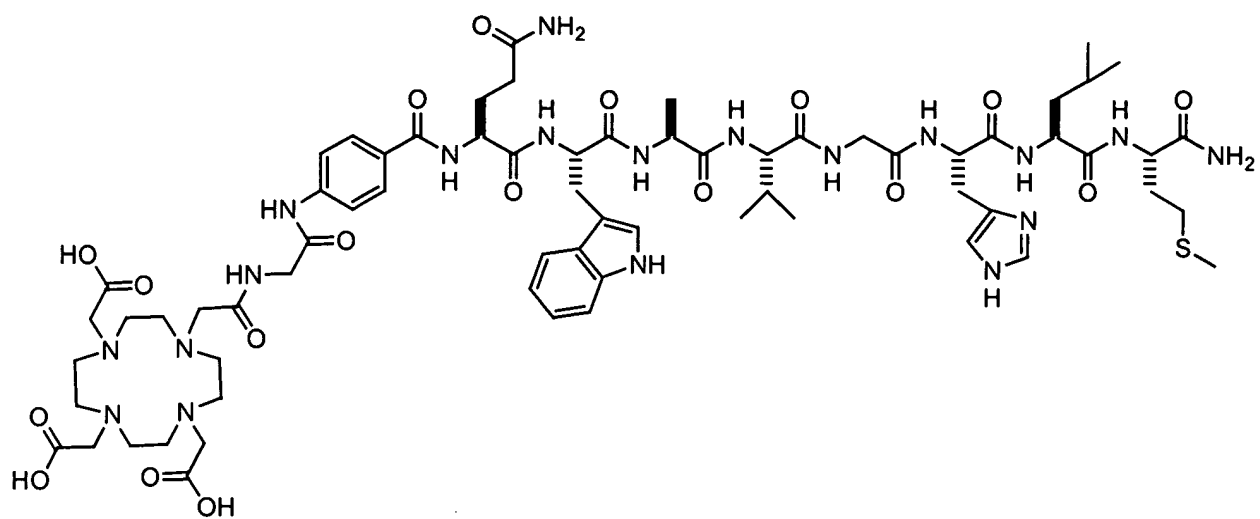
L65

FIG. 16



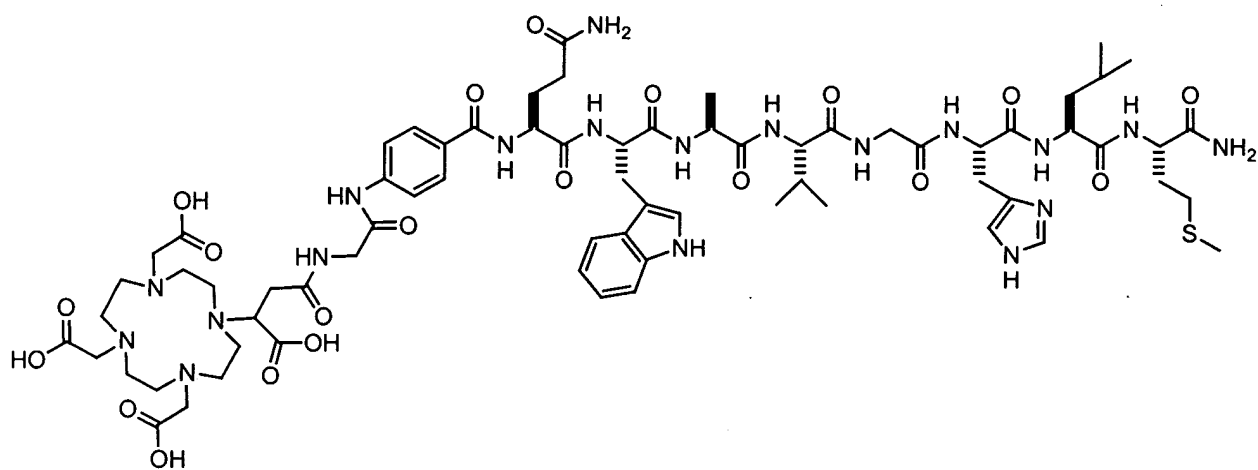
L66

FIG. 17



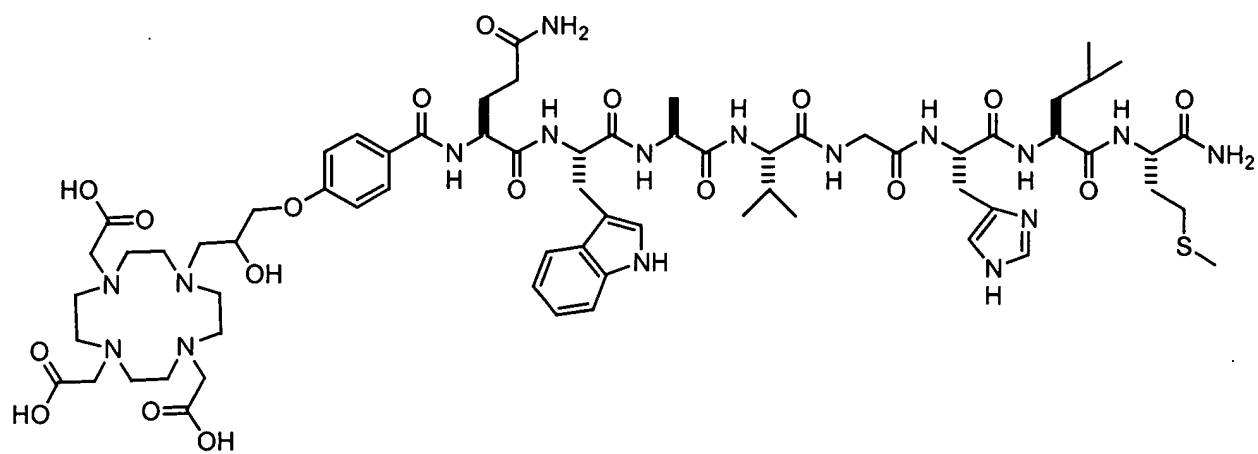
L70

FIG. 18A



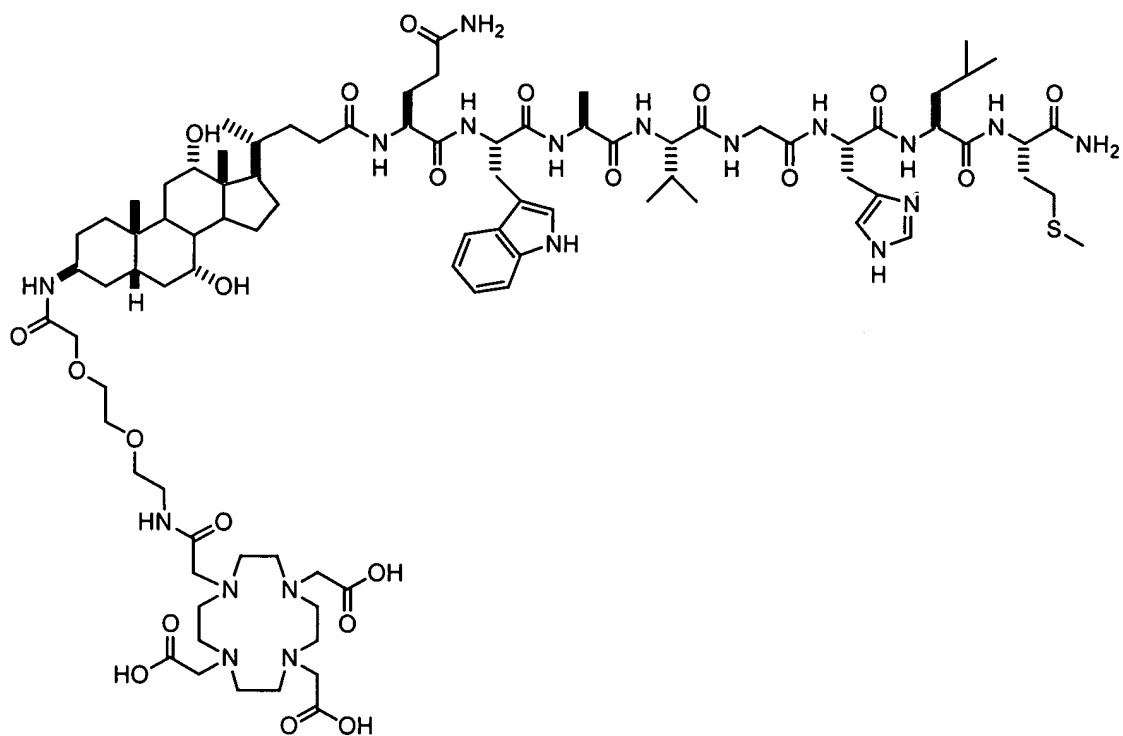
L114

FIG. 18B



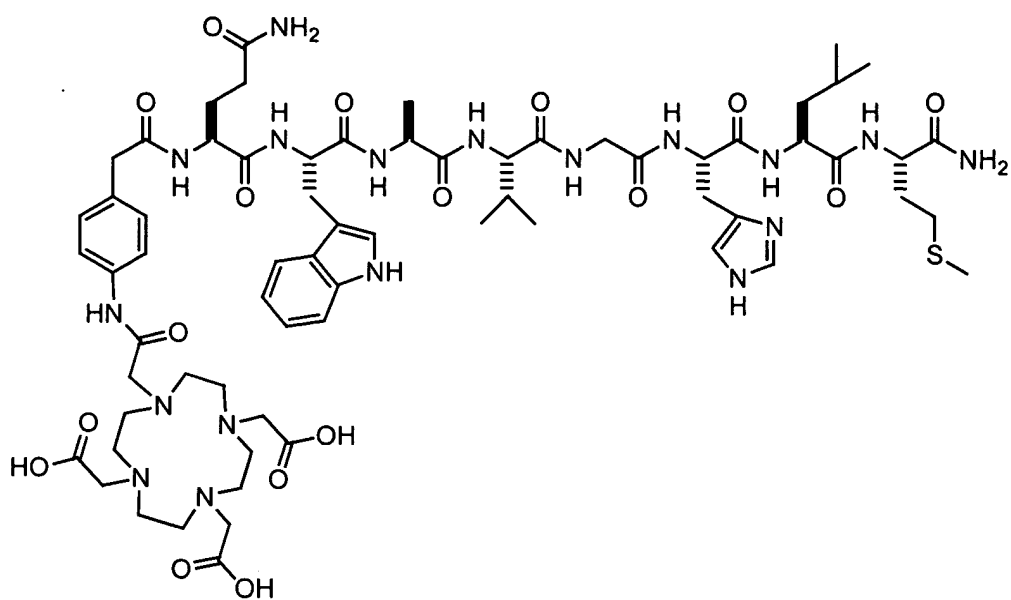
L144

FIG. 18C



L69

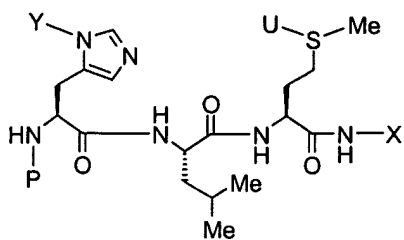
FIG. 18D



L146

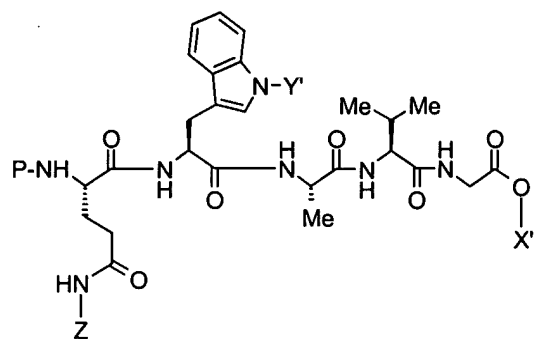
FIG. 18E

Chart 1



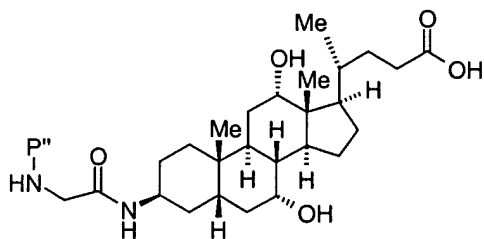
A

X = H, Tmob, Xan, Trt
 U = \rightarrow O or null
 Y = Trt, Bum, Boc, Cbz
 P = Fmoc, Boc, Alloc, H, Cbz



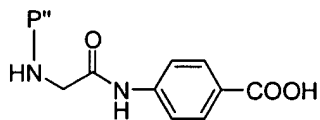
B

X' = H, t-Bu, Bz, 2-Cl-Trt, Me, Et
 Y' = CHO, Boc, H, 9-PhF, CBz
 Z = H, Xan, Tmob, Trt
 P' = Fmoc, Boc, Alloc, H, Cbz



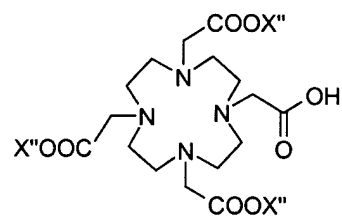
C1

P'' = Fmoc, Boc, Alloc, H, Cbz



C2

P'' = Fmoc, Boc, Alloc, H, Cbz



D

X'' = t-Bu, Me, Bz, H

FIG. 19

Scheme 1

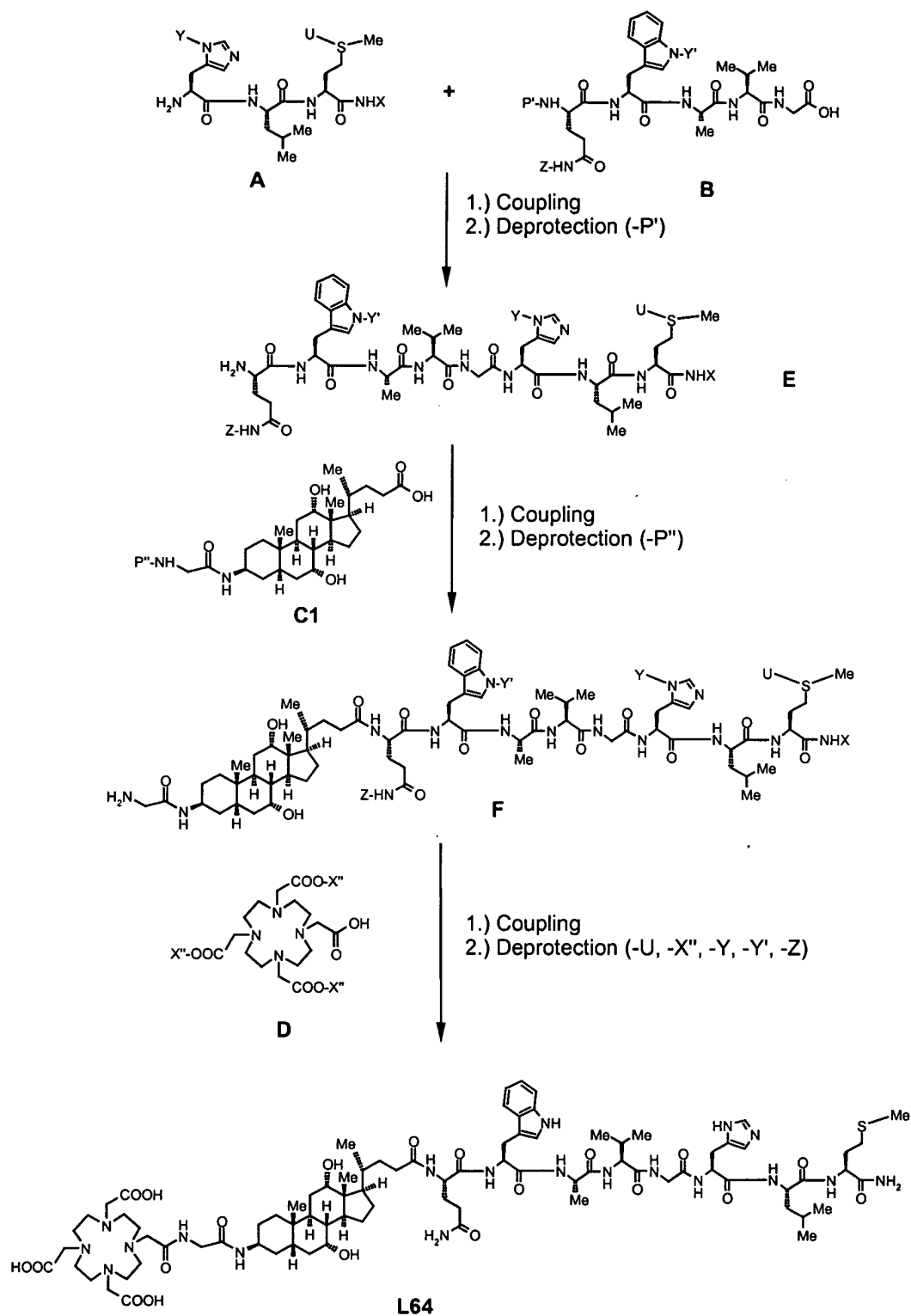
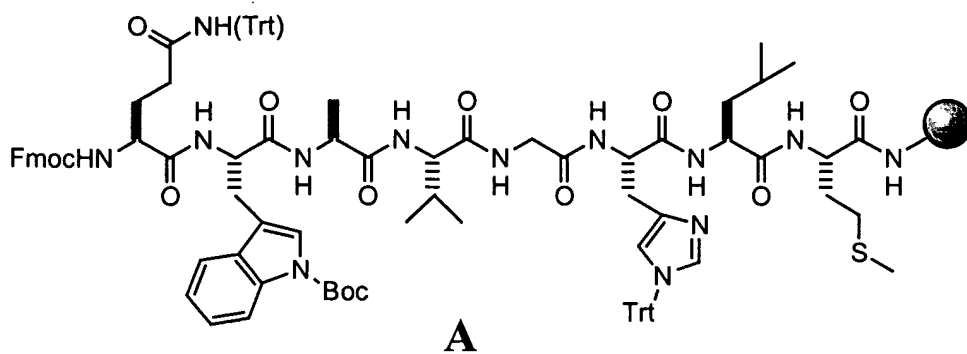


FIG. 20



1. Piperidine in DMF
2. Fmoc-4-aminobenzoic acid, HATU, NMP
3. Piperidine in DMF
4. Fmoc-Gly-OH, HATU, NMP
5. Piperidine in DMF
6. Boa-tetra-tbutylester, HBTU, NMP
7. Reagent B

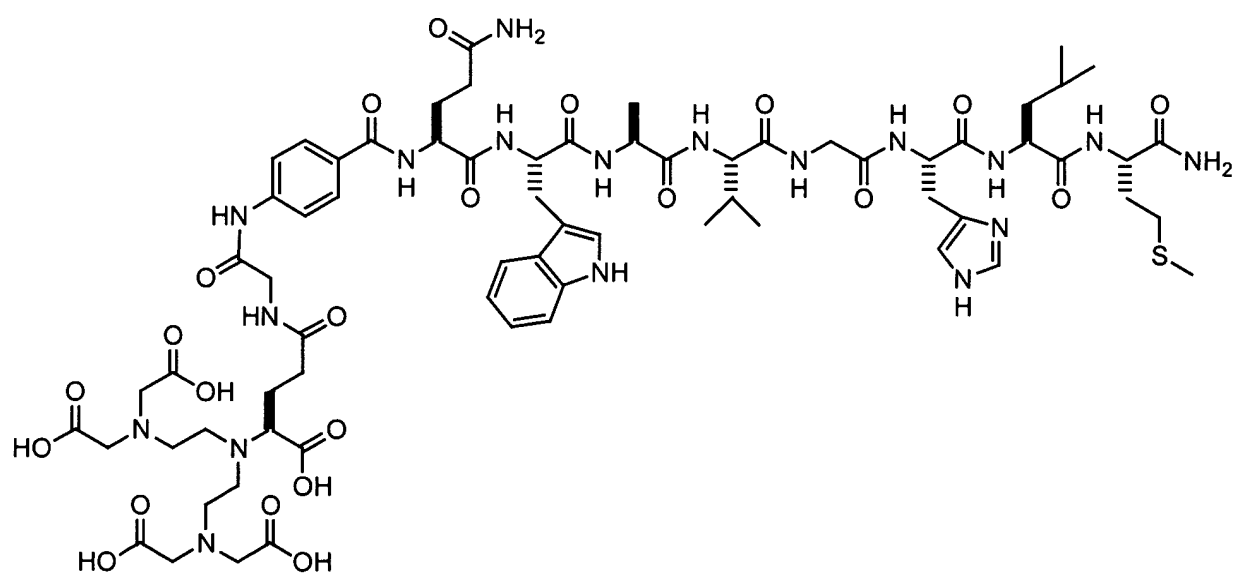


FIG. 21

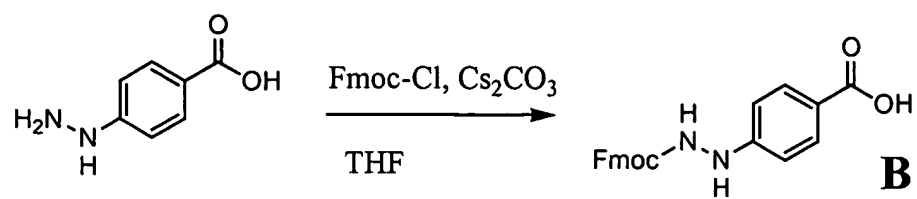
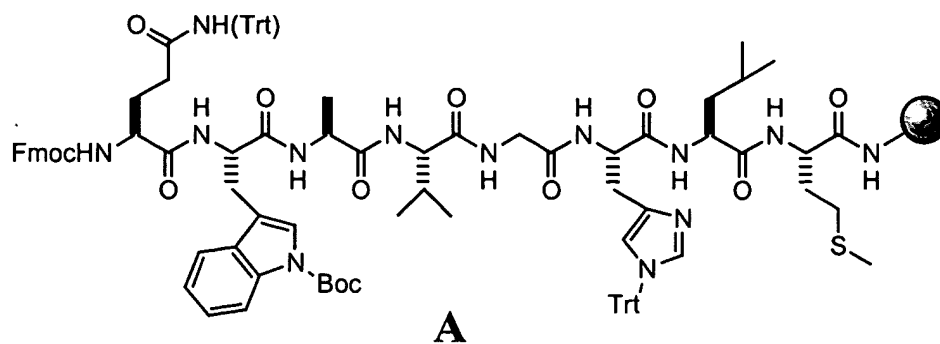


FIG. 22A



1. Piperidine in DMF
2. **B**, DIC, HOBT, DIEA, NMP
3. Piperidine in DMF
4. Fmoc-Gly-OH, HATU, NMP
5. Piperidine in DMF
6. DOTA tri-t-butyl ester, HBTU, NMP
7. Reagent B

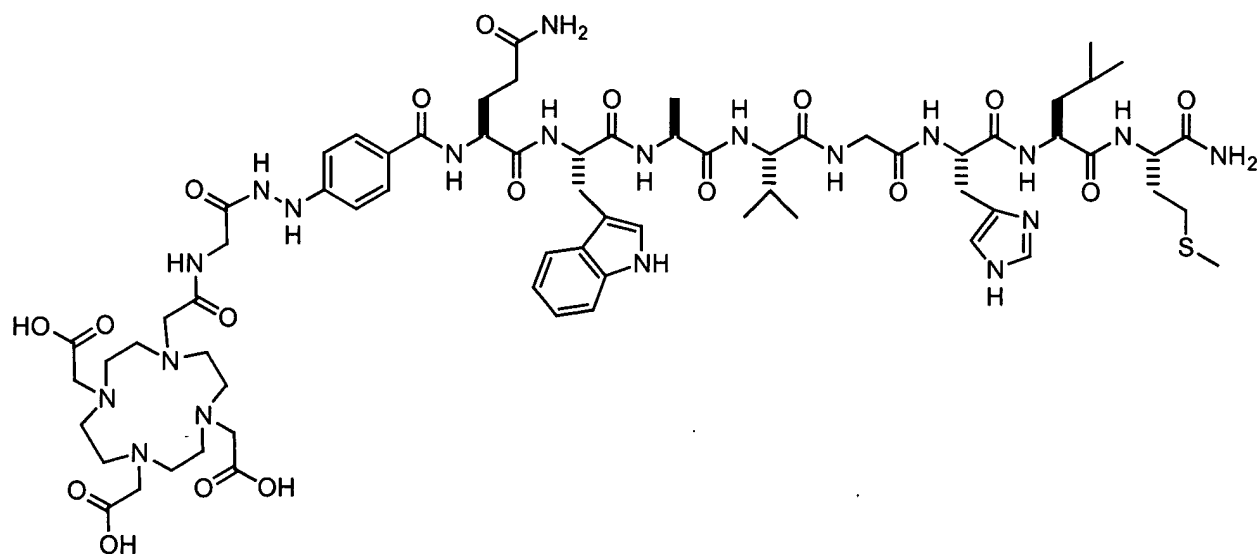


FIG. 22B

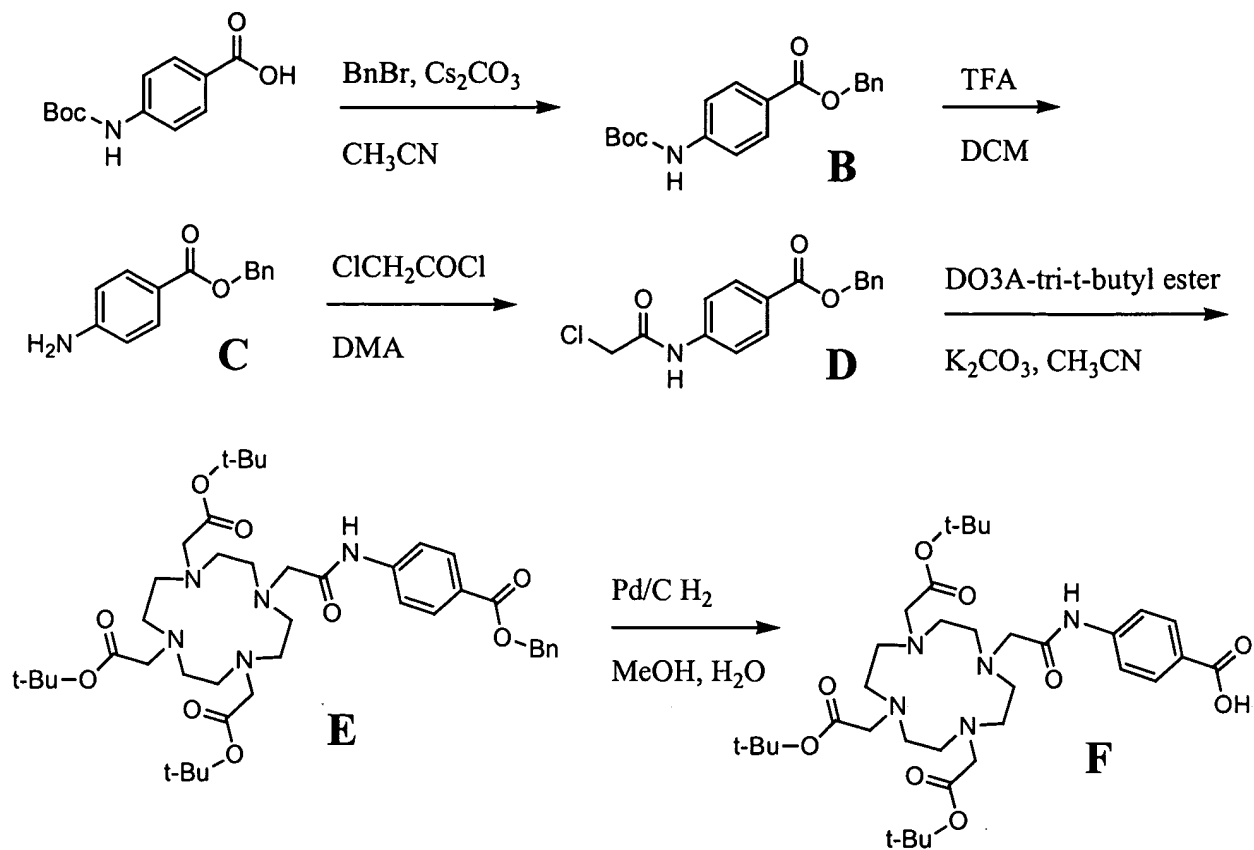
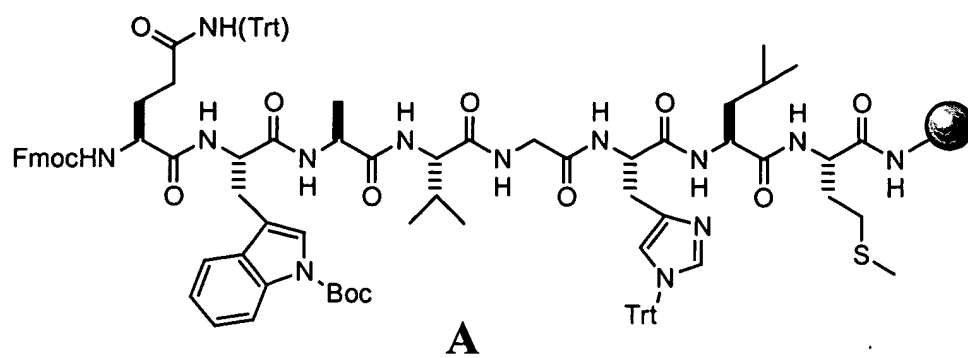
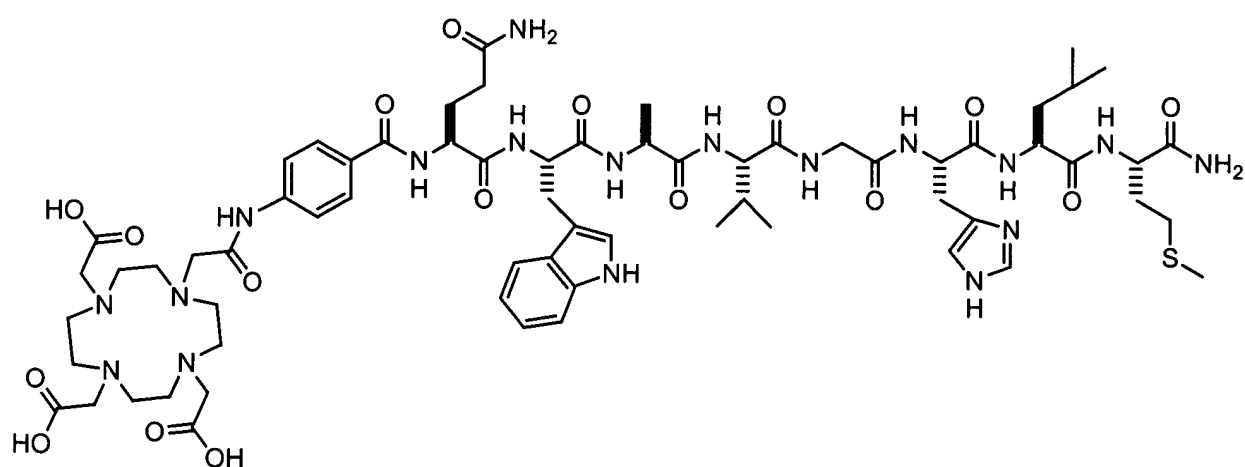


FIG. 23A



- Reaction conditions:
1. Piperidine in DMF
 2. F, DIC, HOBT, DMF
 3. Reagent B



L203

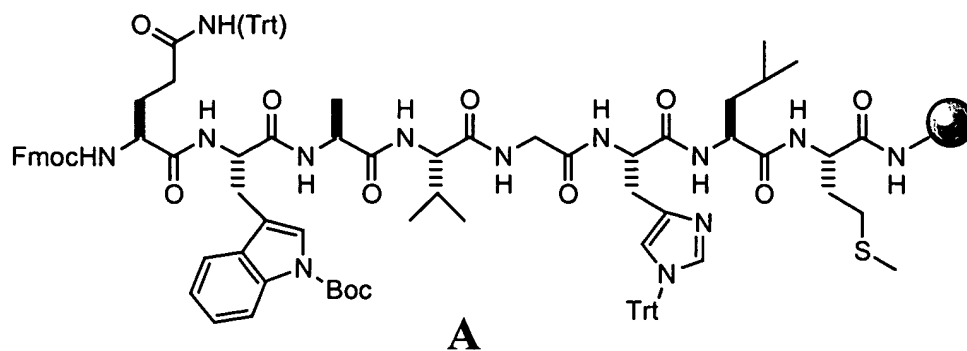
FIG. 23B



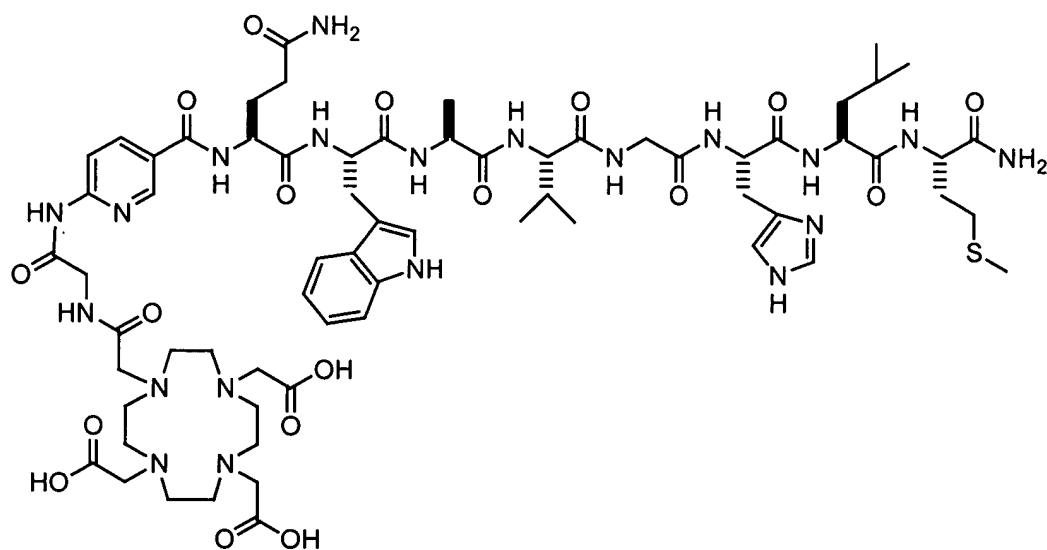
-



KL3:2333016.1



1. Piperidine in DMF
2. Fmoc-6-aminonicotinic acid, DIC, HOBT, NMP
3. Piperidine in DMF
4. Fmoc-Gly-OH, HATU, NMP
5. Piperidine in DMF
6. DOTA tri-t-butyl ester, HBTU, DIEA, NMP
7. Reagent B



L205

FIG. 25

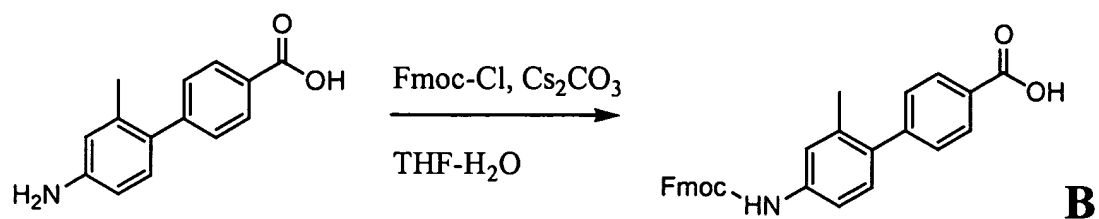


FIG. 26A

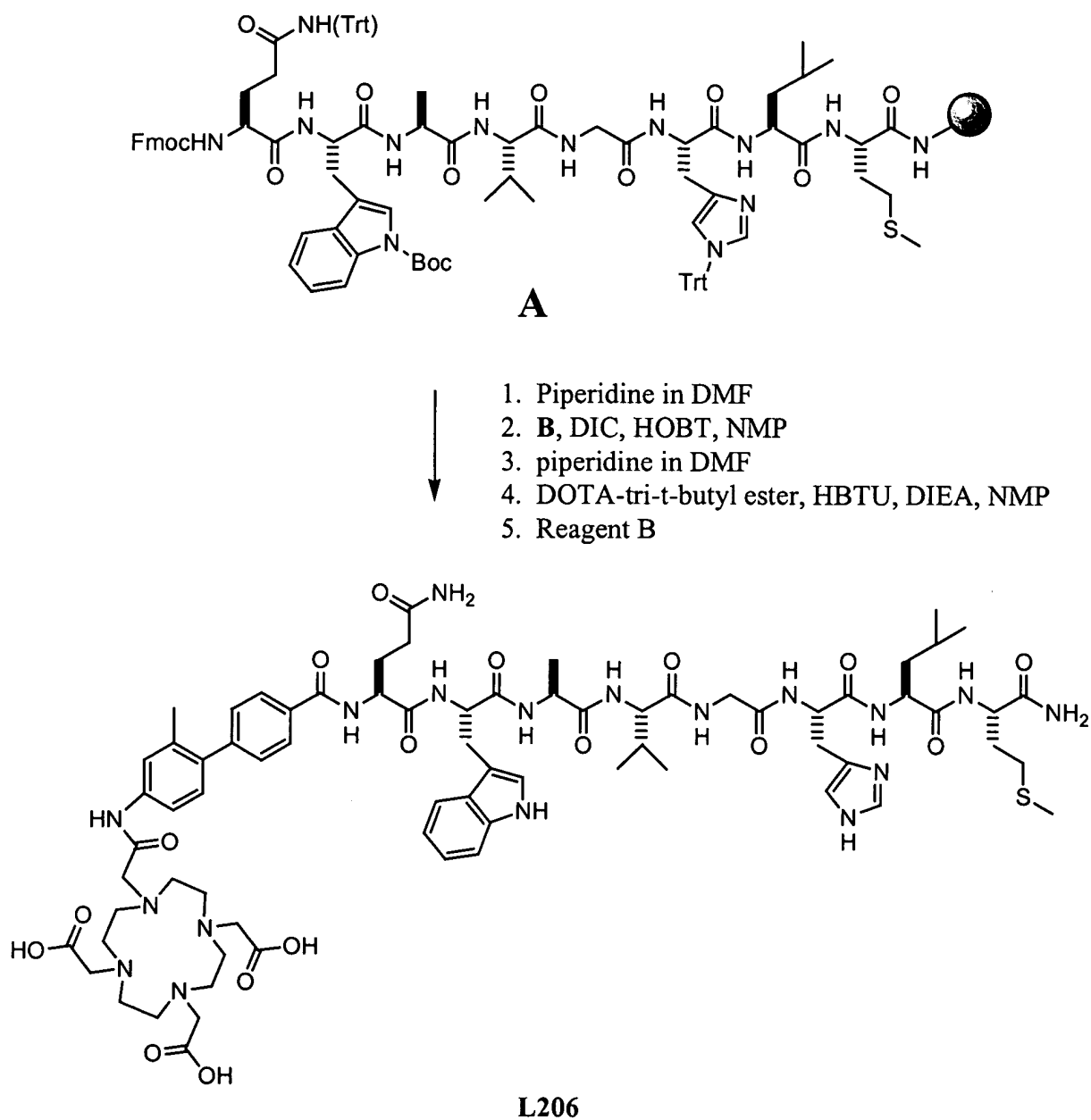
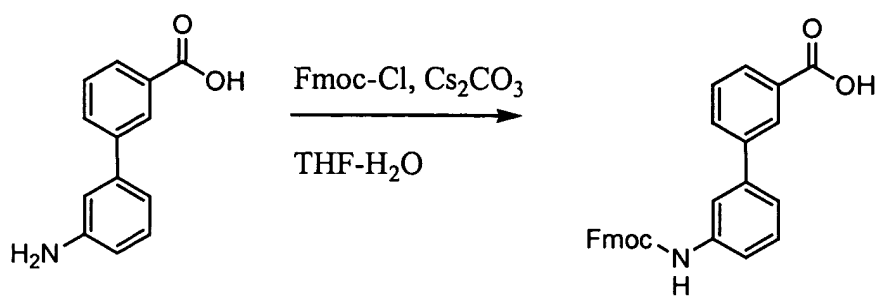
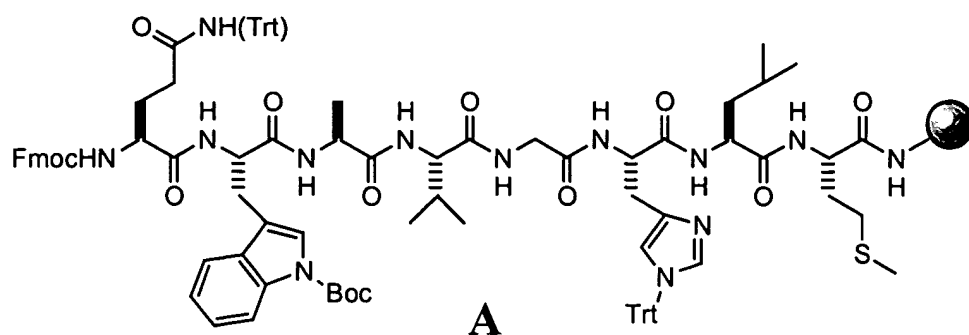


FIG. 26B



B

FIG. 27A



1. Piperidine in DMF
2. terephthalic acid, HATU, NMP
3. DIC, NHS, ethylenediamine, NMP
4. DOTA tri-t-butyl ester, HBTU, DIEA, NMP
5. Reagent B

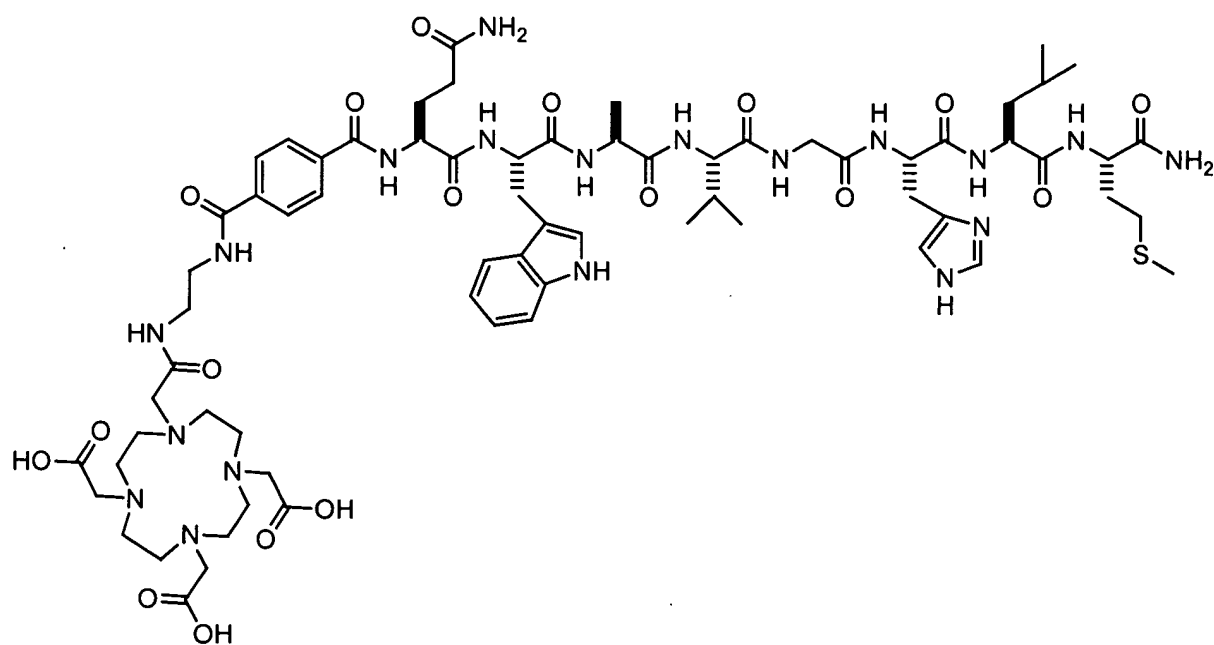


FIG. 28

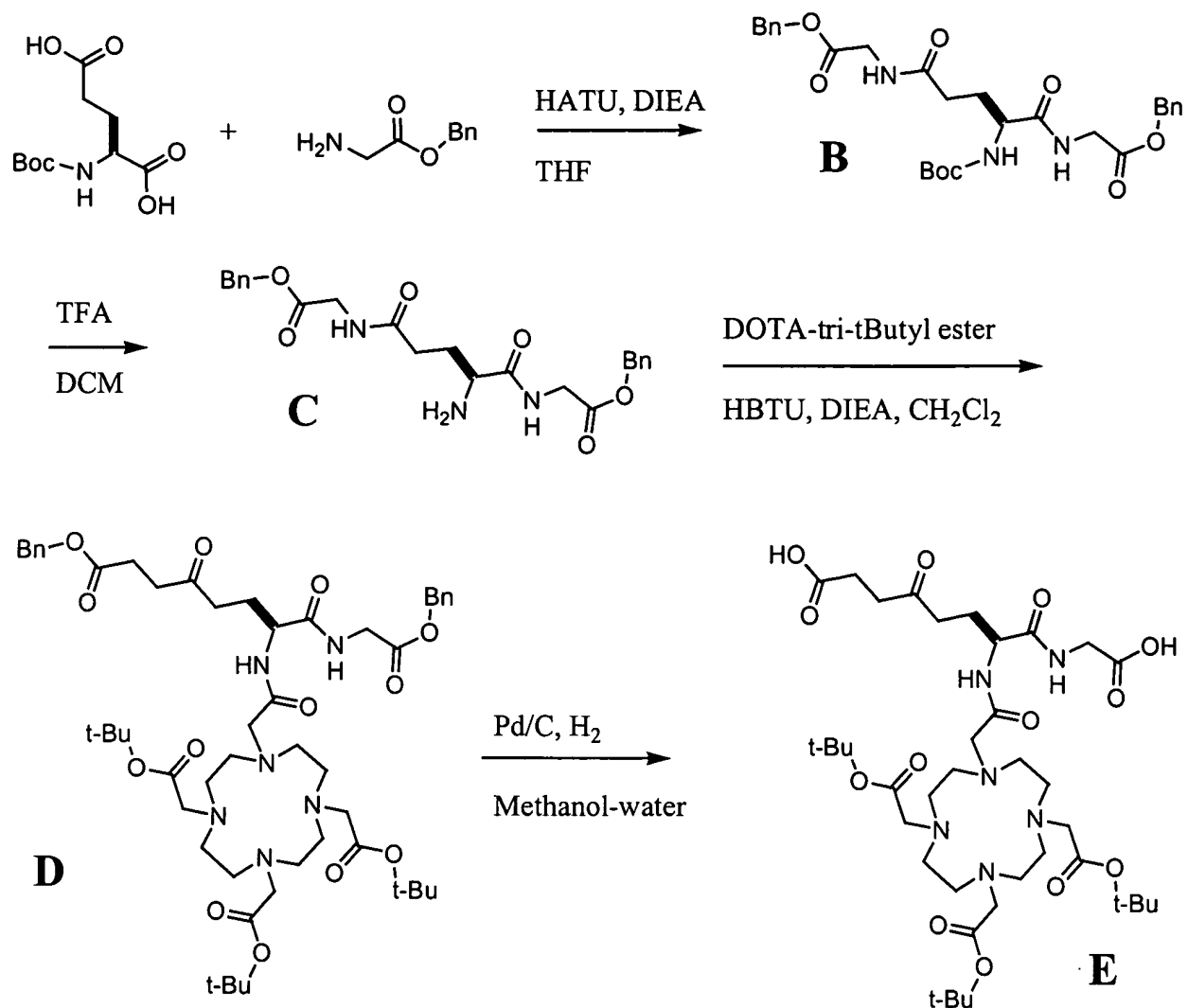
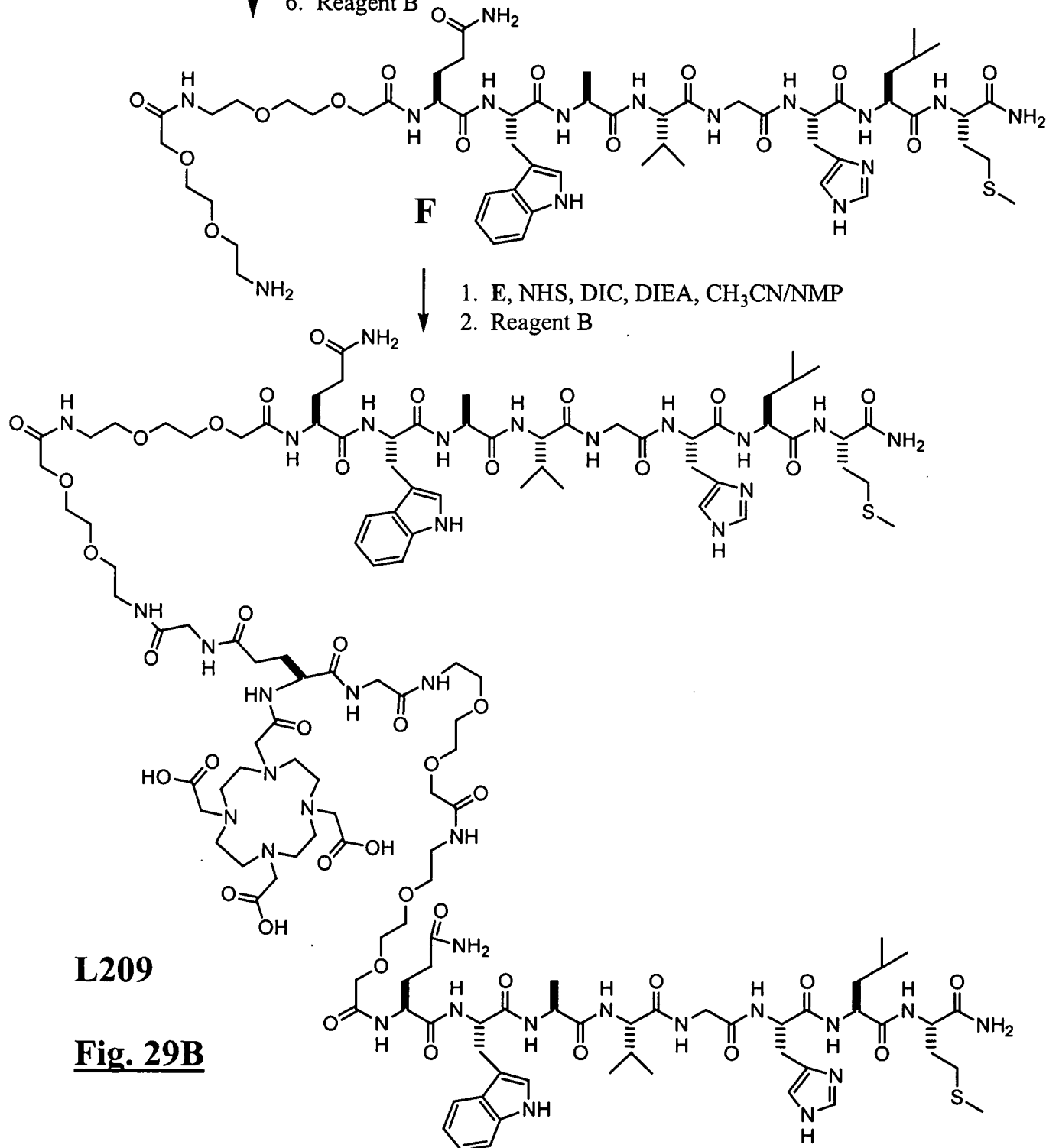
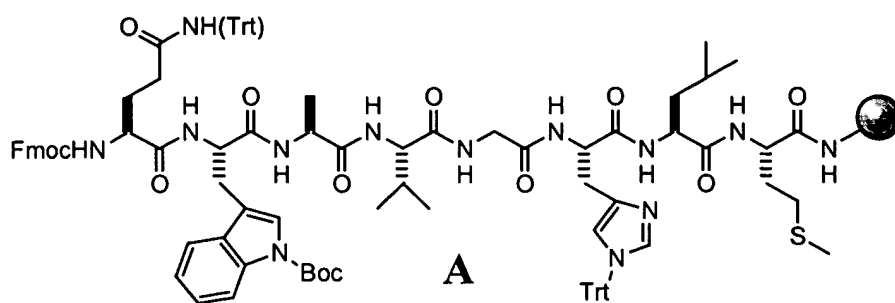


FIG. 29A

Resin A

1. Piperidine in DMF
2. Fmoc-8-amino-3,6-dioxaoctanoic acid, DIC, HOBT, NMP
3. Piperidine in DMF
4. Fmoc-8-amino-3,6-dioxaoctanoic acid, DIC, HOBT, NMP
5. Piperidine in DMF
6. Reagent B





1. Piperidine in DMF
2. Fmoc-8-amino-3,6-dioxaoctanoic acid, DIC, HOBT, NMP
3. Piperidine in DMF
4. Fmoc-8-amino-3,6-dioxaoctanoic acid, DIC, HOBT, NMP
5. Piperidine in DMF
6. Reagent B

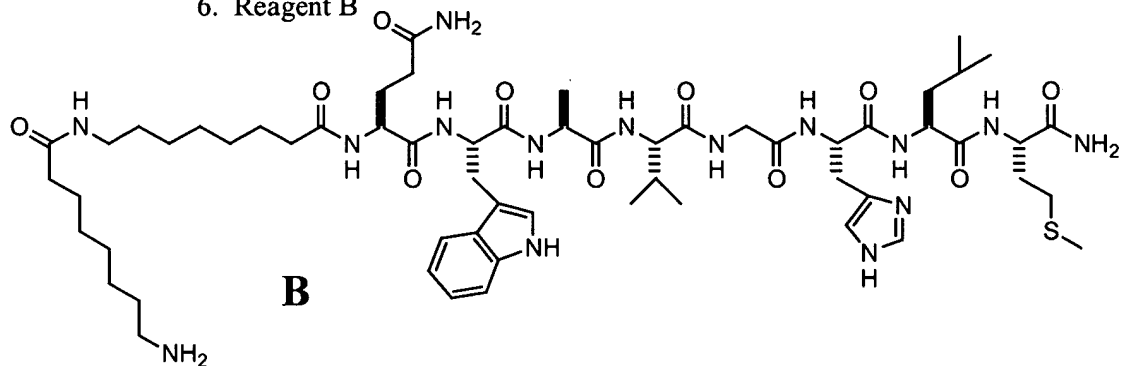
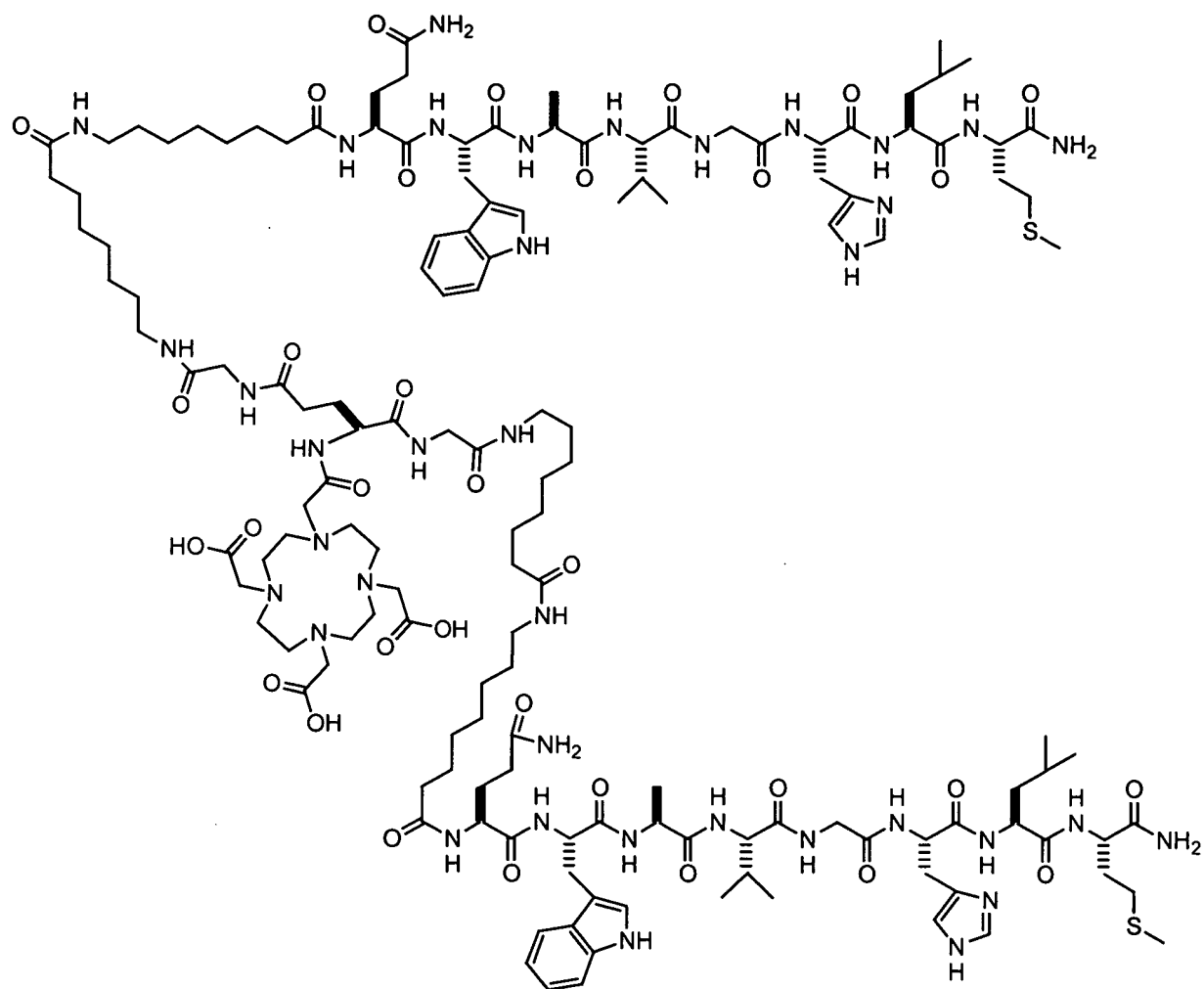
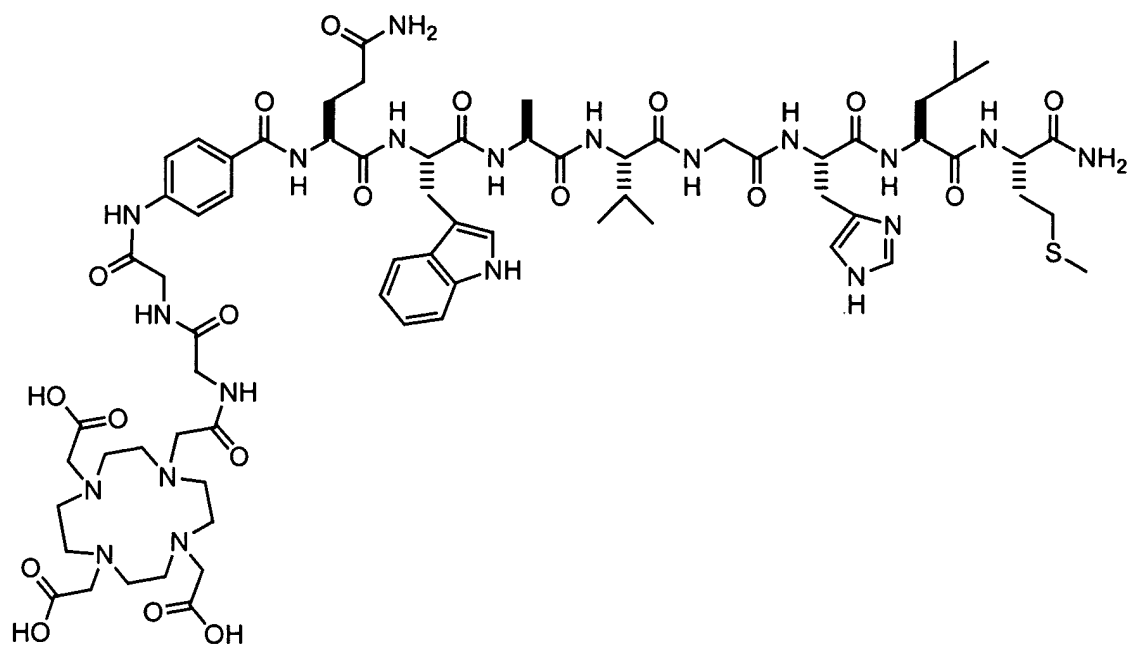


FIG. 30A



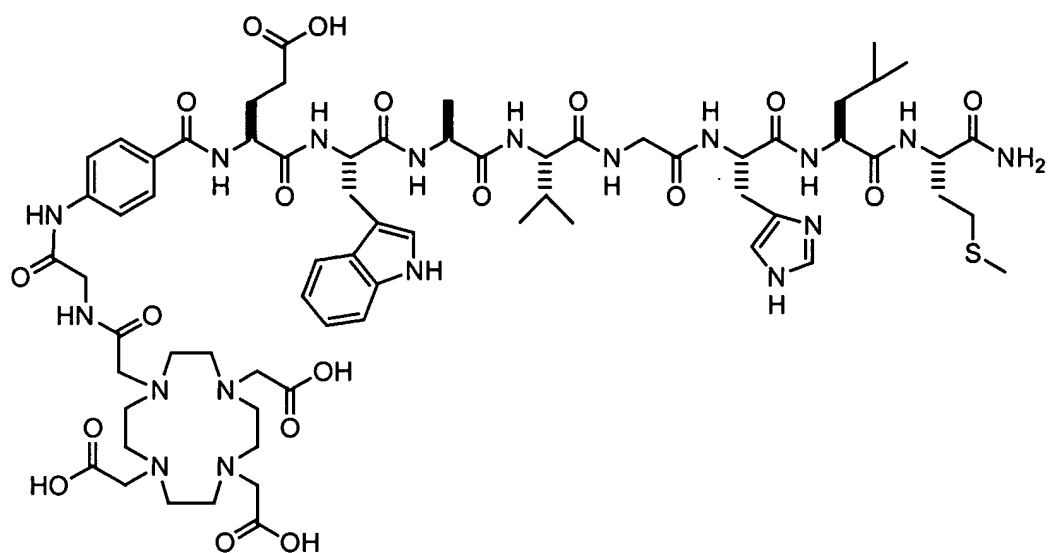
L210

FIG. 30B



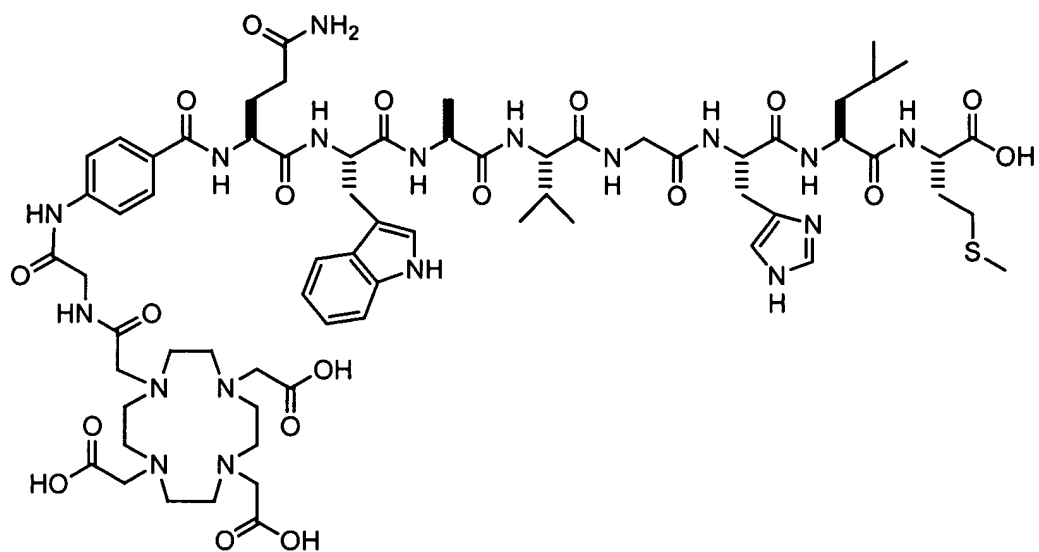
L211

FIG. 31



L212

FIG. 32

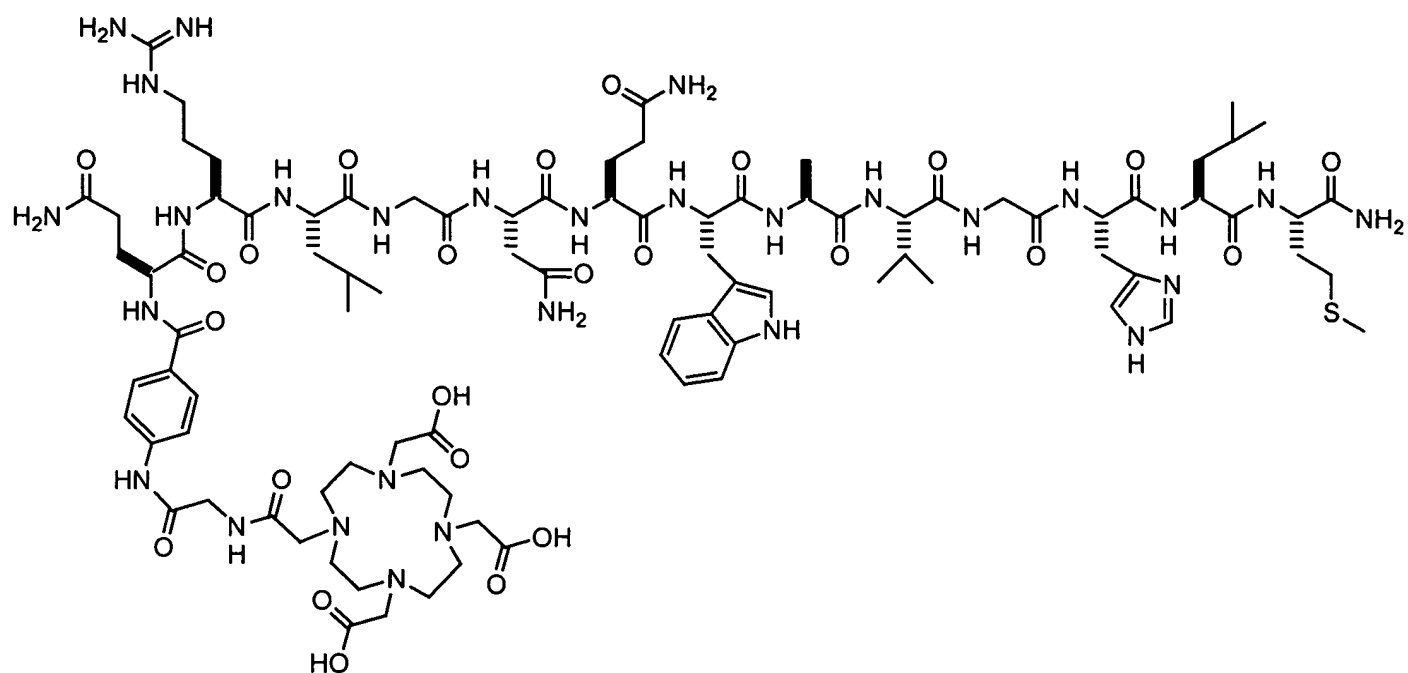


L213

FIG. 33

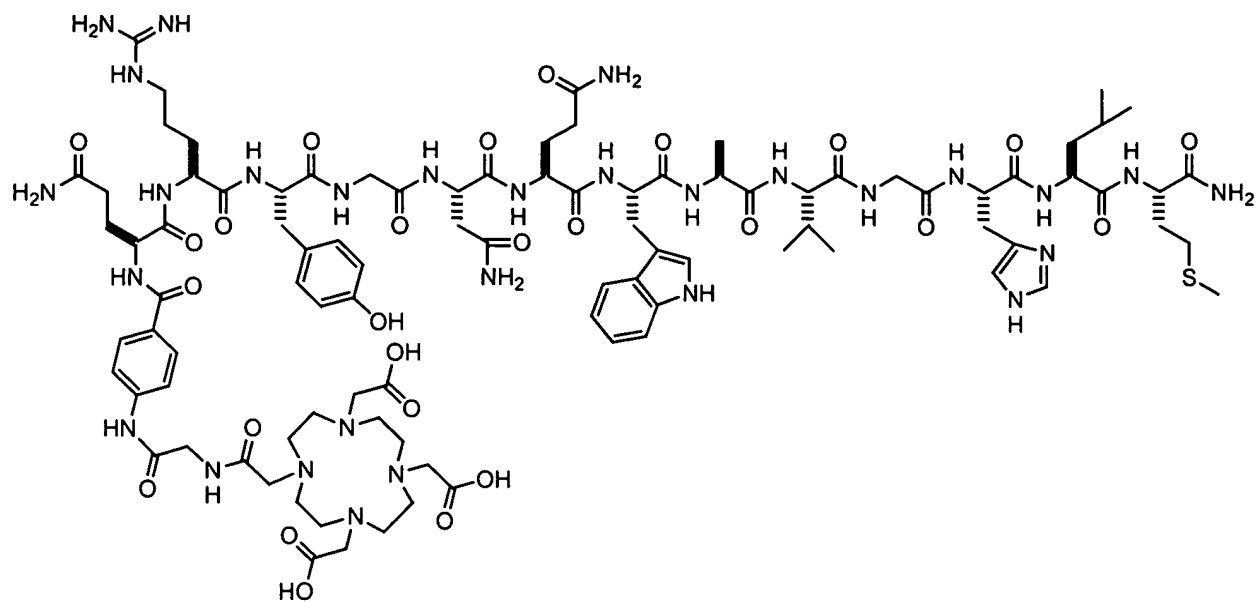


FIG. 34



L215

FIG. 35

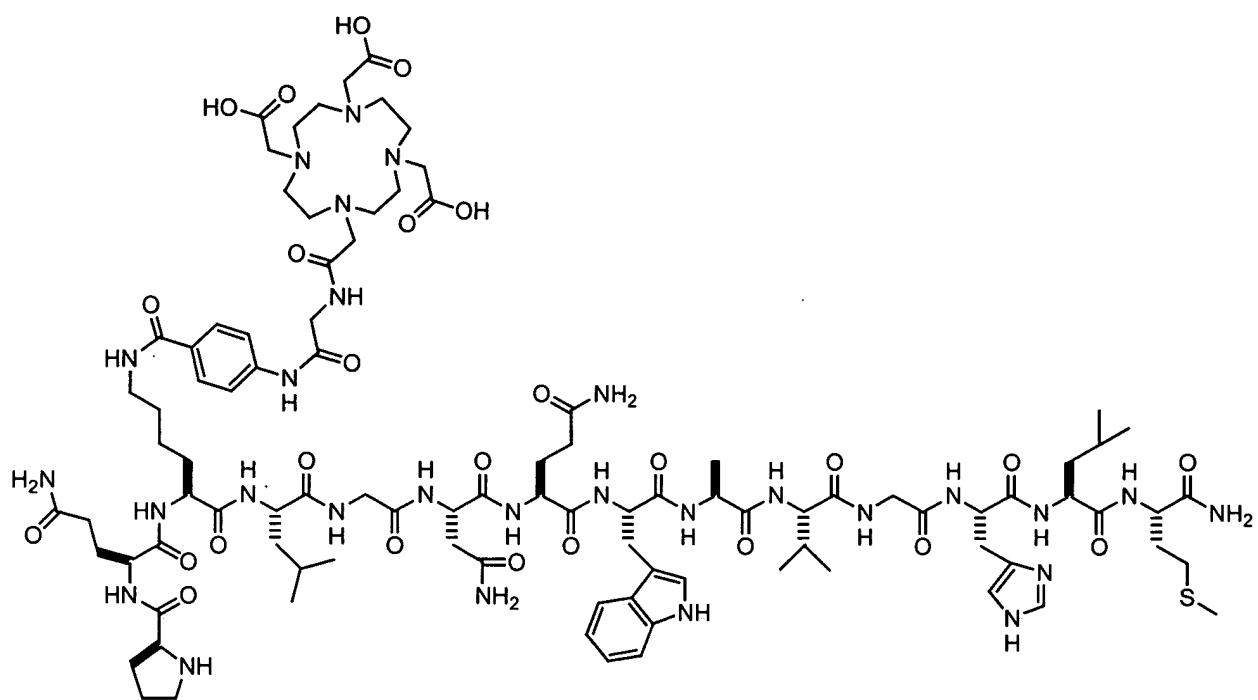


L216

FIG. 36

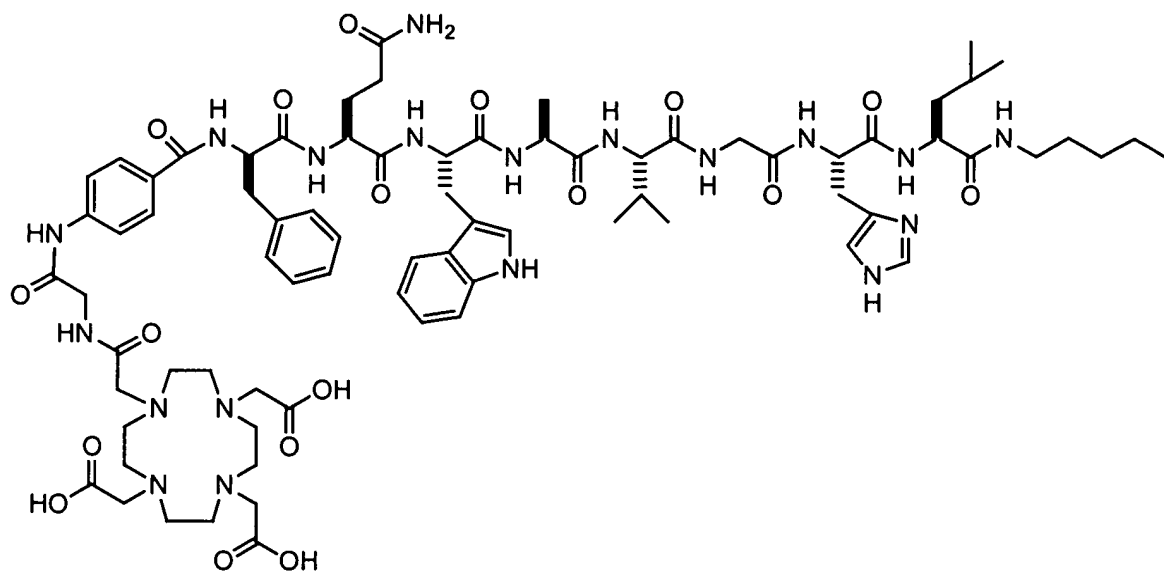


KL3:2333016.1



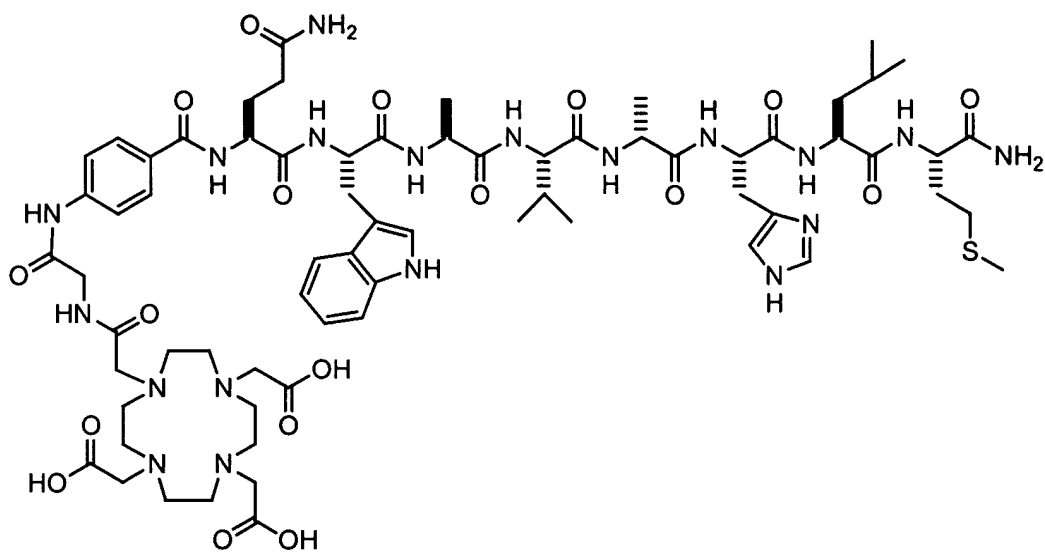
L218

FIG. 38



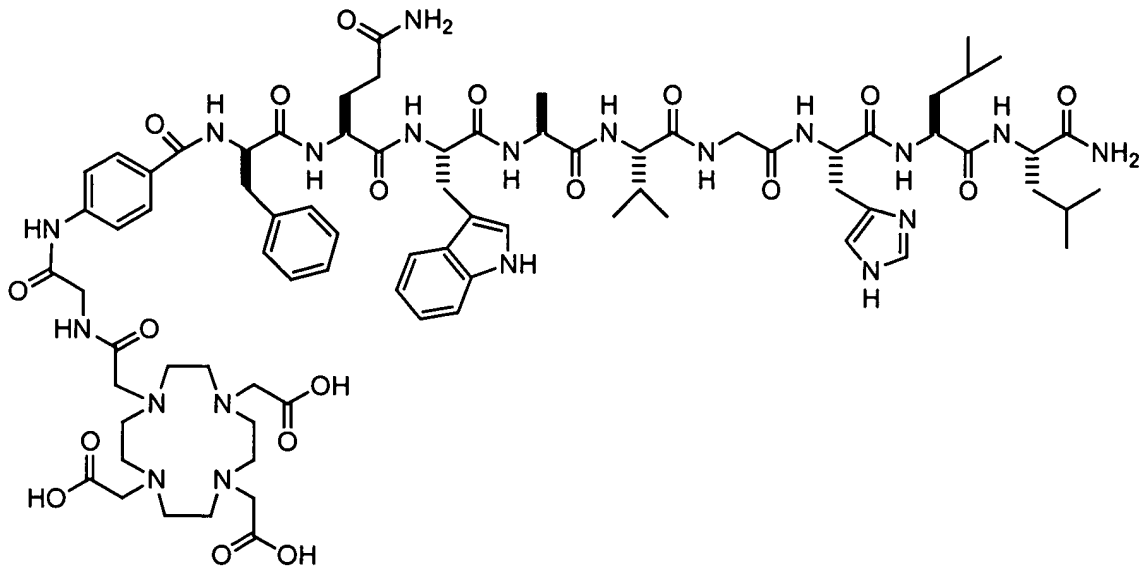
L219

FIG. 39



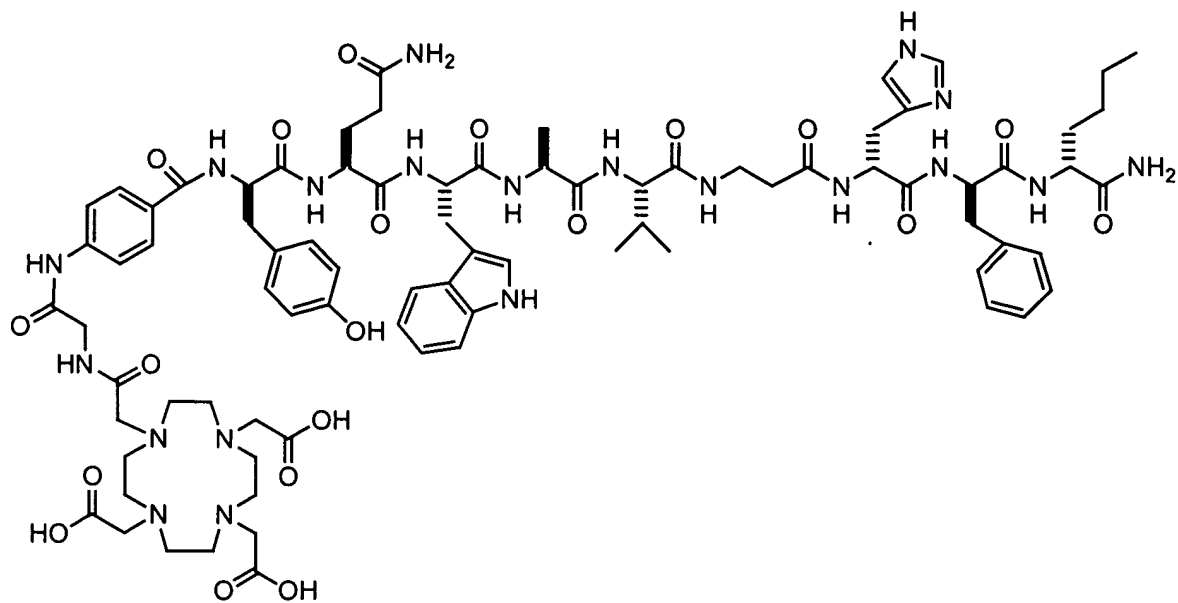
L220

FIG. 40



L221

FIG. 41

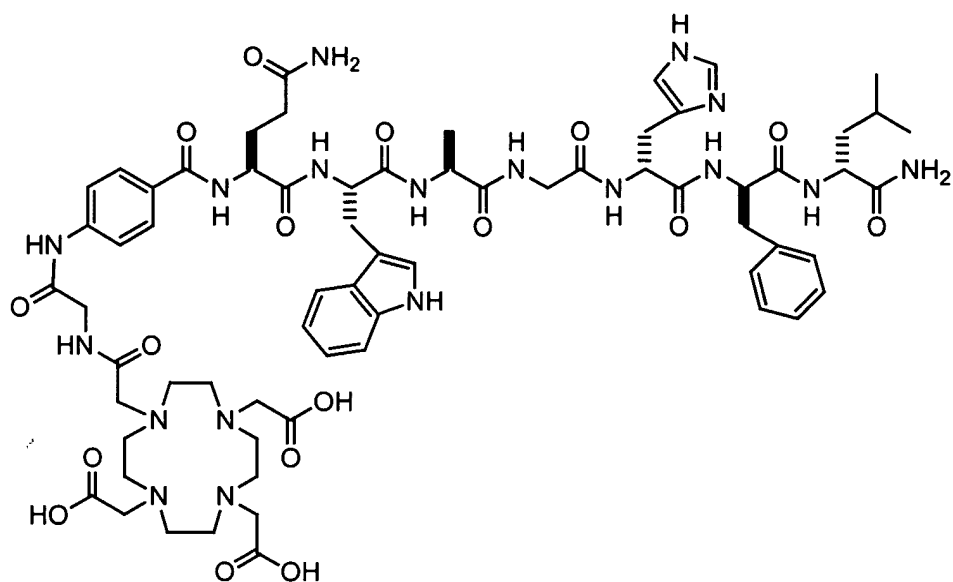


L222

FIG. 42

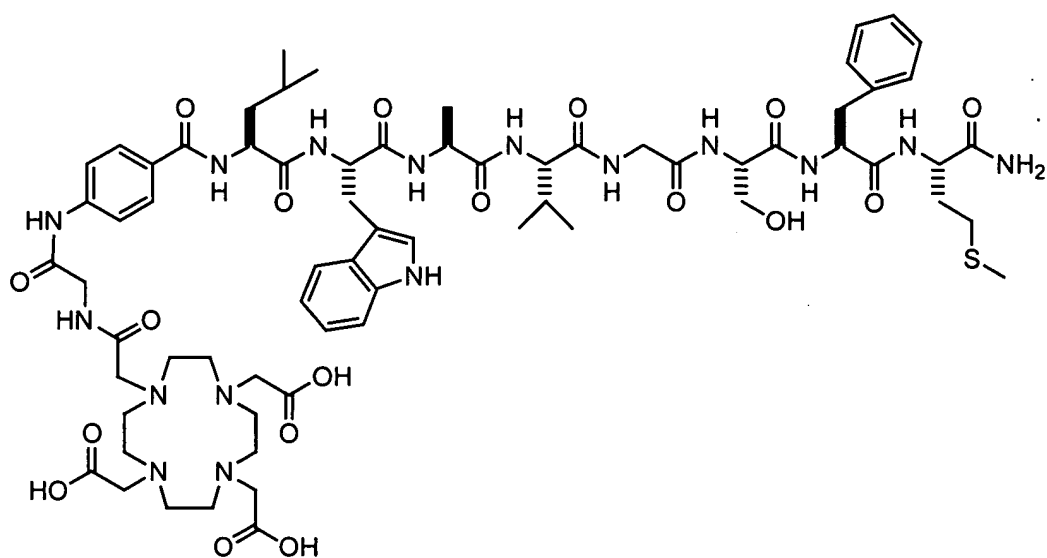


KL3:2333016.1



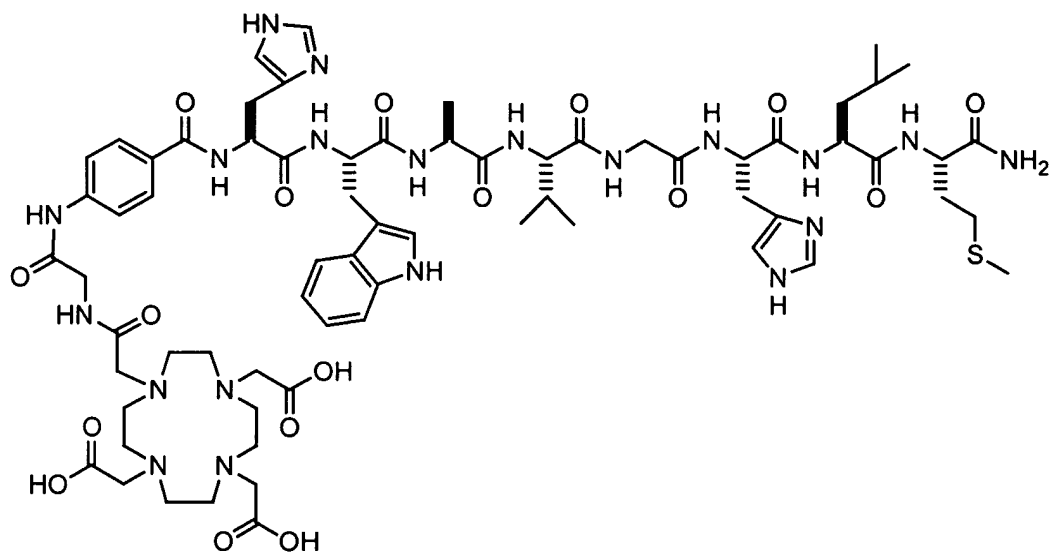
L224

FIG. 44



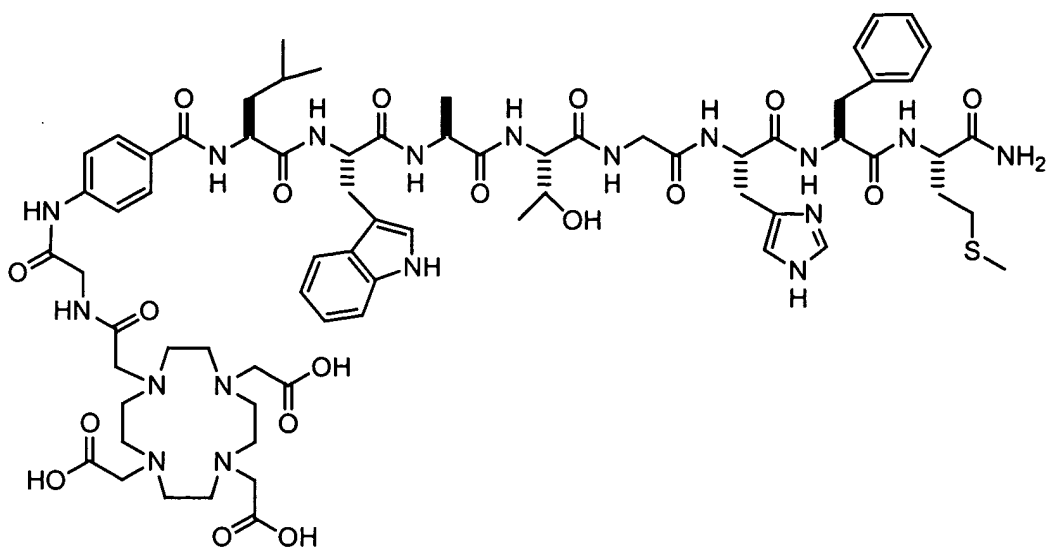
L225

FIG. 45



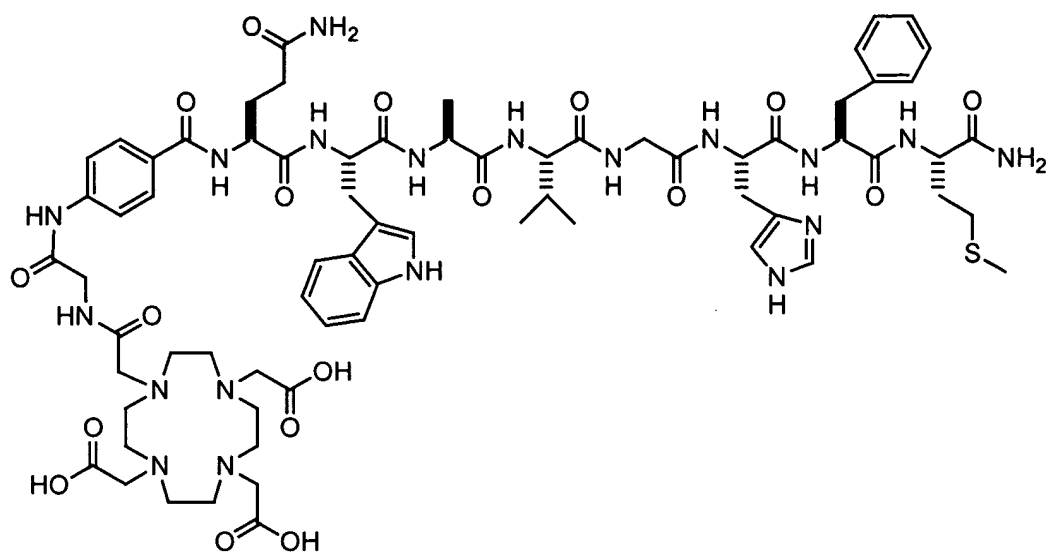
L226

FIG. 46



L227

FIG. 47



L228

FIG. 48

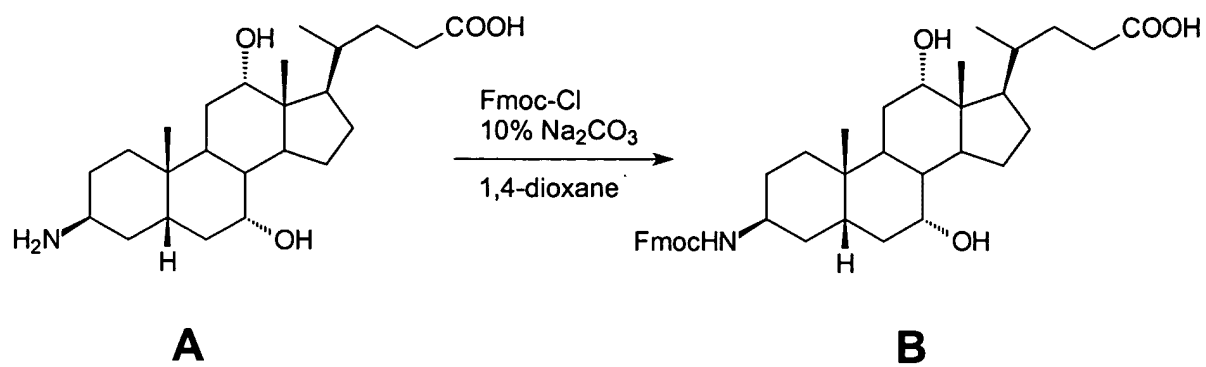


FIG. 49A

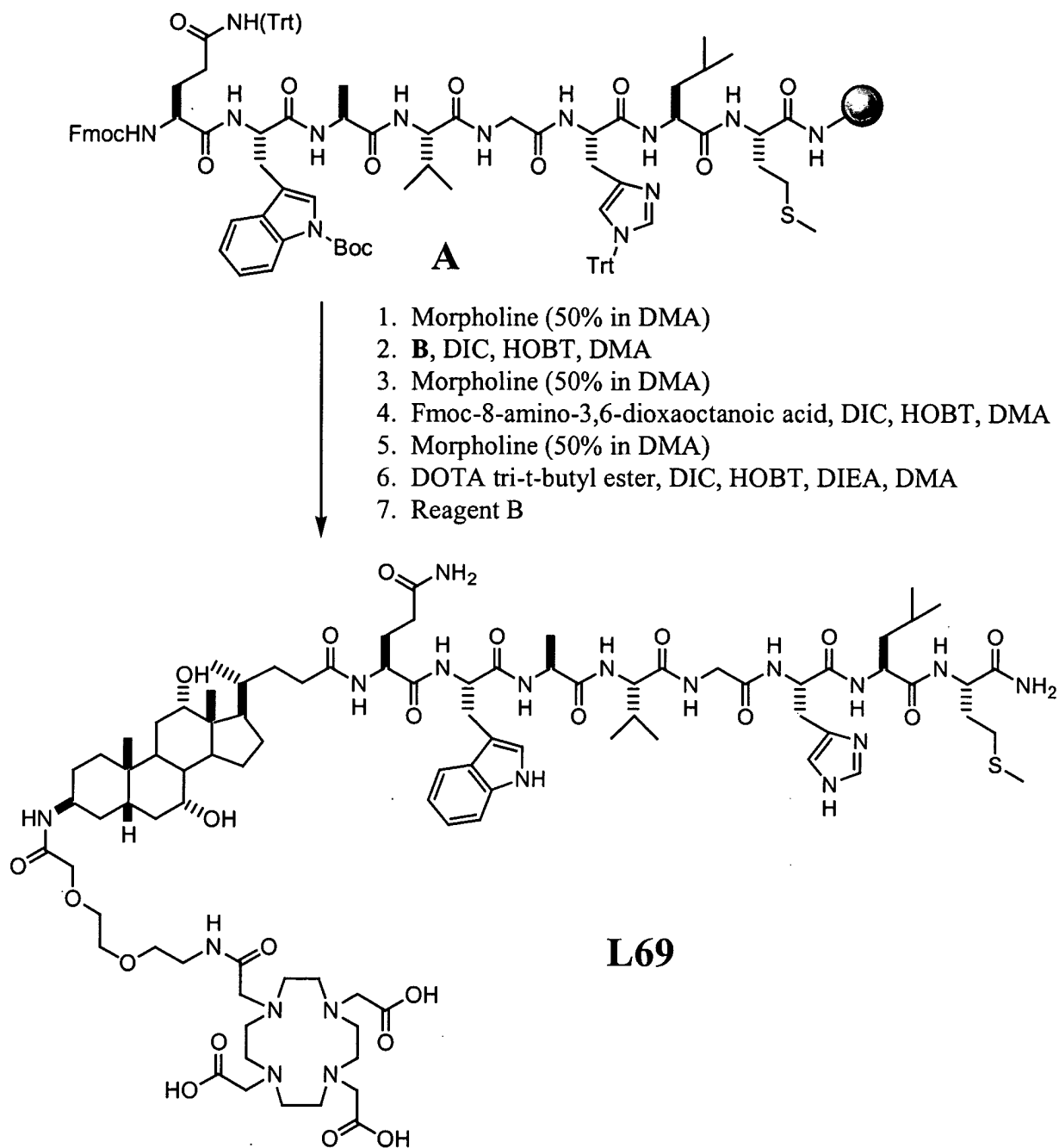
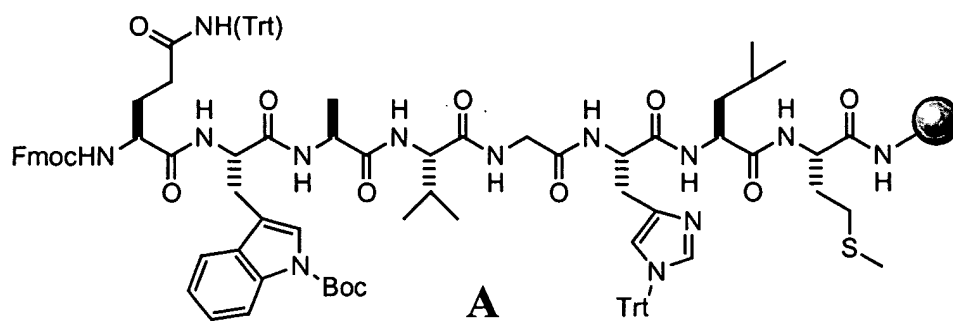
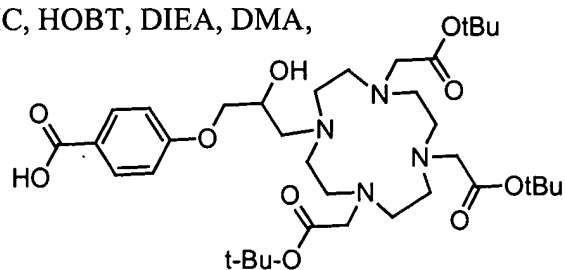


FIG. 49B

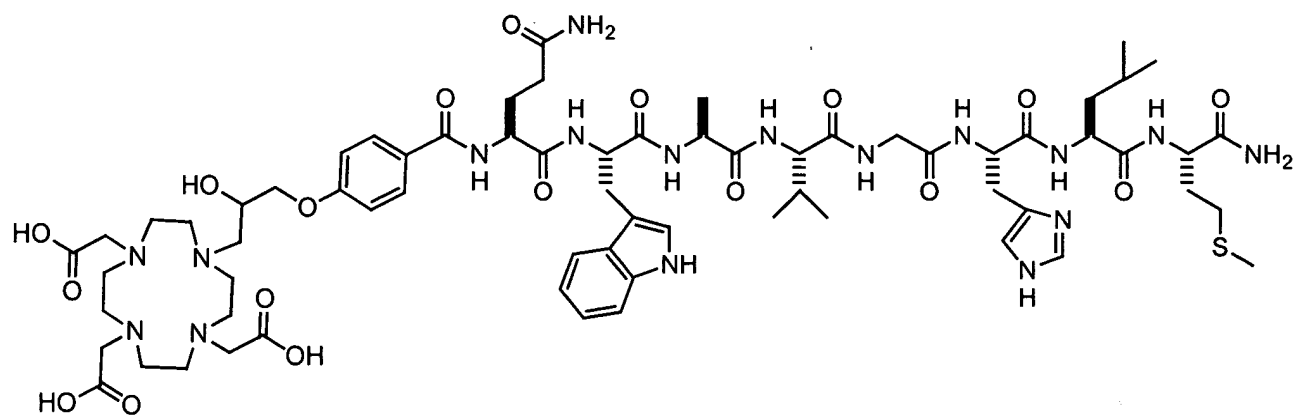


1. Morpholine (50% in DMA)
2. DIC, HOBT, DIEA, DMA,

B



3. Reagent B



L144

FIG. 50

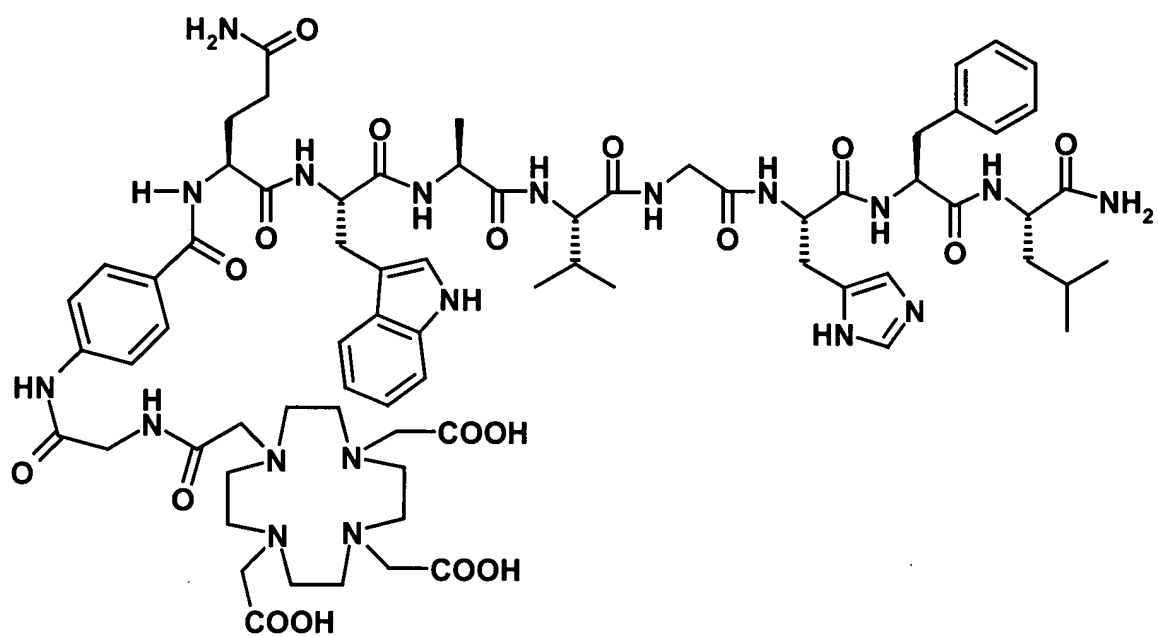


FIG. 51

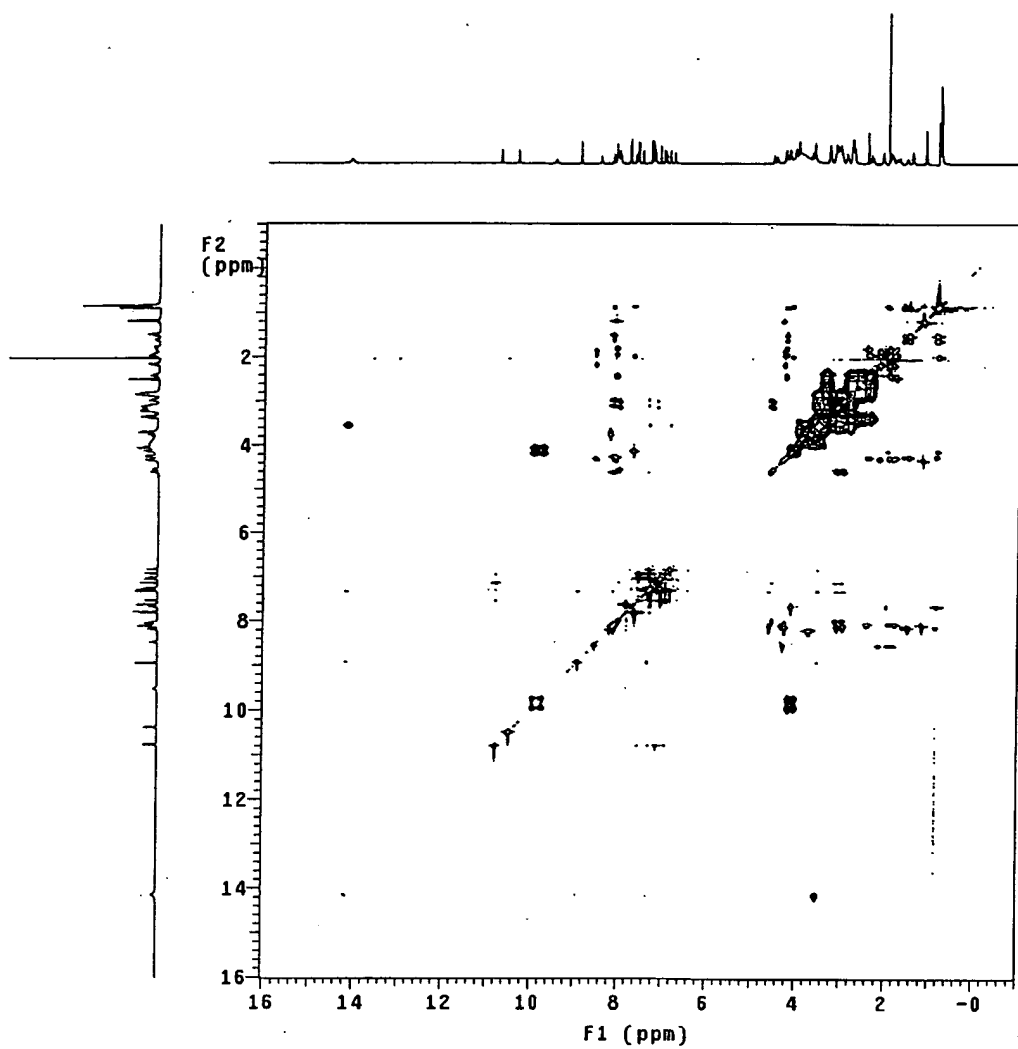


FIG. 52

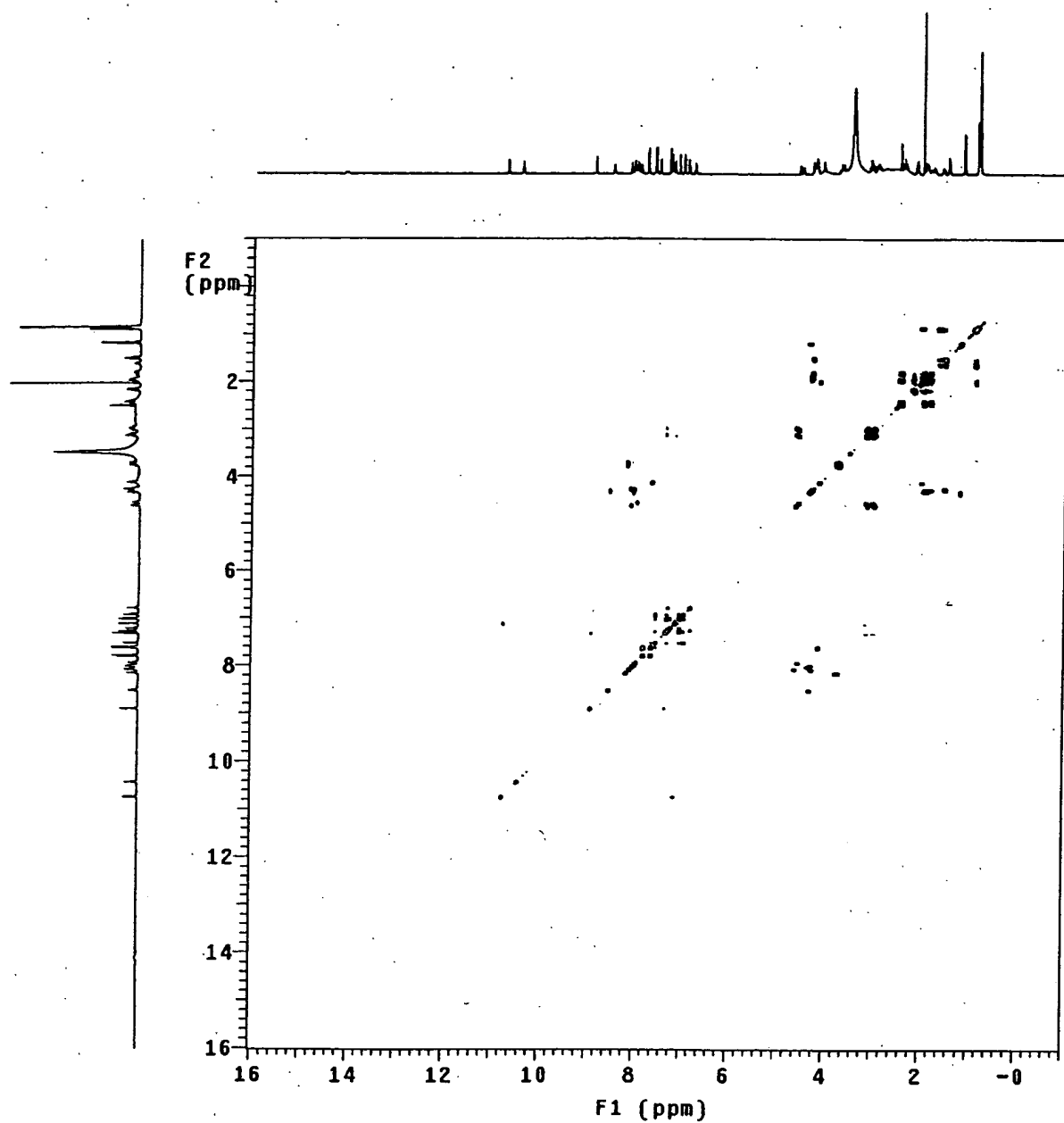


FIG. 53

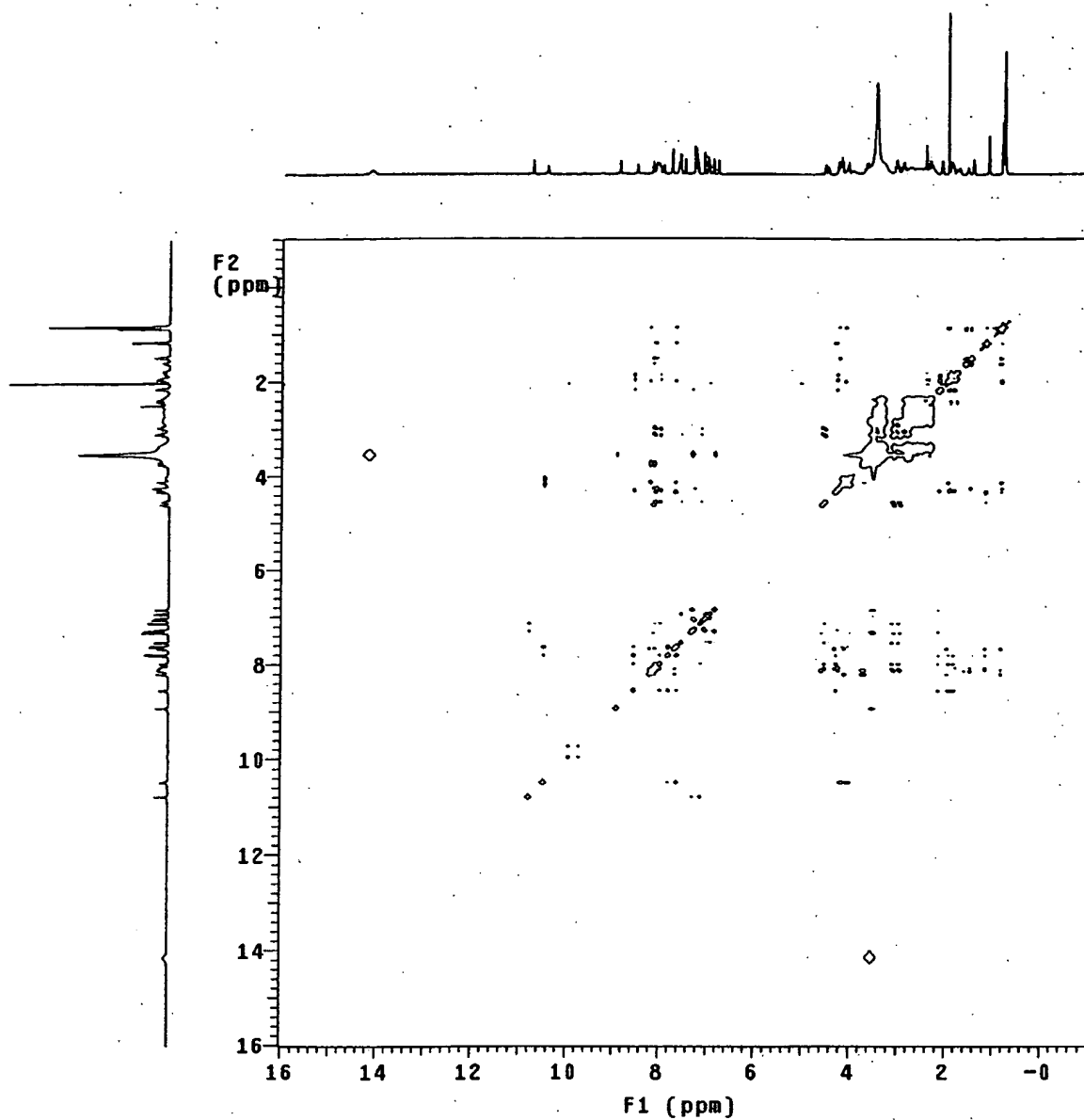


FIG. 54

ACQUISITION ARRAYS
array phase
arraydim 1024
1 phase
1 1
2 2

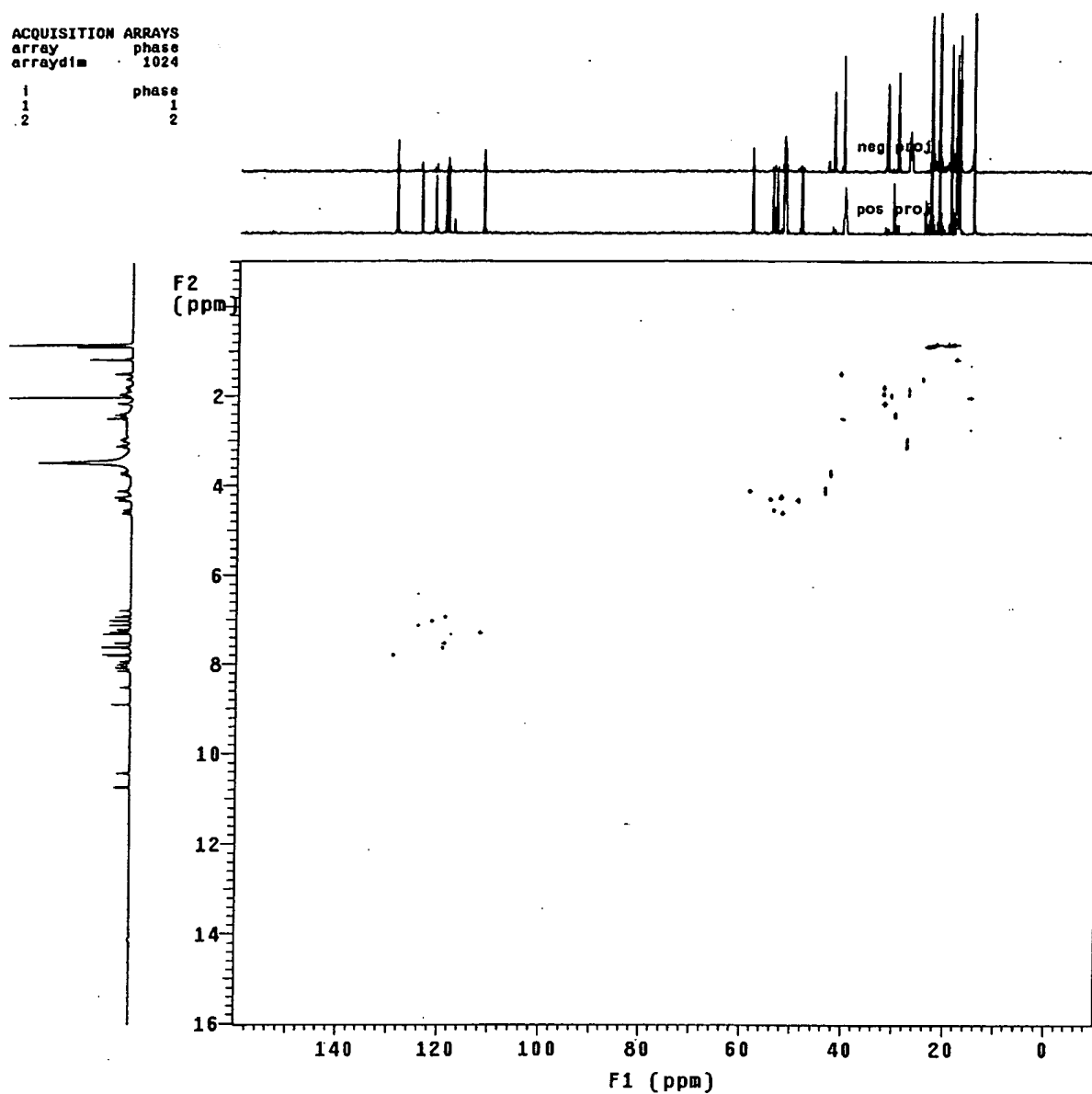


FIG. 55

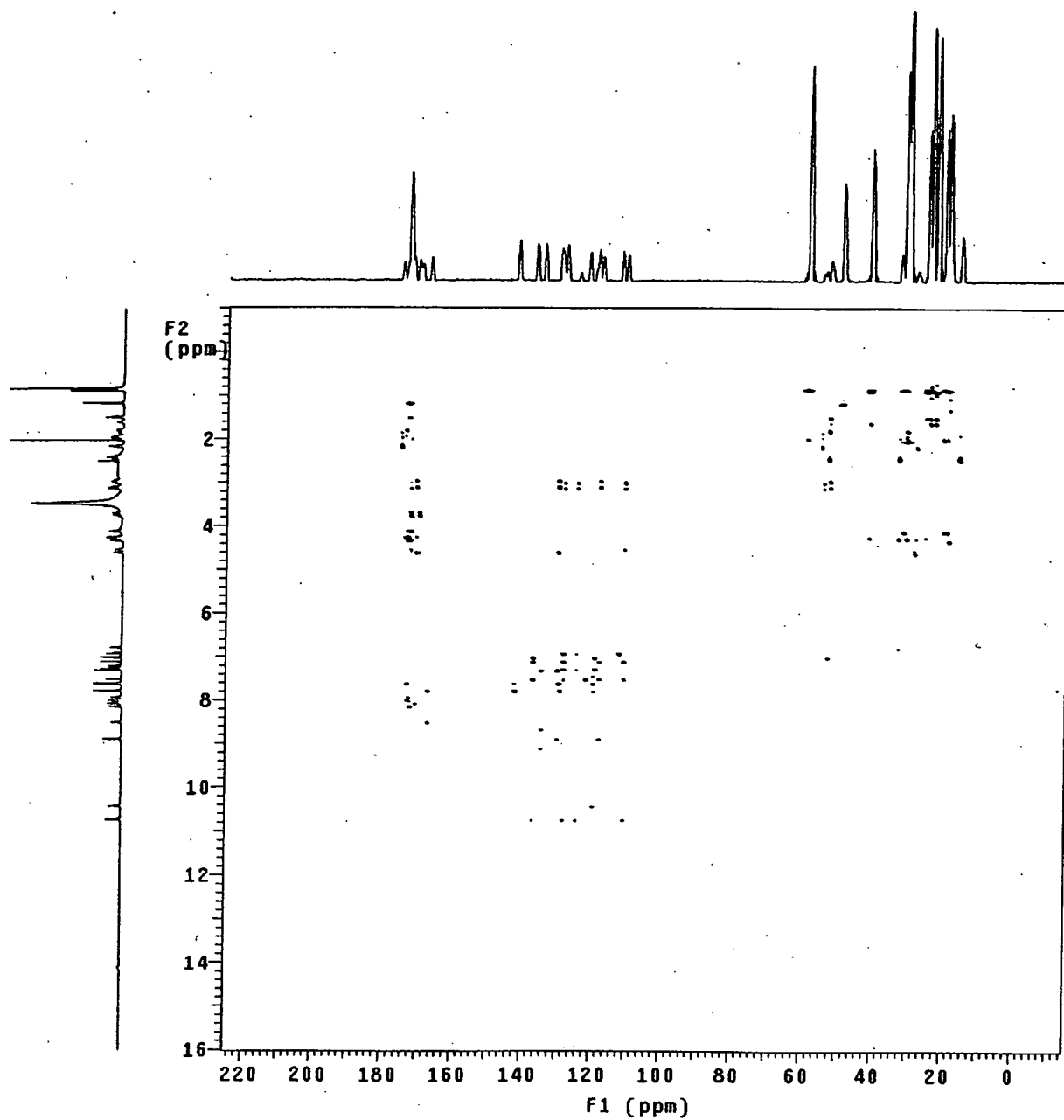


FIG. 56

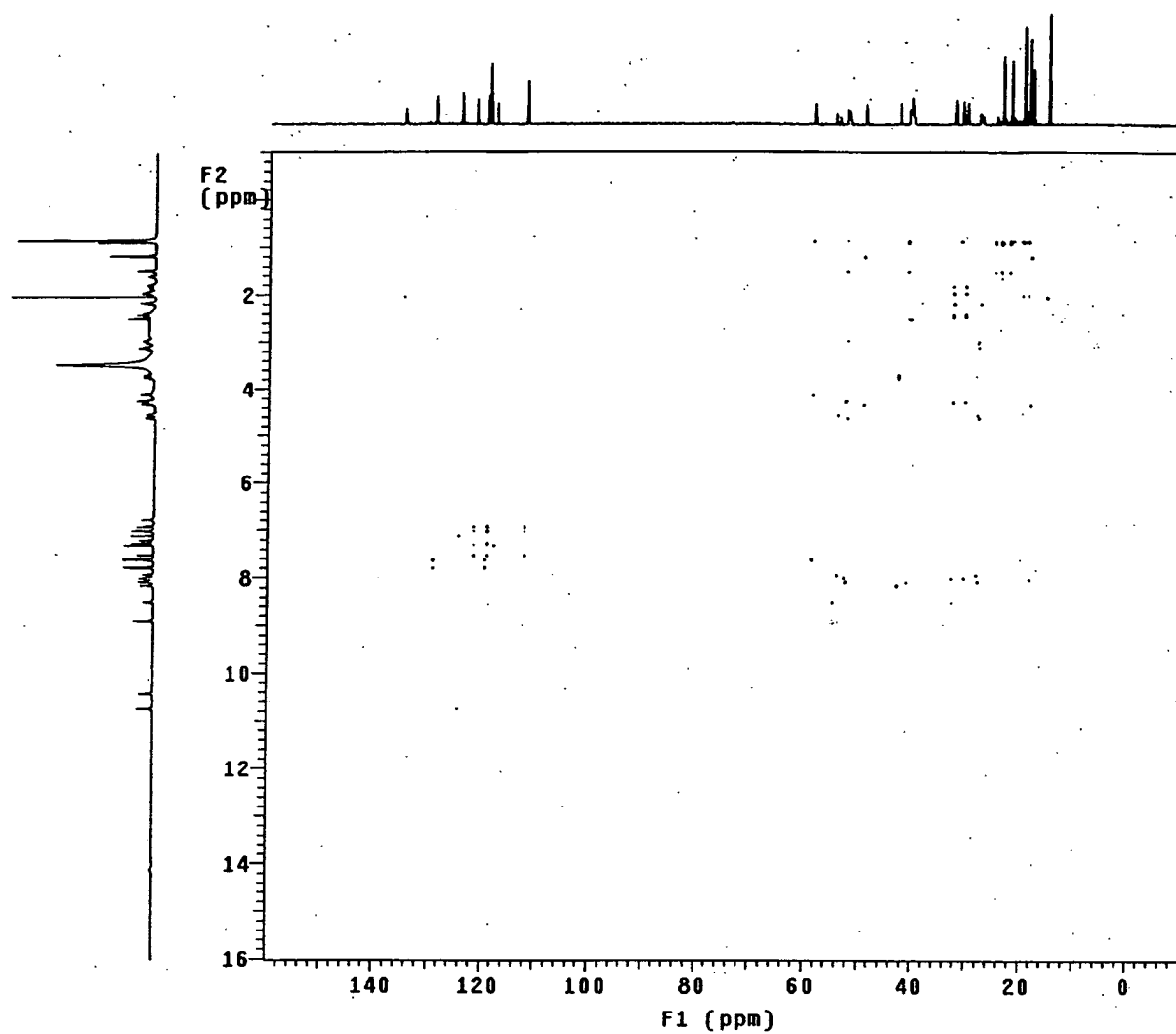


FIG. 57

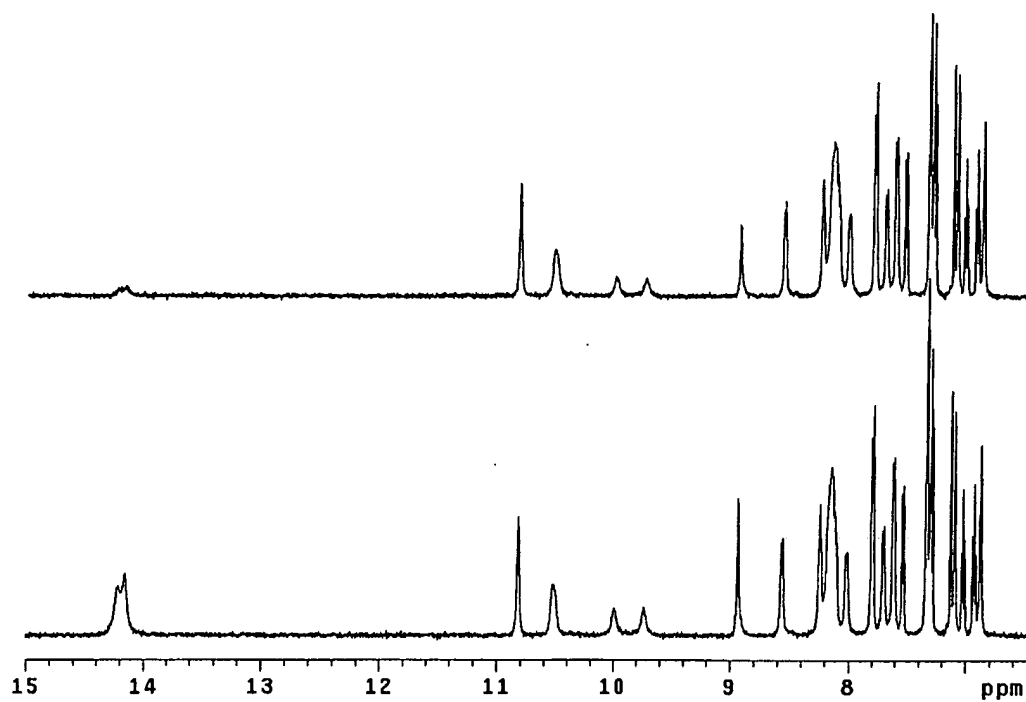


FIG. 58

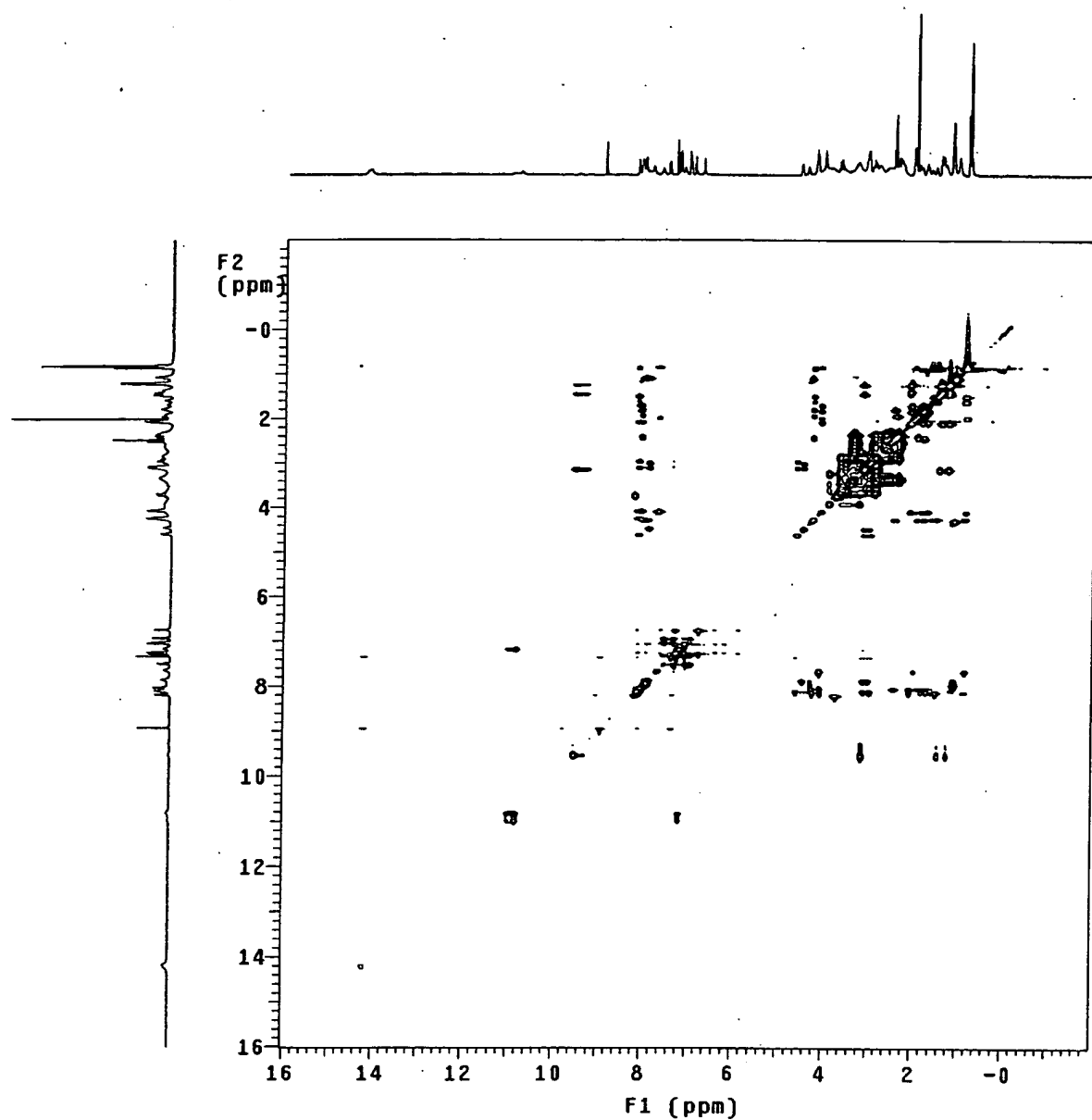


FIG. 59

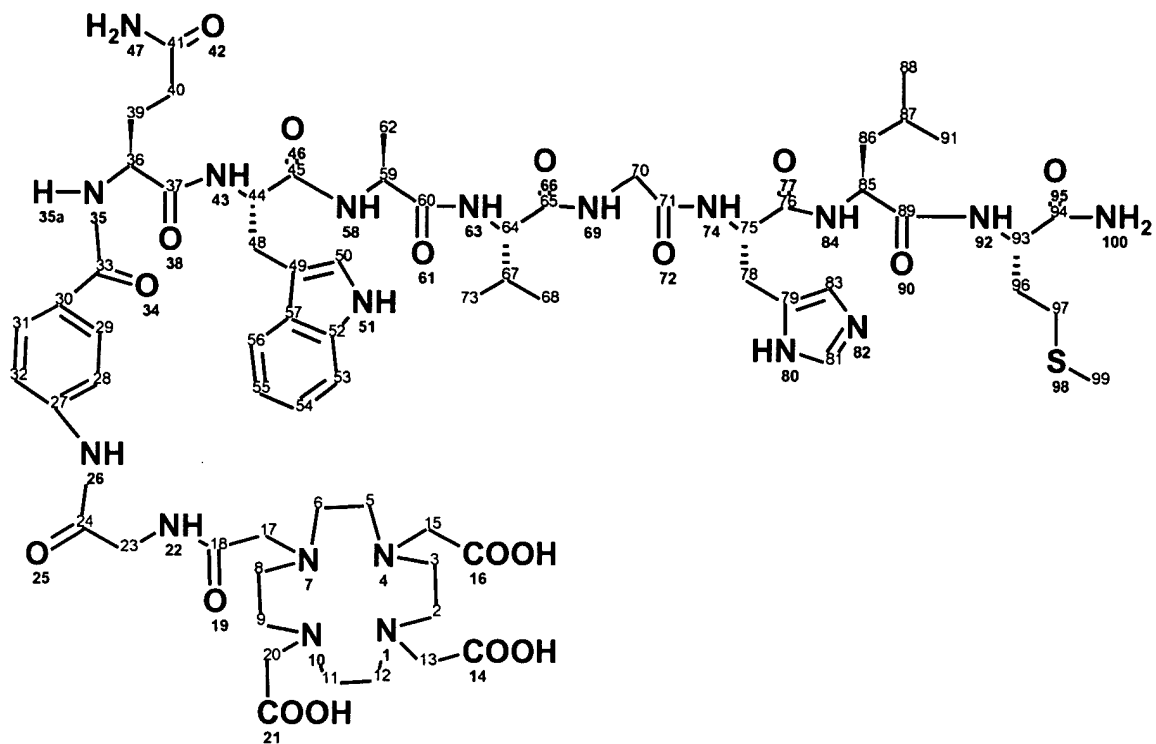


FIG. 60

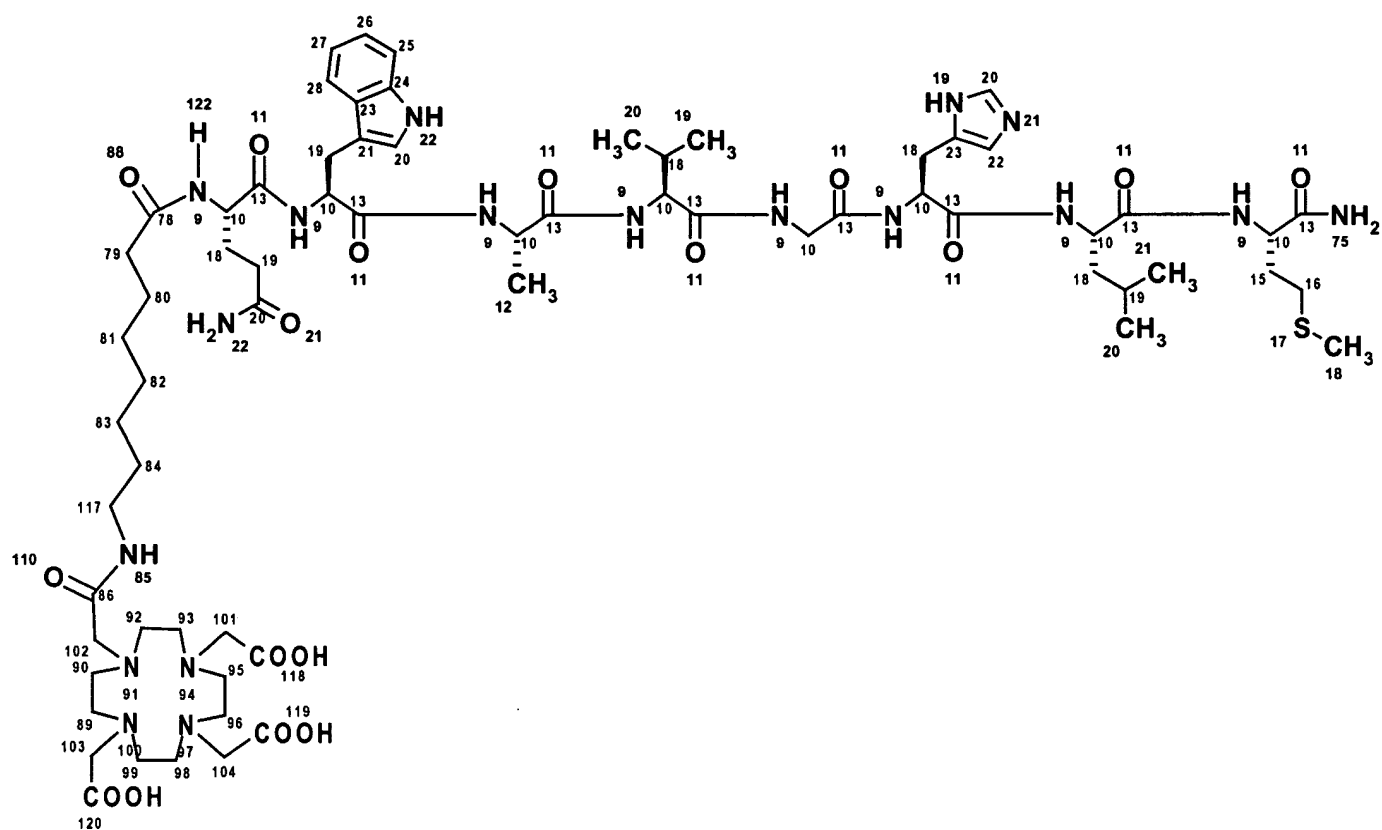


FIG. 61

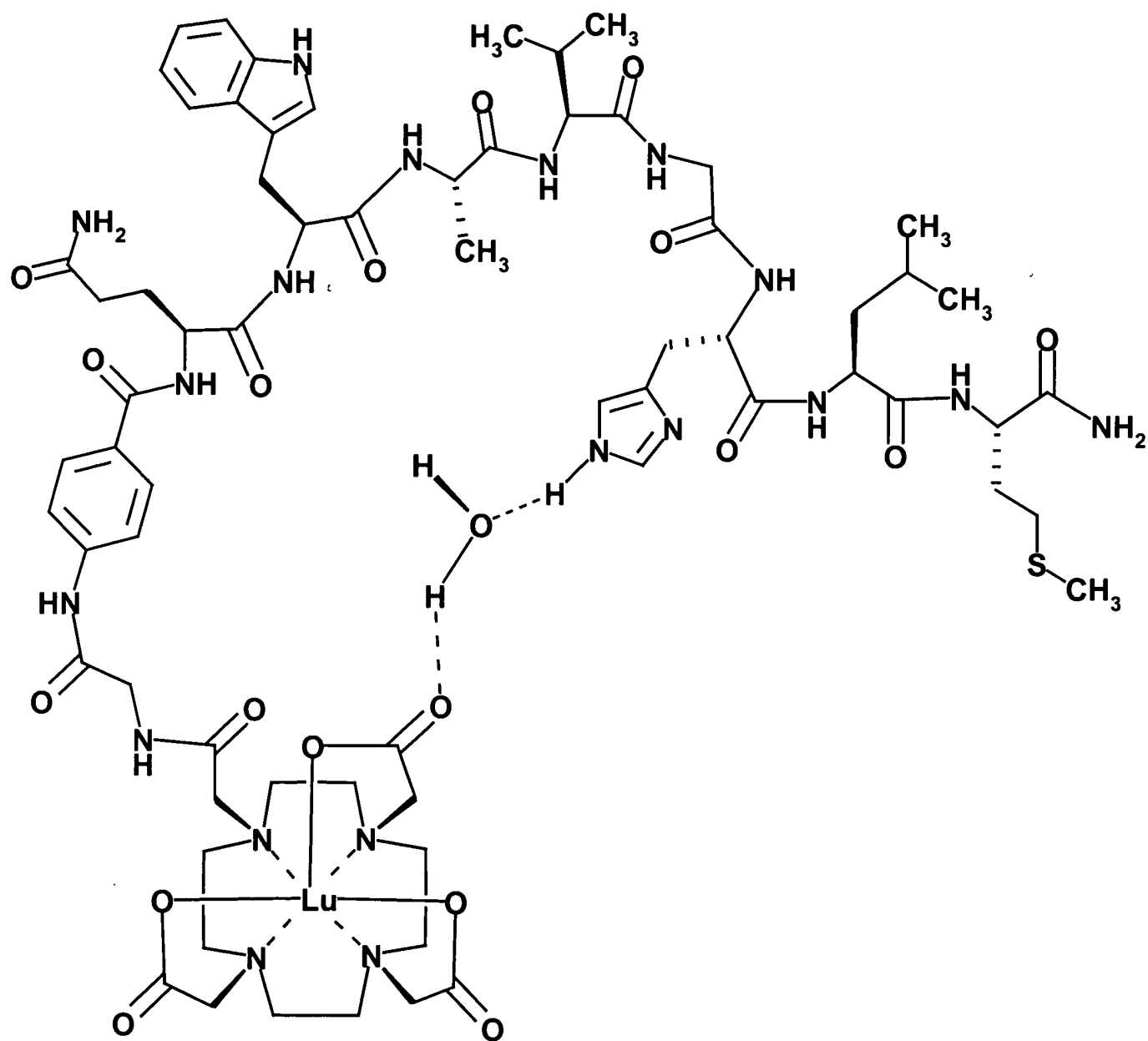
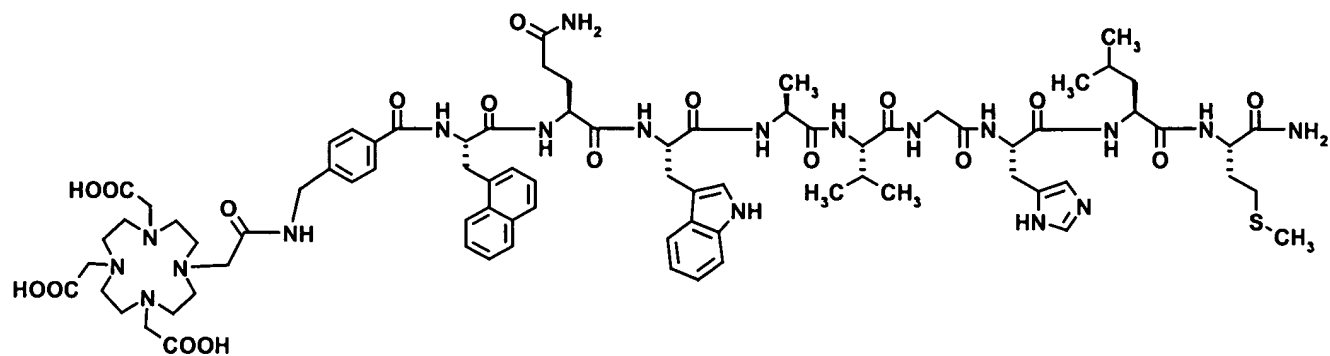


FIG. 62



L301

FIG. 63